

Author Search

=> FILE HCAPLUS

FILE 'HCAPLUS' ENTERED AT 09:45:20 ON 23 DEC 2008

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FILE COVERS 1907 - 23 Dec 2008 VOL 149 ISS 26

FILE LAST UPDATED: 22 Dec 2008 (20081222/ED)

HCAPLUS now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> D STAT QUE L30

L1 SCR 91 OR 55

L2 SCR 229

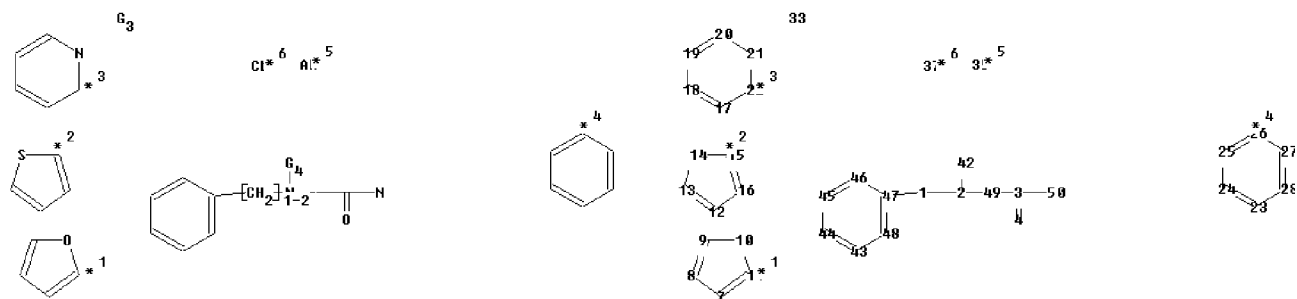
L3 SCR 1839

L4 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation:

Uploading strF.str



chain nodes :

1 2 3 4 35 37 42

ring nodes :

7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 25 26 27

28 43 44 45 46 47 48

ring/chain nodes :

33 49 50

chain bonds :

1-2 1-47 2-42 2-49 3-49 3-4 3-50

ring bonds :

7-8 7-11 8-9 9-10 10-11 12-13 12-16 13-14 14-15 15-16 17-18 17-22 18-19

19-20 20-21 21-22 23-24 23-28 24-25 25-26 26-27 27-28 43-44 43-48 44-45

45-46 46-47

47-48

exact/norm bonds :

2-42 2-49 3-4 3-50 7-8 7-11 8-9 9-10 10-11 12-13 12-16 13-14 14-15 15-16

17-18 17-22 18-19 19-20 20-21 21-22

exact bonds :

1-2 1-47 3-49

normalized bonds :

23-24 23-28 24-25 25-26 26-27 27-28 43-44 43-48 44-45 45-46 46-47 47-48

G3:[*1],[*2],[*3],[*4]

G4:H,[*5],[*6]

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom

12:Atom

13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom

22:Atom 23:Atom

24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 33:CLASS 35:CLASS 37:Atom 42:CLASS

43:Atom

44:Atom 45:Atom 46:Atom 47:Atom 48:Atom 49:CLASS 50:CLASS

Element Count :

Node 35: Limited

C,C1-6

Node 37: Limited
C,C3-7

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=> D IBIB ED ABS HITSTR L30 1-16

L30 ANSWER 1 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:1469897 HCAPLUS Full-text
 DOCUMENT NUMBER: 148:100890

Serial No.:10/586,494

TITLE: Process for the production of 2-[4-(3- and 2-fluorobenzyloxy)benzylamino]propanamides (safinamide and ralfinamide) of high purity by catalytic hydrogenation of Schiff base intermediates and their use for treating CNS disorders

INVENTOR(S): Barbanti, Elena; Caccia, Carla; Salvati, Patricia; Velardi, Francesco; Rufilli, Tiziano; Bogogna, Luigi

PATENT ASSIGNEE(S): Newron Pharmaceuticals S.p.A., Italy

SOURCE: PCT Int. Appl., 77pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007147491	A1	20071227	WO 2007-EP5105	20070608
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: EP 2006-12565 A 20060619

OTHER SOURCE(S): CASREACT 148:100890; MARPAT 148:100890

ED Entered STN: 27 Dec 2007

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention is related to a process for preparation of therapeutically active 2-[4-(3- and 2-fluorobenzyloxy)benzylamino]propanamides I (safinamide (3-F) and ralfinamide (2-F)) and their pharmaceutically acceptable salts with high purity, in particular, with a content of dibenzyl derivative impurities II <0.03 weight %, preferably <0.01 weight %, via catalytic hydrogenation of the corresponding Schiff base intermediates III in the presence of a heterogeneous catalyst in a protic organic solvent. For example, α -aminoamides I and their pharmaceutically acceptable salts were prepared by fluorobenzylation of hydroxybenzaldehydes with fluorobenzyl derivs. IV [Y = Cl, Br, I, OSO₂Me, OSO₂c₆H₄-p-Me] using phase transfer catalysts, iminoalkylation of the benzaldehydes with L-alaninamide in a protic organic solvent, catalytic hydrogenation of Schiff base intermediates III in the presence of a heterogeneous catalyst in a protic organic solvent and acidulation of I with a pharmaceutically acceptable acid. Thus, fluorobenzylation of 4-hydroxybenzaldehyde with 2-fluorobenzyl chloride in toluene in the presence of potassium carbonate and tetradecyltrimethylammonium bromide gave 4-[(2-fluorobenzyl)oxy]benzaldehyde (V) which was recrystd. from diisopropyl ether gave V and a content of 3-(2-fluorobenzyl)-4-[(2-fluorobenzyl)oxy]benzaldehyde of 0.005 weight %. Iminoalkylation of

Serial No.:10/586,494

fluorobenzoyloxybenzaldehyde V with L-alaninamide hydrochloride in MeOH in the presence of TEA gave Schiff base III (2-F) which was hydrogenated in the presence of wet (50% H₂O) Pt/C at 5 bars and 35° gave ralfinamide in 93% yield with a content of (S)-2-[[[3-(2-fluorobenzyl)-4-[(2-fluorobenzyl)oxy]benzyl]amino]propanamide of 0.02 weight %. Ralfinamide methanesulfonate (preparation given) containing 0.05 % dibenzylated impurity II (2-F) was tested in a cytotoxicity assay in human neuroblastoma cell line SH-SY-5Y, in a HERG current inhibition assay in transfected CHO cell lines and in a maximal electroshock test in mice and compared to II and to methanesulfonate containing II 0.3 %. As the amount of II present in ralfinamide increases, so do the undesirable features, such as cellular toxicity, strong inhibition of Cytochrome P 450, HERG channel blockage, and no protective activity in the in vivo model of epilepsy.

IT ~~133865-88-0F~~, Ralfinamide ~~133865-89-1F~~, Saffinamide

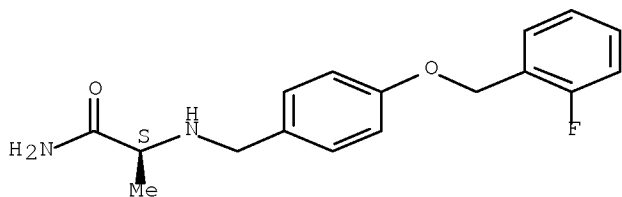
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PUR (Purification or recovery); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of safinamide and ralfinamide from hydroxybenzaldehydes by fluorobenzoylation, iminoalkylation and catalytic hydrogenation)

RN 133865-88-0 HCAPLUS

CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)- (CA INDEX NAME)

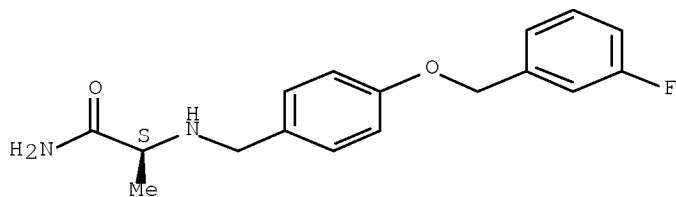
Absolute stereochemistry. Rotation (+).



RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 2 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1454651 HCAPLUS Full-text

Serial No.:10/586,494

DOCUMENT NUMBER: 148:45877
 TITLE: Alpha-aminoamide derivatives useful in the treatment of cognitive disorders
 INVENTOR(S): Salvati, Patricia; Rossetti, Stefano; Benatti, Luca
 PATENT ASSIGNEE(S): Newron Pharmaceuticals S.p.A., Italy
 SOURCE: PCT Int. Appl., 38pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007144153	A2	20071221	WO 2007-EP5197	20070613
WO 2007144153	A3	20080313		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
EP 1870097	A1	20071226	EP 2006-12352	20060615
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PRIORITY APPLN. INFO.: EP 2006-12352 A 20060615

OTHER SOURCE(S): MARPAT 148:45877

ED Entered STN: 24 Dec 2007

AB The present invention is in the field of pharmacotherapy of cognitive deficits in learning and memory by administering an α -aminoamide, particularly safinamide. Examples of disturbances in cognition that can be treated with compds. of the invention are the ones associated with disorders such as autism, dyslexia, attention deficit hyperactivity disorder, schizophrenia, obsessive compulsive disorders, psychosis, bipolar disorders, depression, Tourette's syndrome, Mild Cognitive Impairment (MCI) and disorders of learning in children, adolescents and adults, Age Associated Memory Impairment, Age Associated Cognitive Decline, Alzheimer's Disease, Parkinson's Disease, Down's Syndrome, traumatic brain injury Huntington's Disease, Progressive Supranuclear Palsy (PSP), HIV, stroke, vascular diseases, Pick's or Creutzfeldt- Jacob diseases, multiple sclerosis (MS), other white matter disorders and drug-induced cognitive worsening.

IT 133865-88-0 133865-89-1, Safinamide 133866-09-3
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 133866-14-5 133866-15-6 133866-18-9
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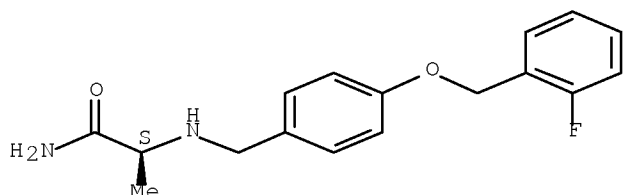
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)

(α -aminoamide derivs. useful in treatment of cognitive disorders)

RN 133865-88-0 HCAPLUS

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(CA INDEX NAME)

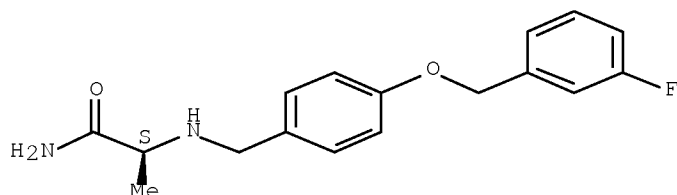
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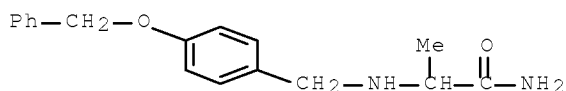
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(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



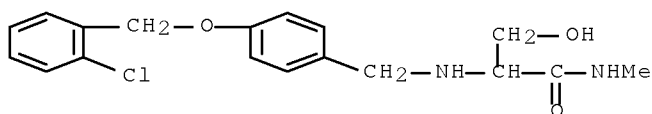
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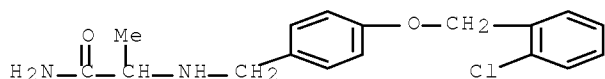
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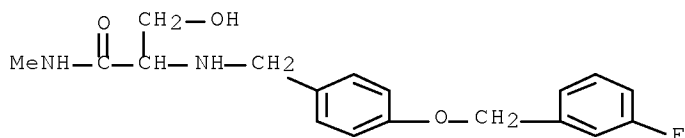
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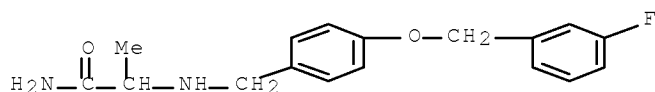
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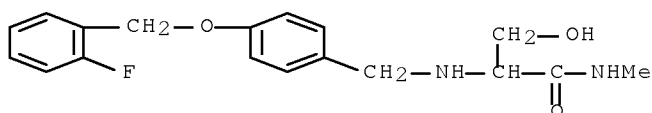
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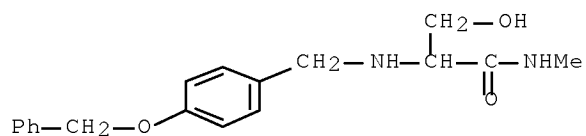
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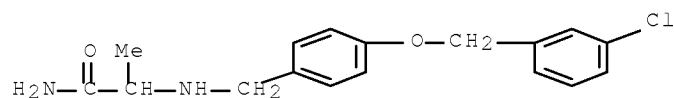
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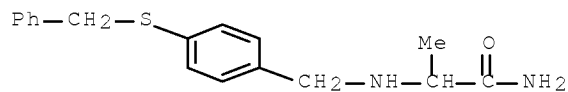
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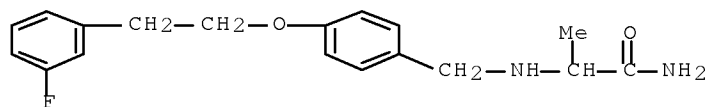
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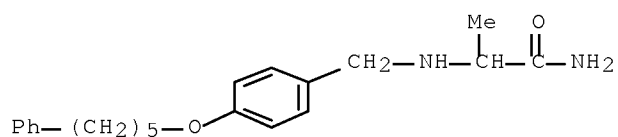
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INDEX NAME)



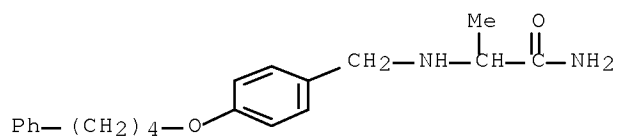
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NAME)



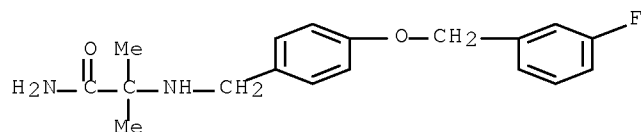
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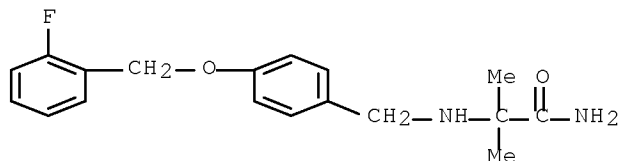
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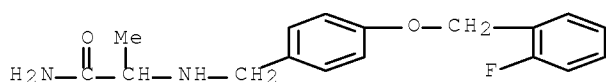
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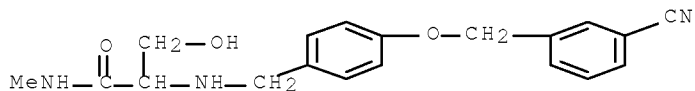
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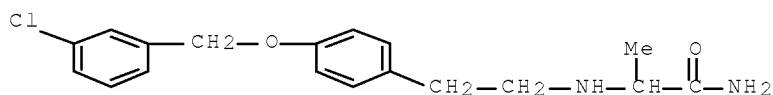
RN 229309-21-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-cyanophenyl)methoxy]phenyl]methyl]amino]-3-hydroxy-N-methyl- (CA INDEX NAME)



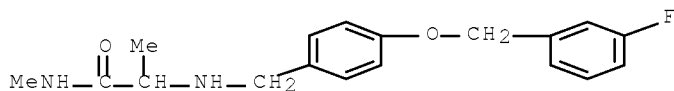
RN 229309-22-2 HCAPLUS

CN Propanamide, 2-[[[2-[4-[(3-chlorophenyl)methoxy]phenyl]ethyl]amino]-N-methyl- (CA INDEX NAME)



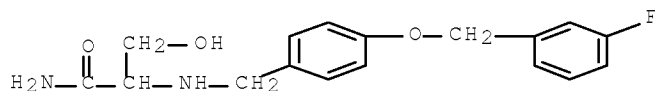
RN 229309-24-4 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-N-methyl- (CA INDEX NAME)



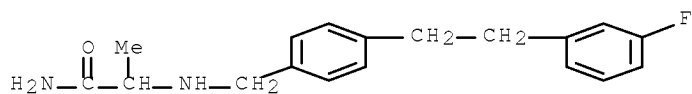
RN 229309-25-5 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-3-hydroxy- (CA INDEX NAME)

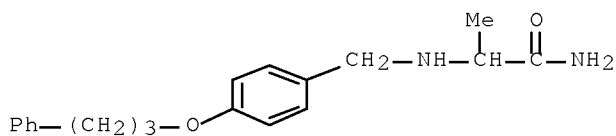


RN 229309-26-6 HCAPLUS

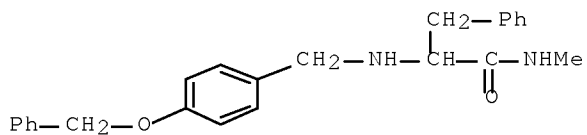
CN Propanamide, 2-[[[4-[2-(3-fluorophenyl)ethyl]phenyl]methyl]amino]- (CA INDEX NAME)



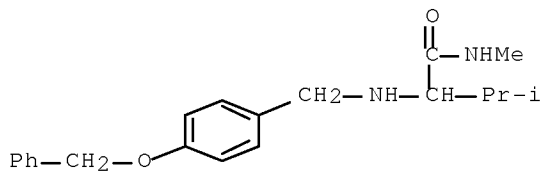
RN 229309-28-8 HCAPLUS
 CN Propanamide, 2-[[[4-(3-phenylpropoxy)phenyl]methyl]amino]- (CA INDEX NAME)



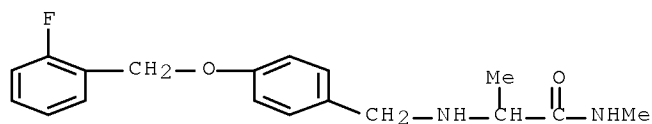
RN 229309-29-9 HCAPLUS
 CN Benzenepropanamide, N-methyl- α -[[[4-(phenylmethoxy)phenyl]methyl]amino]- (CA INDEX NAME)



RN 229309-30-2 HCAPLUS
 CN Butanamide, N,3-dimethyl-2-[[[4-(phenylmethoxy)phenyl]methyl]amino]- (CA INDEX NAME)

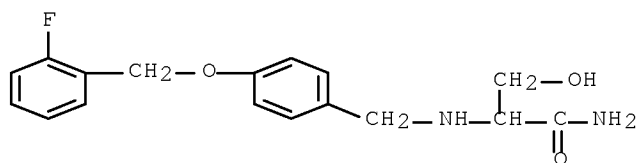


RN 721949-10-6 HCAPLUS
 CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-N-methyl- (CA INDEX NAME)



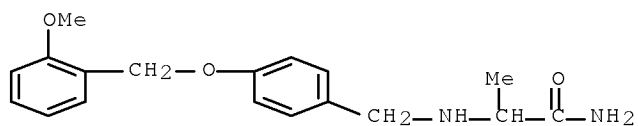
RN 721949-11-7 HCAPLUS

CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-3-hydroxy- (CA INDEX NAME)



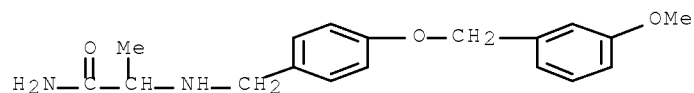
RN 845959-36-6 HCAPLUS

CN Propanamide, 2-[[[4-[(2-methoxyphenyl)methoxy]phenyl]methyl]amino]- (CA INDEX NAME)



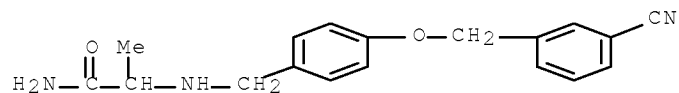
RN 845959-38-8 HCAPLUS

CN Propanamide, 2-[[[4-[(3-methoxyphenyl)methoxy]phenyl]methyl]amino]- (CA INDEX NAME)

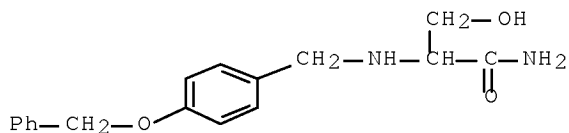


RN 845959-39-9 HCAPLUS

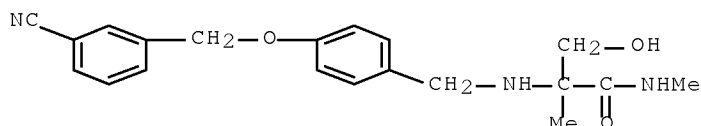
CN Propanamide, 2-[[[4-[(3-cyanophenyl)methoxy]phenyl]methyl]amino]- (CA INDEX NAME)



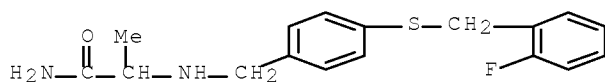
RN 845959-41-3 HCAPLUS
 CN Propanamide, 3-hydroxy-2-[[[4-(phenylmethoxy)phenyl]methyl]amino]- (CA INDEX NAME)



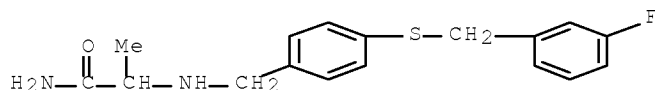
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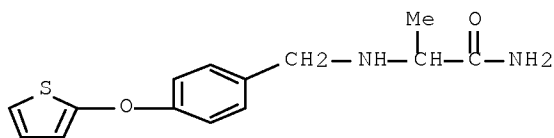
RN 845959-43-5 HCAPLUS
 CN Propanamide, 2-[[[4-[[[2-(fluorophenyl)methyl]thio]phenyl]methyl]amino]- (CA INDEX NAME)



RN 845959-44-6 HCAPLUS
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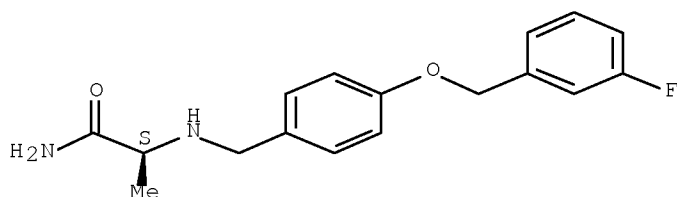


RN 845959-49-1 HCAPLUS
 CN Propanamide, 2-[[[4-(2-thienyloxy)phenyl]methyl]amino]- (CA INDEX NAME)



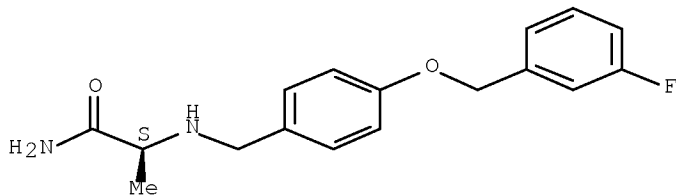
L30 ANSWER 3 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:1113864 HCAPLUS Full-text
 DOCUMENT NUMBER: 147:536523
 TITLE: Structures of Human Monoamine Oxidase B Complexes with
 Selective Noncovalent Inhibitors: Safinamide and
 Coumarin Analogs
 AUTHOR(S): Binda, Claudia; Wang, Jin; Pisani, Leonardo; Caccia,
 Carla; Carotti, Angelo; Salvati, Patricia;
 Edmondson, Dale E.; Mattevi, Andrea
 CORPORATE SOURCE: Department of Genetics and Microbiology, University of
 Pavia, Pavia, 27100, Italy
 SOURCE: Journal of Medicinal Chemistry (2007), 50(23),
 5848-5852
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 147:536523
 ED Entered STN: 04 Oct 2007
 AB Structures of human monoamine oxidase B (MAO B) in complex with safinamide and
 two coumarin derivs., all sharing a common benzyloxy substituent, were
 determined by x-ray crystallog. These compds. competitively inhibit MAO B
 with K_i values in the 0.1-0.5 μM range that are 30-700-fold lower than those
 observed with MAO A. The inhibitors bind noncovalently to MAO B, occupying
 both the entrance and the substrate cavities and showing a similarly oriented
 benzyloxy substituent.
 IT 133865-89-1, Safinamide 133865-89-1D, Safinamide,
 complex with monoamine oxidase B
 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL
 (Biological study)
 (structures of human monoamine oxidase B complexes with selective
 noncovalent inhibitors, safinamide and coumarin analogs)
 RN 133865-89-1 HCAPLUS
 CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
 (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 133865-89-1 HCAPLUS
 CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
 (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 4 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1039297 HCAPLUS Full-text

DOCUMENT NUMBER: 147:22181

TITLE: Safinamide: From molecular targets to a new anti-Parkinson drug

AUTHOR(S): Caccia, C.; Maj, R.; Calabresi, M.; Maestroni, S.; Faravelli, L.; Curatolo, L.; Salvati, P.; Fariello, R. G.

CORPORATE SOURCE: Newron Pharmaceuticals Spa, Bresso, Italy
 SOURCE: Neurology (2006), 67(7, Suppl. 2), S18-S23
 CODEN: NEURAI; ISSN: 0028-3878

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

ED Entered STN: 06 Oct 2006

AB A review. Ideal treatment in Parkinson's disease (PD) aims at relieving symptoms and slowing disease progression. Of all remedies, levodopa remains the most effective for symptomatic relief, but the medical need for neuroprotectant drugs is still unfulfilled. Safinamide, currently in phase III clin. trials for the treatment of PD, is a unique mol. with multiple mechanisms of action and a very high therapeutic index. It combines potent, selective, and reversible inhibition of MAO-B with blockade of voltage-dependent Na and Ca channels and inhibition of glutamate release. Safinamide has neuroprotective and neuro rescuing effects in MPTP-treated mice, in the rat kainic acid, and in the gerbil ischemia model. Safinamide potentiates levodopa-mediated increase of DA levels in DA-depleted mice and reverses the waning motor response after prolonged levodopa treatment in 6-OHDA-lesioned rats. Safinamide has excellent bioavailability, linear kinetics, and is suitable for once-a-day administration. Therefore, safinamide may be used in PD to reduce l-dopa dosage and also represents a valuable therapeutic drug to test disease-modifying potential.

IT 133865-89-1, Safinamide

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

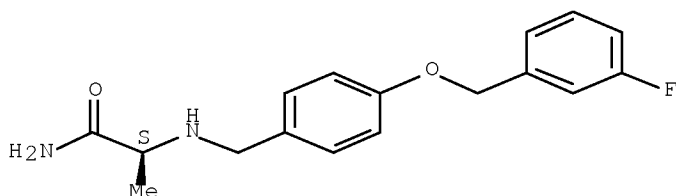
(safinamide had neuroprotective and neurorescuing effects in mouse, rat and gerbil ischemia model, suggests that safinamide may be used in Parkinson's disease patient to reduce levodopa dosage)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-

(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 5 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:240558 HCAPLUS Full-text
 DOCUMENT NUMBER: 144:286223
 TITLE: Use of (halobenzyloxy)benzylaminopropanamides for the manufacture of medicaments active as sodium and/or calcium channel selective modulators
 INVENTOR(S): Barbanti, Elena; Thaler, Florian; Caccia, Carla; Fariello, Ruggero; Salvati, Patricia
 PATENT ASSIGNEE(S): Newron Pharmaceuticals S.p.A., Italy
 SOURCE: PCT Int. Appl., 36 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006027052	A2	20060316	WO 2005-EP8200	20050728
WO 2006027052	A3	20060526		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005282028	A2	20060316	AU 2005-282028	20050728
AU 2005282028	A1	20060316		
CA 2577408	A1	20060316	CA 2005-2577408	20050728
EP 1809271	A2	20070725	EP 2005-769799	20050728
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101018546	A	20070815	CN 2005-80030381	20050728

Serial No.:10/586,494

JP 2008512405	T	20080424	JP 2007-530600	20050728
BR 2005015154	A	20080708	BR 2005-15154	20050728
MX 200702713	A	20070523	MX 2007-2713	20070306
US 20080096965	A1	20080424	US 2007-574751	20070306
IN 2007KN00955	A	20070713	IN 2007-KN955	20070319
NO 2007001792	A	20070611	NO 2007-1792	20070404
KR 2007061863	A	20070614	KR 2007-708185	20070410
PRIORITY APPLN. INFO.:			EP 2004-21525	A 20040910
			WO 2005-EP8200	W 20050728

OTHER SOURCE(S): MARPAT 144:286223

ED Entered STN: 17 Mar 2006

AB The invention discloses the use of selected (R) -2-
 [(halobenzyloxy)benzylamino]propanamides, and pharmaceutically acceptable
 salts thereof, for the manufacture of medicaments that are selectively active
 as sodium and/or calcium channel modulators and therefore useful in
 preventing, alleviating and curing a wide range of pathologies, including
 pain, migraine, peripheral diseases, cardiovascular diseases, inflammatory
 processes affecting all body systems, disorders affecting skin and related
 tissues, disorders of the respiratory system, disorders of the immune and
 endocrinol. systems, gastrointestinal, urogenital, metabolic and seizure
 disorders, where the above mechanisms have been described as playing a pathol.
 role. Compound preparation is included.

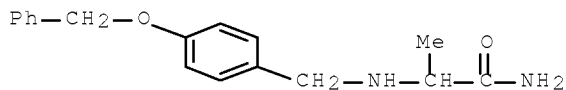
IT 133866-09-8D, halo derivs.

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)

((halobenzyloxy)benzylaminopropanamides for medicaments active as
 sodium and/or calcium channel modulators)

RN 133866-09-8 HCAPLUS

CN Propanamide, 2-[[[4-(phenylmethoxy)phenyl]methyl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 6 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1145999 HCAPLUS Full-text

DOCUMENT NUMBER: 143:416265

TITLE: Alpha-aminoamide derivatives useful in the treatment
 of restless legs syndrome and addictive disorders

INVENTOR(S): Besana, Claudia; Barbanti, Elena; Izzo,
 Emanuela; Thaler, Florian; Fariello,
 Ruggero; Salvati, Patricia; Benatti,
 Luca

PATENT ASSIGNEE(S): Newron Pharmaceuticals S.P.A., Italy

SOURCE: Eur. Pat. Appl., 17 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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Serial No.:10/586,494

EP 1588704	A1	20051026	EP 2004-9532	20040422
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
AU 2005235428	A1	20051103	AU 2005-235428	20050419
CA 2563674	A1	20051103	CA 2005-2563674	20050419
WO 2005102300	A1	20051103	WO 2005-EP4166	20050419
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1737438	A1	20070103	EP 2005-736365	20050419
EP 1737438	B1	20080820		
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CN 1942179	A	20070404	CN 2005-80011890	20050419
BR 2005009976	A	20071016	BR 2005-9976	20050419
JP 2007533691	T	20071122	JP 2007-508825	20050419
EP 1900362	A2	20080319	EP 2007-22078	20050419
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BA, HR, YU				
AT 405256	T	20080915	AT 2005-736365	20050419
IN 2006DN06080	A	20070831	IN 2006-DN6080	20061018
NO 2006004732	A	20061122	NO 2006-4732	20061019
MX 2006PA12163	A	20070117	MX 2006-PA12163	20061019
KR 2007042914	A	20070424	KR 2006-721748	20061019
US 20070203182	A1	20070830	US 2006-578988	20061219
PRIORITY APPLN. INFO.:			EP 2004-9532	A 20040422
			EP 2005-736365	A3 20050419
			WO 2005-EP4166	W 20050419

OTHER SOURCE(S): MARPAT 143:416265

ED Entered STN: 27 Oct 2005

AB Methods of using certain α -aminoamide derivs. in the treatment of RLS and addictive disorders. The compds. of this invention are able to reduce or even stop the symptoms of RLS and addictive disorders substantially without side effects.

IT 133865-88-0 133865-89-1 133866-09-8
 133866-10-1 133866-11-2 133866-12-3
 133866-14-5 133866-15-6 133866-18-9
 133866-19-0 133866-25-8 166949-64-0
 166949-66-2 166949-68-4 187868-20-8
 187868-37-7 229309-19-7 229309-21-1
 229309-22-2 229309-24-4 229309-25-5
 229309-26-6 229309-28-8 229309-29-9
 229309-30-2 721949-10-6 721949-11-7
 845959-36-6 845959-38-8 845959-39-9
 845959-41-3 845959-42-4 845959-43-5
 845959-44-6 845959-49-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

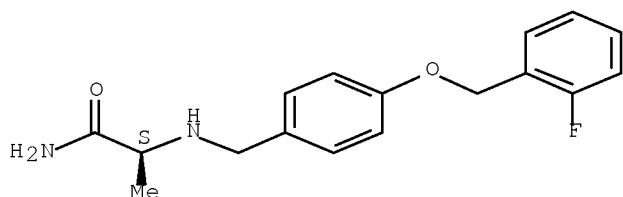
(α -aminoamide derivs. useful in treatment of restless legs syndrome and addictive disorders)

RN 133865-88-0 HCAPLUS

Serial No.:10/586,494

CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
(CA INDEX NAME)

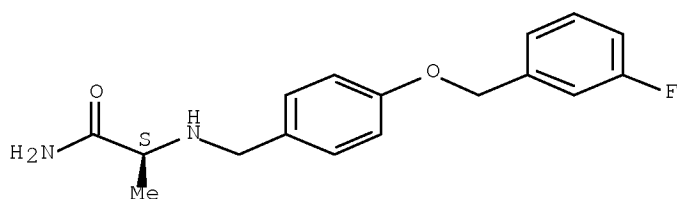
Absolute stereochemistry. Rotation (+).



RN 133865-89-1 HCAPLUS

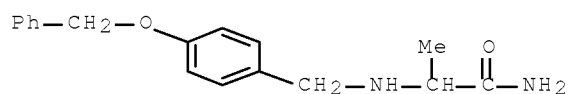
CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



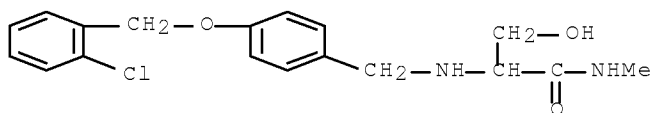
RN 133866-09-8 HCAPLUS

CN Propanamide, 2-[[[4-(phenylmethoxy)phenyl]methyl]amino]- (CA INDEX NAME)



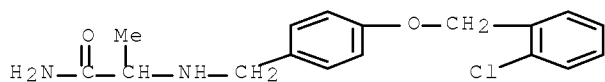
RN 133866-10-1 HCAPLUS

CN Propanamide, 2-[[[4-[(2-chlorophenyl)methoxy]phenyl]methyl]amino]-3-hydroxy-N-methyl- (CA INDEX NAME)



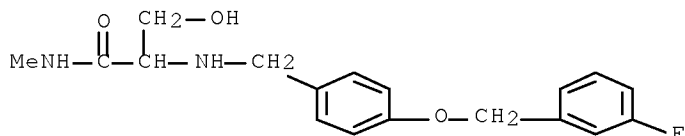
RN 133866-11-2 HCAPLUS

CN Propanamide, 2-[[[4-[(2-chlorophenyl)methoxy]phenyl]methyl]amino]- (CA INDEX NAME)



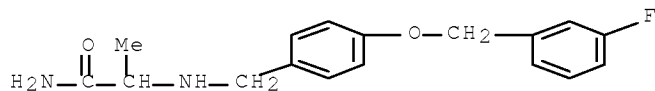
RN 133866-12-3 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-3-hydroxy-N-methyl- (CA INDEX NAME)



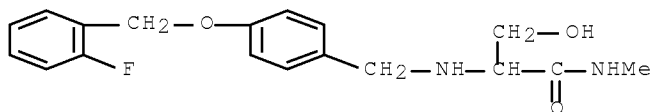
RN 133866-14-5 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]- (CA INDEX NAME)



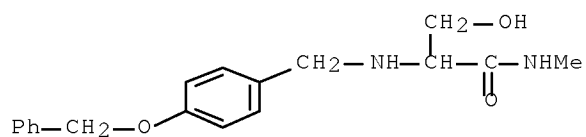
RN 133866-15-6 HCAPLUS

CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-3-hydroxy-N-methyl- (CA INDEX NAME)



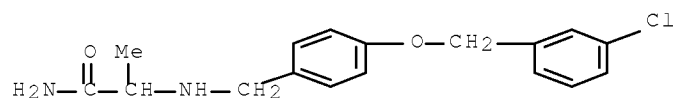
RN 133866-18-9 HCAPLUS

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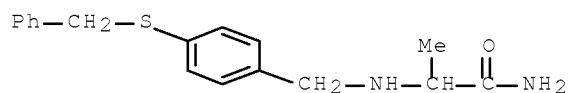
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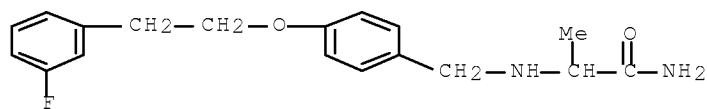
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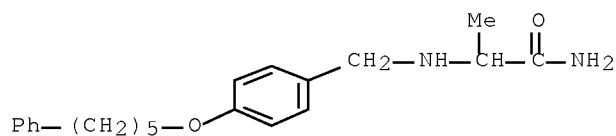
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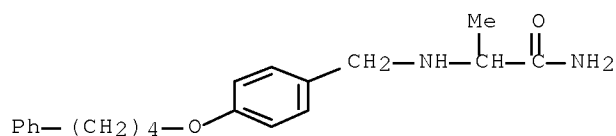
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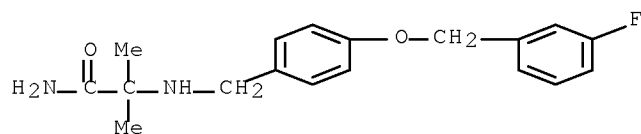
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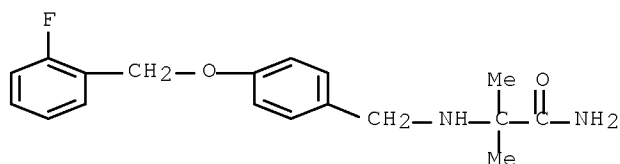
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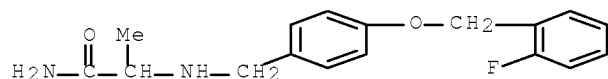
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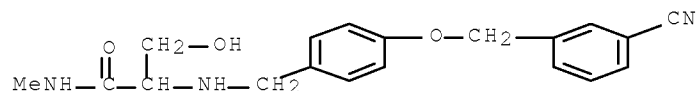
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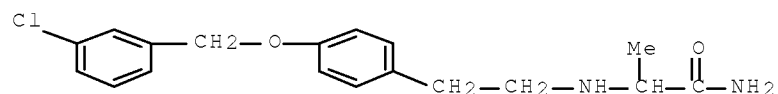
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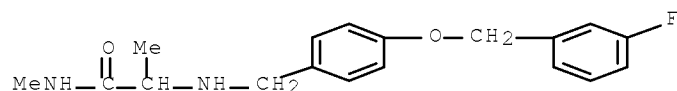
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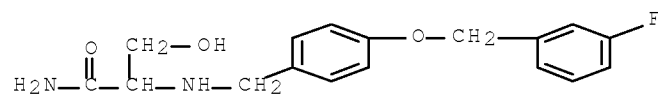
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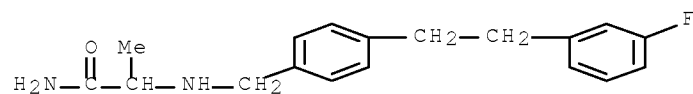
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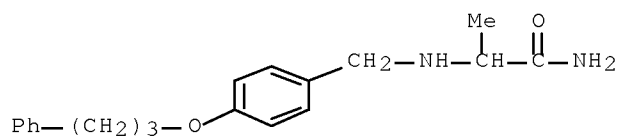
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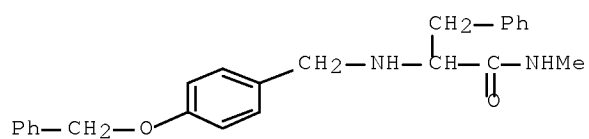
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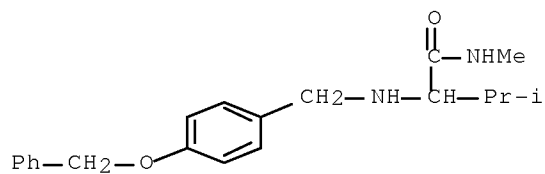


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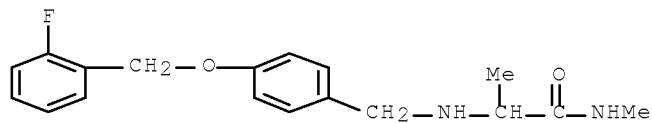
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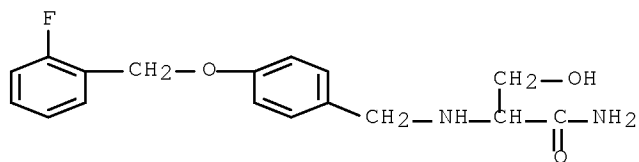
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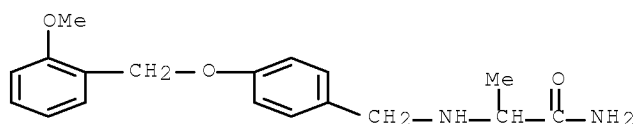


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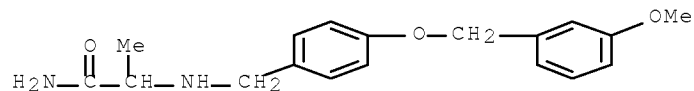
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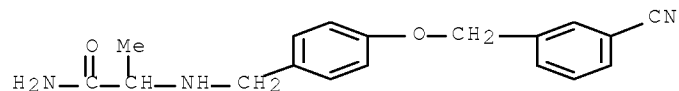
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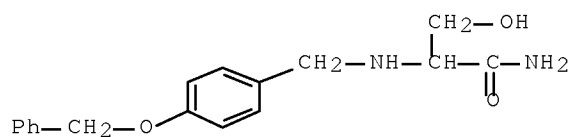
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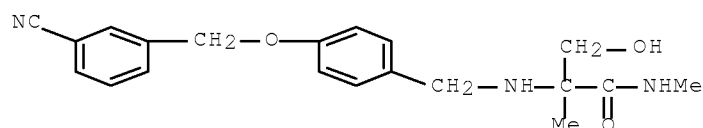


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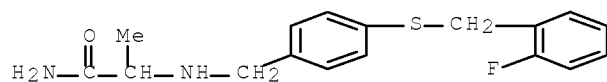
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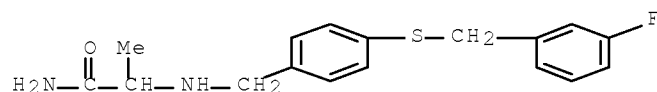
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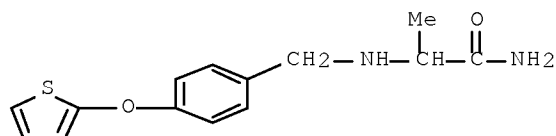
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RN 845959-49-1 HCAPLUS

CN Propanamide, 2-[[[4-(2-thienyloxy)phenyl]methyl]amino]-3-hydroxy-N,2-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 7 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:696729 HCAPLUS Full-text
 DOCUMENT NUMBER: 143:179626
 TITLE: Alpha-aminoamide derivatives useful in the treatment
 of lower urinary tract disorders
 INVENTOR(S): Barbanti, Elena; Veneroni, Orietta
 ; Thaler, Florian; Pellicciari,
 Roberto; Benatti, Luca; Salvati,
 Patricia
 PATENT ASSIGNEE(S): Newron Pharmaceuticals S.P.A., Italy
 SOURCE: PCT Int. Appl., 42 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005070405	A1	20050804	WO 2005-EP514	20050120
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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BR 2005006970	A	20070703	BR 2005-6970	20050120
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IN 2006DN04152	A	20070810	IN 2006-DN4152	20060719
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KR 2007007776	A	20070116	KR 2006-714655	20060720
US 20080132567	A1	20080605	US 2007-586494	20070125
PRIORITY APPLN. INFO.:			EP 2004-1175	A 20040121
			US 2003-497722P	P 20030825
			WO 2005-EP514	W 20050120

OTHER SOURCE(S): MARPAT 143:179626

ED Entered STN: 05 Aug 2005

AB The present invention discloses certain α -aminoamide derivs., a chemical class of sodium channel blockers, and their use for treating lower urinary tract disorders and to pharmaceutical compns. containing them. Compds. of the invention include e.g. 2-[(3-phenethyl-2,3-dihydro-benzofuran-5-ylmethyl)-amino]-N-methyl- propanamide. To prepare above compound, a solution of N-methyl-alaninamide hydrochloride 0.50 g in methanol 10 mL, in the presence of mol. sieves 1 g, sodium cyanoborohydride 0.36 g and a solution of 3-(2-

Serial No.:10/586,494

phenylethyl)-2,3-dihydro-1-benzofuran-5-carboxaldehyde 0.90 g in methanol 10 mL were added at room temperature. The reaction mixture was kept under stirring and an argon atmosphere for 12 h. Then, the solvent was evaporated under vacuum and purified by flash chromatog. affording 0.93g of 2-[(3-phenethyl-2,3-dihydro-benzofuran-5-ylmethyl)-amino]-N-methyl- propanamide, identified by NMR.

IT 133865-35-7P 133865-72-2P 133865-78-8P
 133865-88-0P 133865-89-1P 133866-09-8P
 133866-10-1P 133866-11-2P 133866-12-3P
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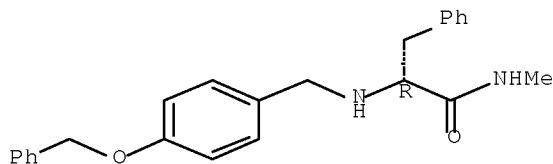
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(alpha-aminoamide derivs. useful in treatment of lower urinary tract disorders)

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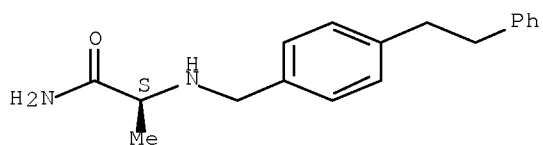
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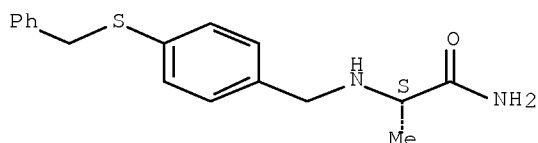
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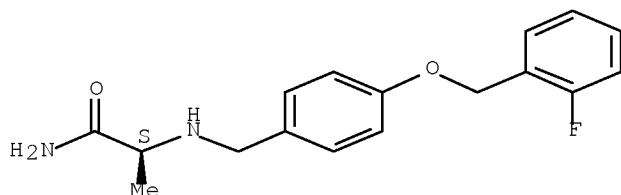
Absolute stereochemistry.



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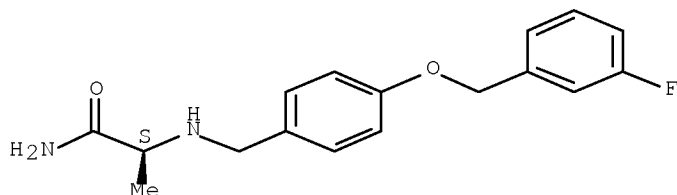
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RN 133865-89-1 HCAPLUS

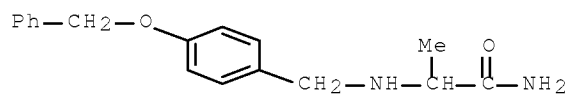
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Absolute stereochemistry. Rotation (+).



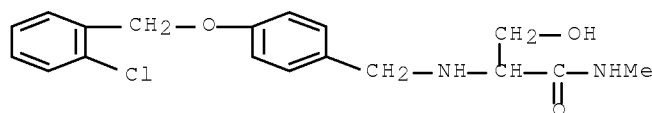
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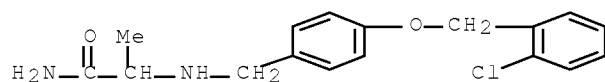
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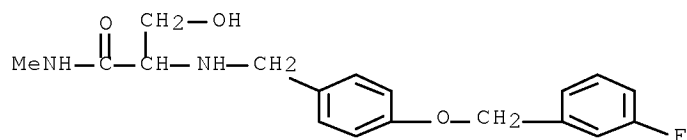
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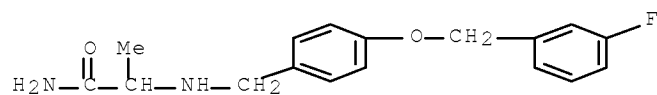
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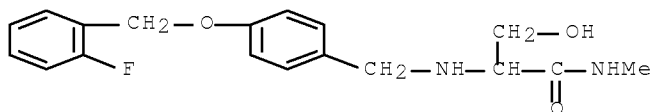
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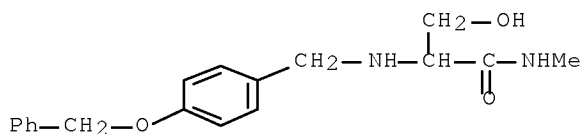
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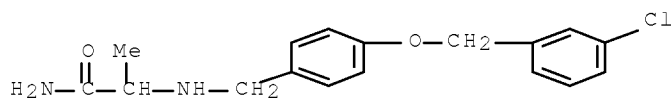
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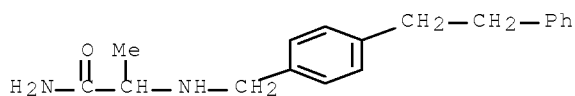
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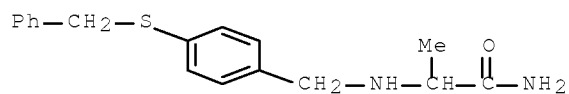
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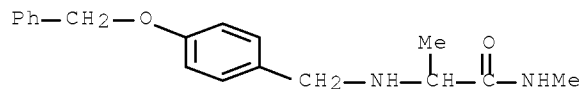
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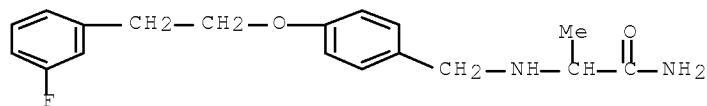
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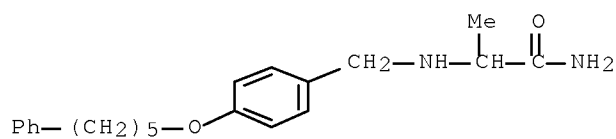
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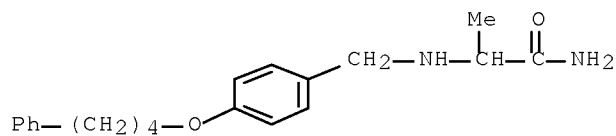
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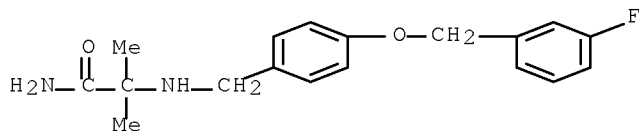


RN 166949-68-4 HCAPLUS

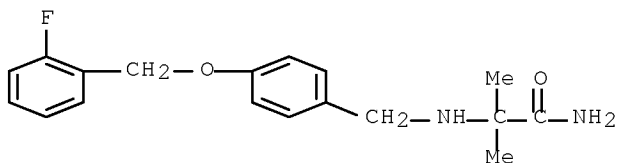
CN Propanamide, 2-[[[4-(4-phenylbutoxy)phenyl]methyl]amino]- (CA INDEX NAME)



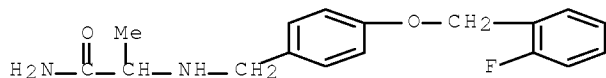
RN 187868-20-8 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-2-methyl-
(CA INDEX NAME)

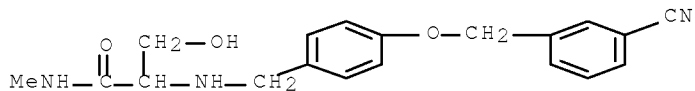
RN 187868-37-7 HCAPLUS

CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-2-methyl-
(CA INDEX NAME)

RN 229309-19-7 HCAPLUS

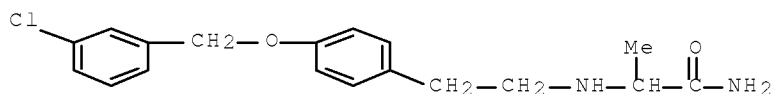
CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]- (CA
INDEX NAME)

RN 229309-21-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-cyanophenyl)methoxy]phenyl]methyl]amino]-3-hydroxy-
N-methyl- (CA INDEX NAME)

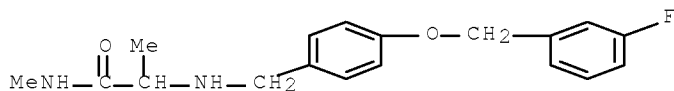
RN 229309-22-2 HCAPLUS

CN Propanamide, 2-[[[2-[4-[(3-chlorophenyl)methoxy]phenyl]ethyl]amino]- (CA
INDEX NAME)



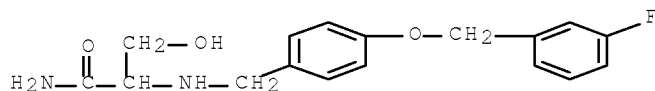
RN 229309-24-4 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-N-methyl-
(CA INDEX NAME)



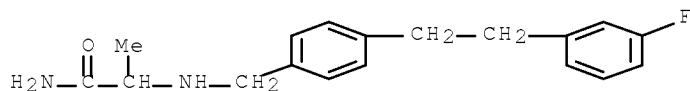
RN 229309-25-5 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-3-
hydroxy- (CA INDEX NAME)



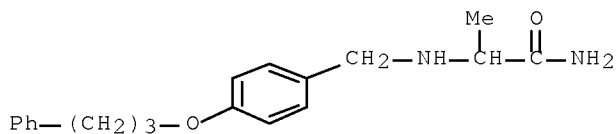
RN 229309-26-6 HCAPLUS

CN Propanamide, 2-[[[4-[2-(3-fluorophenyl)ethyl]phenyl]methyl]amino]- (CA
INDEX NAME)



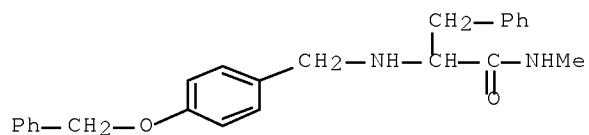
RN 229309-28-8 HCAPLUS

CN Propanamide, 2-[[[4-(3-phenylpropoxy)phenyl]methyl]amino]- (CA INDEX
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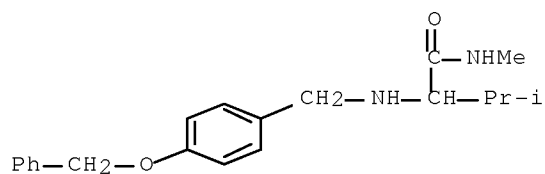
RN 229309-29-9 HCAPLUS

CN Benzenepropanamide, N-methyl- α -[[[4-(phenylmethoxy)phenyl]methyl]amino]- (CA INDEX NAME)



RN 229309-30-2 HCAPLUS

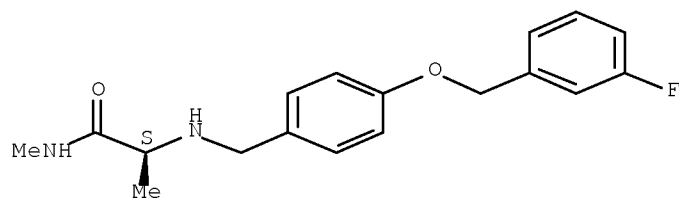
CN Butanamide, N,3-dimethyl-2-[[[4-(phenylmethoxy)phenyl]methyl]amino]- (CA INDEX NAME)



RN 500996-15-6 HCAPLUS

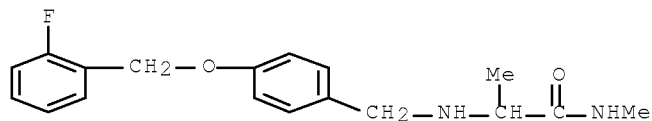
CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-N-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



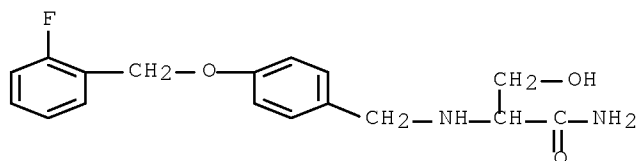
RN 721949-10-6 HCAPLUS

CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-N-methyl- (CA INDEX NAME)



RN 721949-11-7 HCAPLUS

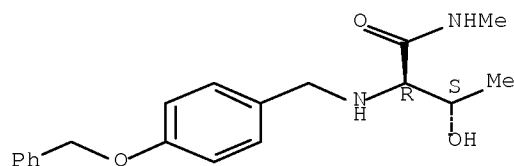
CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-3-hydroxy- (CA INDEX NAME)



RN 782417-52-1 HCAPLUS

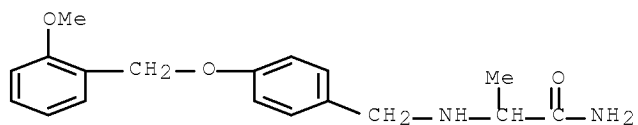
CN Butanamide, 3-hydroxy-N-methyl-2-[[[4-(phenylmethoxy)phenyl]methyl]amino]-, (2R,3S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



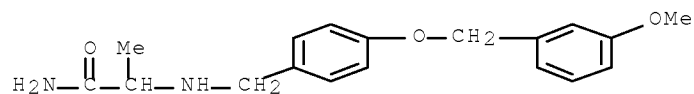
RN 845959-36-6 HCAPLUS

CN Propanamide, 2-[[[4-[(2-methoxyphenyl)methoxy]phenyl]methyl]amino]- (CA INDEX NAME)



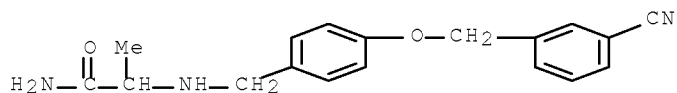
RN 845959-38-8 HCAPLUS

CN Propanamide, 2-[[[4-[(3-methoxyphenyl)methoxy]phenyl]methyl]amino]- (CA INDEX NAME)



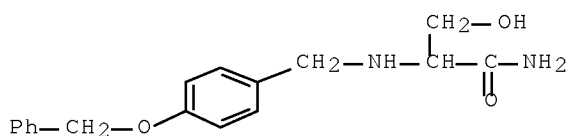
RN 845959-39-9 HCAPLUS

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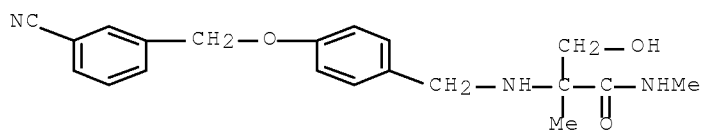
RN 845959-41-3 HCAPLUS

CN Propanamide, 3-hydroxy-2-[[[4-(phenylmethoxy)phenyl]methyl]amino]- (CA INDEX NAME)



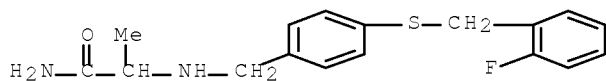
RN 845959-42-4 HCAPLUS

CN Propanamide, 2-[[[4-[(3-cyanophenyl)methoxy]phenyl]methyl]amino]-3-hydroxy-N,2-dimethyl- (CA INDEX NAME)



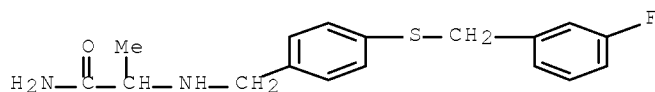
RN 845959-43-5 HCAPLUS

CN Propanamide, 2-[[[4-[(2-fluorophenyl)methyl]thio]phenyl]methyl]amino]- (CA INDEX NAME)

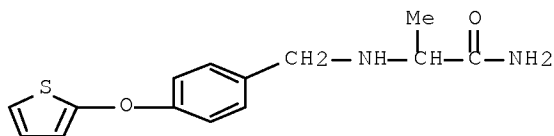


RN 845959-44-6 HCAPLUS

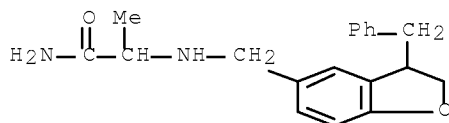
CN Propanamide, 2-[[[4-[(3-fluorophenyl)methyl]thio]phenyl]methyl]amino]- (CA INDEX NAME)



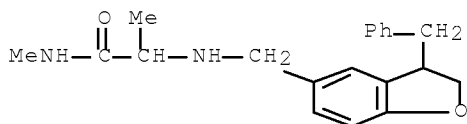
RN 845959-49-1 HCAPLUS
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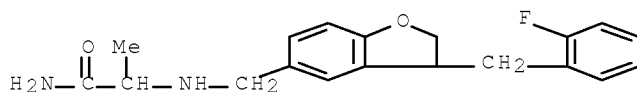
RN 861398-19-8 HCAPLUS
 CN Propanamide, 2-[[[2,3-dihydro-3-(phenylmethyl)-5-benzofuranyl]methyl]amino]- (CA INDEX NAME)



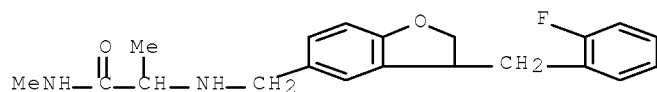
RN 861398-20-1 HCAPLUS
 CN Propanamide, 2-[[[2,3-dihydro-3-(phenylmethyl)-5-benzofuranyl]methyl]amino]-N-methyl- (CA INDEX NAME)



RN 861398-21-2 HCAPLUS
 CN Propanamide, 2-[[[3-[(2-fluorophenyl)methyl]-2,3-dihydro-5-benzofuranyl]methyl]amino]- (CA INDEX NAME)

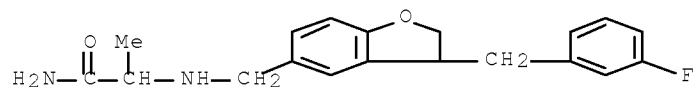


RN 861398-22-3 HCAPLUS
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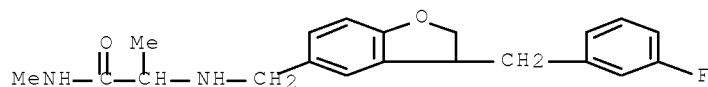
RN 861398-23-4 HCAPLUS

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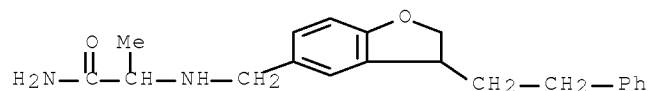
RN 861398-24-5 HCAPLUS

CN Propanamide, 2-[[[3-[(3-fluorophenyl)methyl]-2,3-dihydro-5-benzofuranyl]methyl]amino]-N-methyl- (CA INDEX NAME)



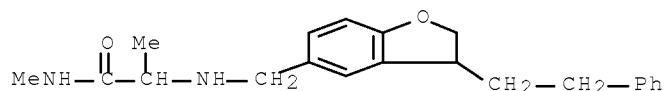
RN 861398-25-6 HCAPLUS

CN Propanamide, 2-[[[2,3-dihydro-3-(2-phenylethyl)-5-benzofuranyl]methyl]amino]- (CA INDEX NAME)



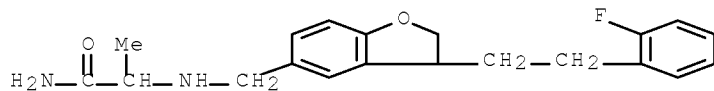
RN 861398-26-7 HCAPLUS

CN Propanamide, 2-[[[2,3-dihydro-3-(2-phenylethyl)-5-benzofuranyl]methyl]amino]-N-methyl- (CA INDEX NAME)



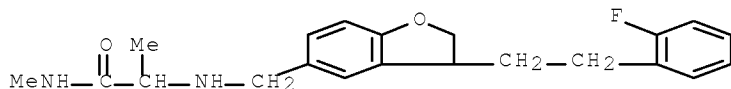
RN 861398-27-8 HCAPLUS

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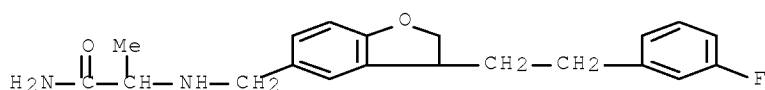
RN 861398-28-9 HCAPLUS

CN Propanamide, 2-[[[3-[2-(2-fluorophenyl)ethyl]-2,3-dihydro-5-benzofuranyl]methyl]amino]-N-methyl- (CA INDEX NAME)



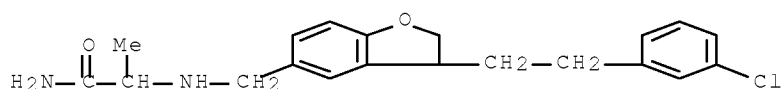
RN 861398-29-0 HCAPLUS

CN Propanamide, 2-[[[3-[2-(3-fluorophenyl)ethyl]-2,3-dihydro-5-benzofuranyl]methyl]amino]- (CA INDEX NAME)



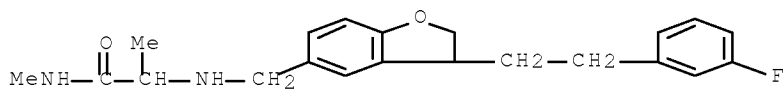
RN 861398-30-3 HCAPLUS

CN Propanamide, 2-[[[3-[2-(3-chlorophenyl)ethyl]-2,3-dihydro-5-benzofuranyl]methyl]amino]- (CA INDEX NAME)



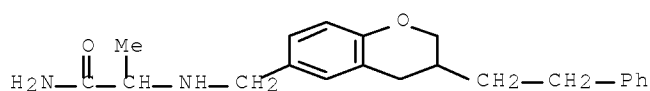
RN 861398-31-4 HCAPLUS

CN Propanamide, 2-[[[3-[2-(3-fluorophenyl)ethyl]-2,3-dihydro-5-benzofuranyl]methyl]amino]-N-methyl- (CA INDEX NAME)



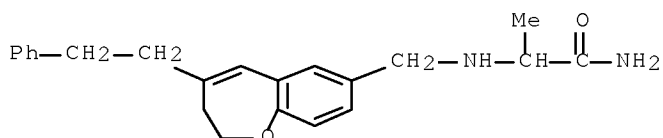
RN 861398-32-5 HCAPLUS

CN Propanamide, 2-[[[3-[2-(3-fluorophenyl)ethyl]-2,3-dihydro-5-benzofuranyl]methyl]amino]-N-methyl- (CA INDEX NAME)



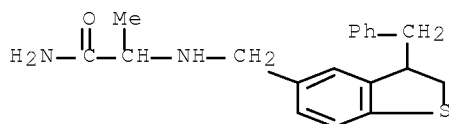
RN 861398-33-6 HCAPLUS

CN Propanamide, 2-[[[2,3-dihydro-4-(2-phenylethyl)-1-benzoxepin-7-yl]methyl]amino]- (CA INDEX NAME)



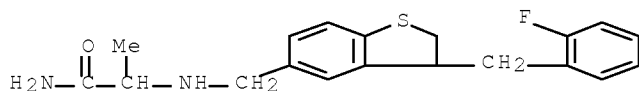
RN 861398-34-7 HCAPLUS

CN Propanamide, 2-[[[2,3-dihydro-3-(phenylmethyl)benzo[b]thien-5-yl]methyl]amino]- (CA INDEX NAME)



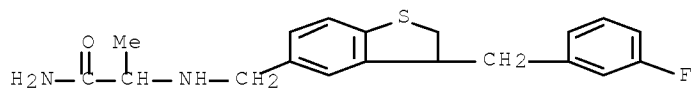
RN 861398-35-8 HCAPLUS

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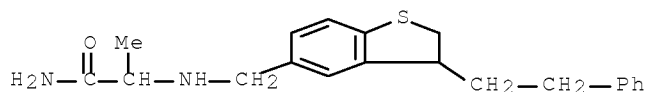


RN 861398-36-9 HCAPLUS

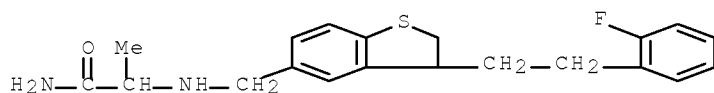
CN Propanamide, 2-[[[3-[(3-fluorophenyl)methyl]-2,3-dihydrobenzo[b]thien-5-yl]methyl]amino]- (CA INDEX NAME)



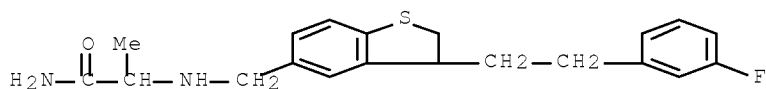
RN 861398-37-0 HCAPLUS
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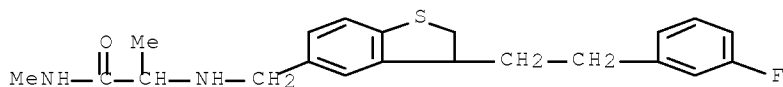
RN 861398-38-1 HCAPLUS
 CN Propanamide, 2-[[[3-[2-(2-fluorophenyl)ethyl]-2,3-dihydrobenzo[b]thien-5-yl]methyl]amino]- (CA INDEX NAME)



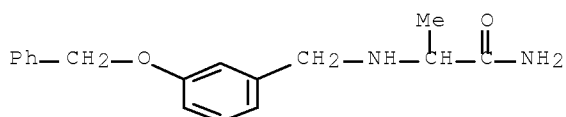
RN 861398-39-2 HCAPLUS
 CN Propanamide, 2-[[[3-[2-(3-fluorophenyl)ethyl]-2,3-dihydrobenzo[b]thien-5-yl]methyl]amino]- (CA INDEX NAME)



RN 861398-40-5 HCAPLUS
 CN Propanamide, 2-[[[3-[2-(3-fluorophenyl)ethyl]-2,3-dihydrobenzo[b]thien-5-yl]methyl]amino]-N-methyl- (CA INDEX NAME)

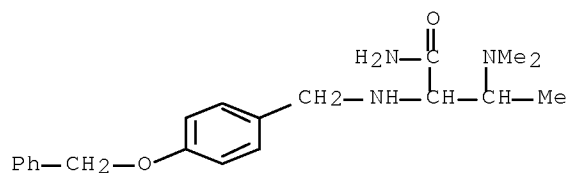


RN 861398-41-6 HCAPLUS
 CN Propanamide, 2-[[[3-(phenylmethoxy)phenyl]methyl]amino]- (CA INDEX NAME)



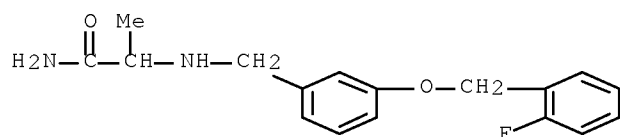
RN 861398-42-7 HCAPLUS

CN Butanamide, 3-(dimethylamino)-2-[[[4-(phenylmethoxy)phenyl]methyl]amino]-
(CA INDEX NAME)



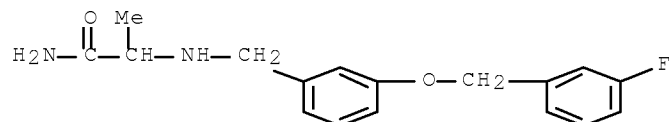
RN 861398-43-8 HCAPLUS

CN Propanamide, 2-[[[3-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]- (CA
INDEX NAME)



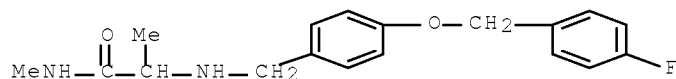
RN 861398-44-9 HCAPLUS

CN Propanamide, 2-[[[3-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]- (CA
INDEX NAME)



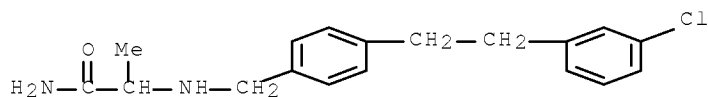
RN 861398-45-0 HCAPLUS

CN Propanamide, 2-[[[4-[(4-fluorophenyl)methoxy]phenyl]methyl]amino]-N-methyl-
(CA INDEX NAME)



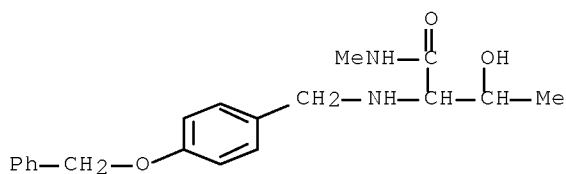
RN 861398-46-1 HCAPLUS

CN Propanamide, 2-[[[4-[2-(3-chlorophenyl)ethyl]phenyl]methyl]amino]- (CA
INDEX NAME)



RN 861398-47-2 HCAPLUS

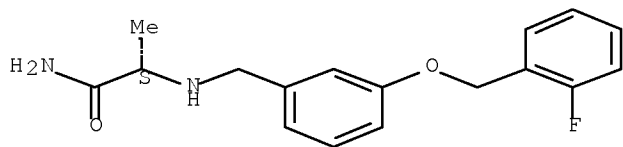
CN Butanamide, 3-hydroxy-N-methyl-2-[[[4-(phenylmethoxy)phenyl]methyl]amino]-
(CA INDEX NAME)



RN 861398-50-7 HCAPLUS

CN Propanamide, 2-[[[3-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
(CA INDEX NAME)

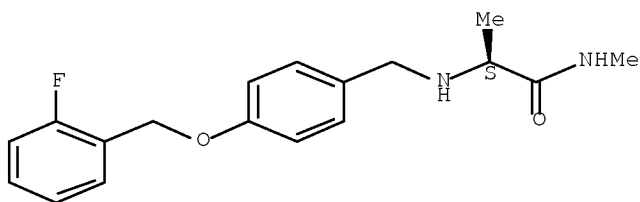
Absolute stereochemistry. Rotation (+).



RN 861398-51-8 HCAPLUS

CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-N-methyl-, (2S)-
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

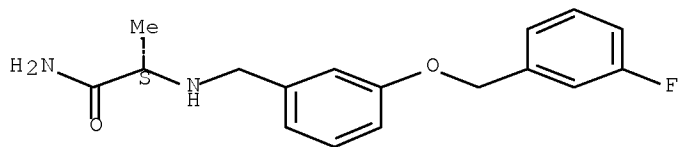


RN 861398-52-9 HCAPLUS

CN Propanamide, 2-[[[3-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-

(CA INDEX NAME)

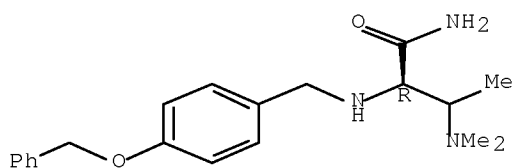
Absolute stereochemistry. Rotation (+).



RN 861398-53-0 HCAPLUS

CN Butanamide, 3-(dimethylamino)-2-[[[4-(phenylmethoxy)phenyl]methyl]amino]-, (2R)- (CA INDEX NAME)

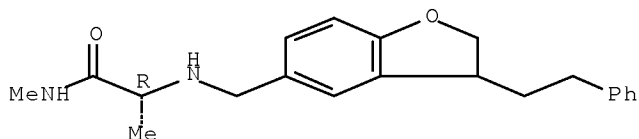
Absolute stereochemistry.



RN 861398-54-1 HCAPLUS

CN Propanamide, 2-[[[2,3-dihydro-3-(2-phenylethyl)-5-benzofuranyl]methyl]amino]-N-methyl-, (2R)- (CA INDEX NAME)

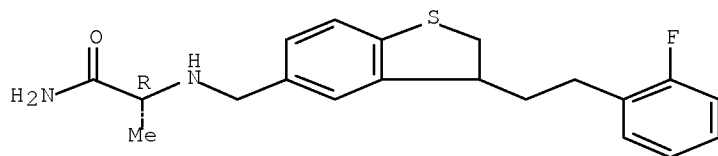
Absolute stereochemistry.



RN 861398-55-2 HCAPLUS

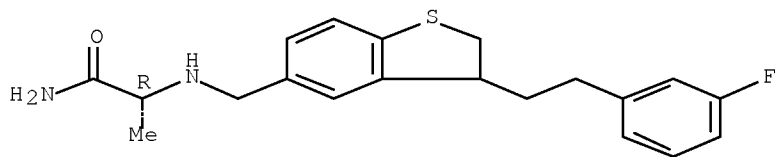
CN Propanamide, 2-[[[3-[2-(2-fluorophenyl)ethyl]-2,3-dihydrobenzo[b]thien-5-yl]methyl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 861398-56-3 HCAPLUS
 CN Propanamide, 2-[[[3-[2-(3-fluorophenyl)ethyl]-2,3-dihydrobenzo[b]thien-5-yl]methyl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 8 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:214178 HCAPLUS Full-text

DOCUMENT NUMBER: 142:385810

TITLE: The anti-nociceptive agent ralfinamide inhibits tetrodotoxin-resistant and tetrodotoxin-sensitive Na⁺ currents in dorsal root ganglion neurons

AUTHOR(S): Stummann, Tina C.; Salvati, Patricia;

Fariello, Ruggero G.; Faravelli, Laura

CORPORATE SOURCE: Research and Development, Newron Pharmaceuticals S.p.A., Milan, I-20091, Italy

SOURCE: European Journal of Pharmacology (2005), 510(3), 197-208

CODEN: EJPHAZ; ISSN: 0014-2999

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 11 Mar 2005

AB Tetrodotoxin-resistant and tetrodotoxin-sensitive Na⁺ channels contribute to the abnormal spontaneous firing in dorsal root ganglion neurons associated with neuropathic pain. Effects of the anti-nociceptive agent ralfinamide on tetrodotoxin-resistant and tetrodotoxin-sensitive currents in rat dorsal root ganglion neurons were therefore investigated by patch clamp expts. Ralfinamide inhibition was voltage-dependent showing highest potency towards inactivated channels. IC₅₀ values for tonic block of half-maximal inactivated tetrodotoxin-resistant and tetrodotoxin-sensitive currents were 10 μM and 22 μM. Carbamazepine, an anticonvulsant used in the treatment of pain, showed significantly lower potency. Ralfinamide produced a hyperpolarizing shift in the steady-state inactivation curves of both currents confirming the preferential interaction with inactivated channels. Addnl., ralfinamide use and frequency dependently inhibited both currents and significantly delayed repriming from inactivation. All effects were more pronounced for tetrodotoxin-resistant than tetrodotoxin-sensitive currents. The potency and mechanisms of actions of ralfinamide provide a hypothesis for the anti-nociceptive properties found in animal models.

IT 133865-88-0, Ralfinamide

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

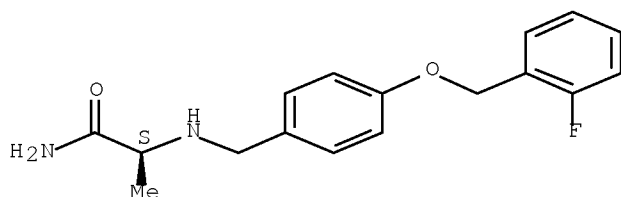
(analgesic ralfinamide inhibits tetrodotoxin-resistant and tetrodotoxin-sensitive sodium currents in dorsal root ganglion neurons)

RN 133865-88-0 HCAPLUS

Serial No.:10/586,494

CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 9 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:177883 HCAPLUS Full-text
 DOCUMENT NUMBER: 142:254593
 TITLE: α -Aminoamide derivatives useful as
 antiinflammatory agents
 INVENTOR(S): Salvati, Patricia; Veneroni, Orietta
 ; Barbanti, Elena; Ruggero, Fariello;
 Benatti, Luca
 PATENT ASSIGNEE(S): Newron Pharmaceuticals, SPA, Italy
 SOURCE: PCT Int. Appl., 27 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005018627	A1	20050303	WO 2004-IB1574	20040422
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004266494	A1	20050303	AU 2004-266494	20040422
CA 2536764	A1	20050303	CA 2004-2536764	20040422
EP 1658062	A1	20060524	EP 2004-728870	20040422
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
CN 1842328	A	20061004	CN 2004-80024275	20040422
BR 2004013982	A	20061107	BR 2004-13982	20040422
JP 2007503424	T	20070222	JP 2006-524432	20040422
IN 2006DN00838	A	20070810	IN 2006-DN838	20060217
NO 2006000896	A	20060309	NO 2006-896	20060223

Serial No.:10/586,494

MX 2006PA02189	A	20061110	MX 2006-PA2189	20060223
US 20070276046	A1	20071129	US 2006-569403	20061218
PRIORITY APPLN. INFO.:			US 2003-497722P	P 20030825
			WO 2004-IB1574	W 20040422

OTHER SOURCE(S): MARPAT 142:254593

ED Entered STN: 03 Mar 2005

AB The invention discloses methods of using certain α -aminoamide derivs. as antiinflammatory agents. The antiinflammatory agents of the invention are able to reduce or even stop inflammatory conditions substantially without side effects. Compds. of the invention include e.g. (S)-(+)-2-[4-(2-fluorobenzoyloxy)benzylamino]propanamide.

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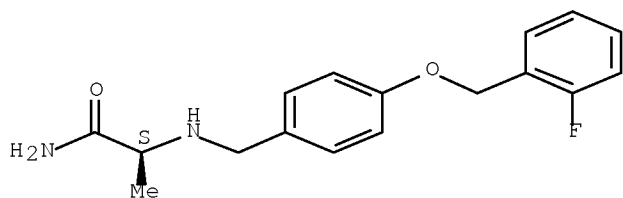
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)

(α -aminoamide derivs. useful as antiinflammatory agents)

RN 133865-88-0 HCAPLUS

CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
 (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

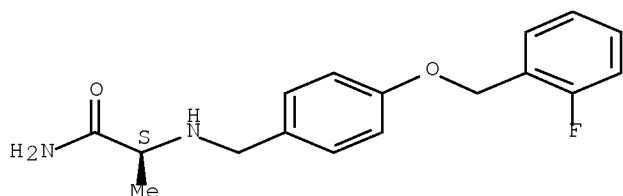


RN 133865-88-0 HCAPLUS

CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-

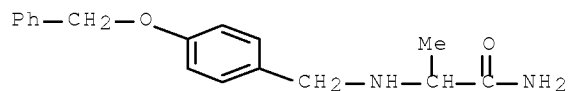
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



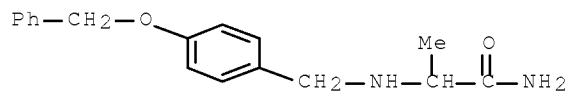
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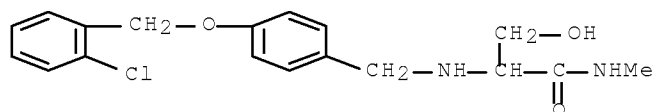
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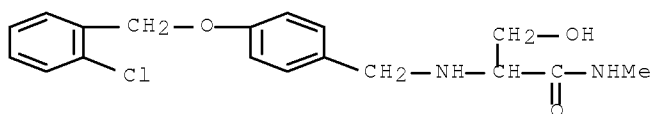
RN 133866-10-1 HCAPLUS

CN Propanamide, 2-[[[4-[(2-chlorophenyl)methoxy]phenyl]methyl]amino]-3-hydroxy-N-methyl- (CA INDEX NAME)

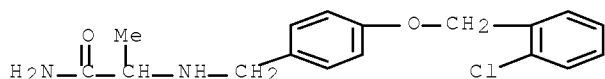


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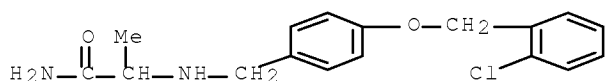
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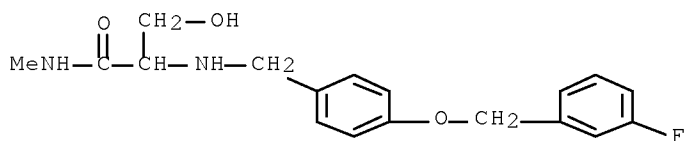
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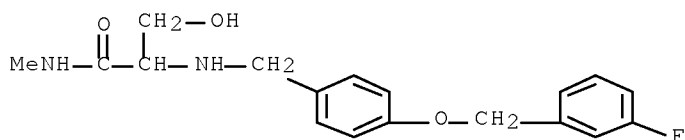
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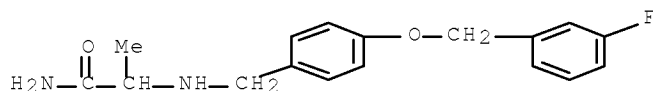
RN 133866-12-3 HCAPLUS
 CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-3-hydroxy-N-methyl- (CA INDEX NAME)



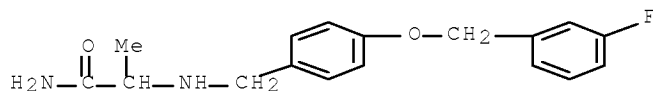
RN 133866-12-3 HCAPLUS
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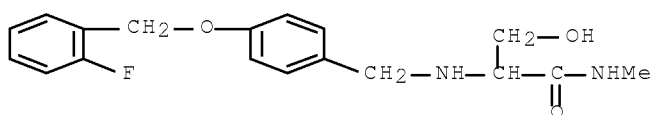
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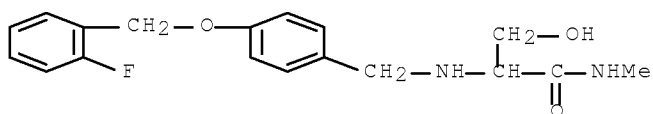
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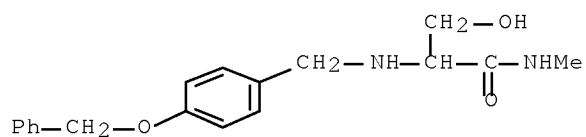
RN 133866-15-6 HCAPLUS
 CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-3-hydroxy-N-methyl- (CA INDEX NAME)



RN 133866-15-6 HCAPLUS
 CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-3-hydroxy-N-methyl- (CA INDEX NAME)

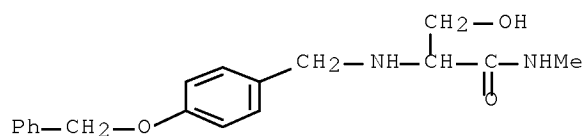


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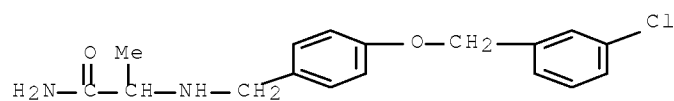
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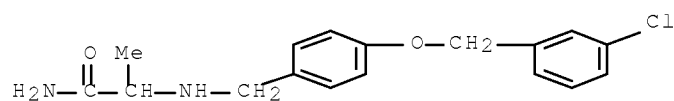
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INDEX NAME)



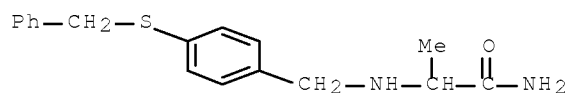
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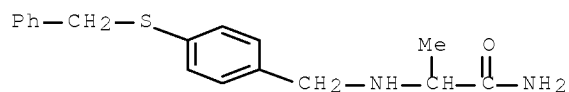


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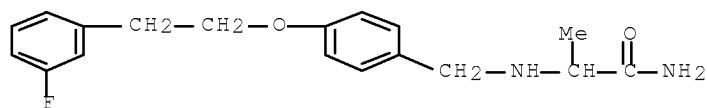
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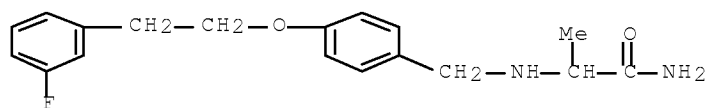
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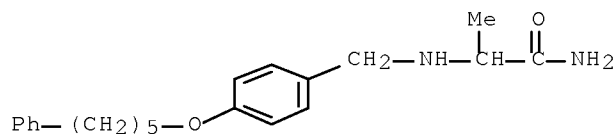
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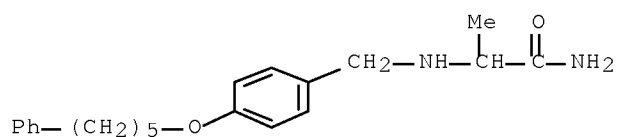
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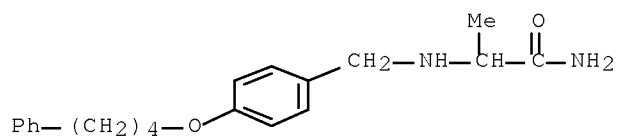


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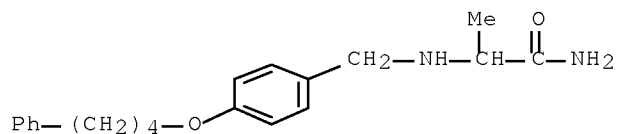
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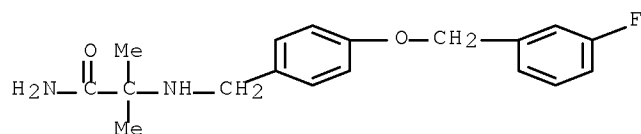
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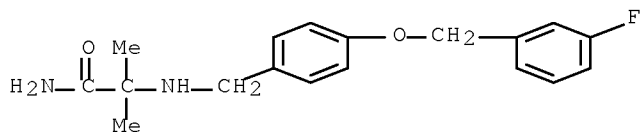
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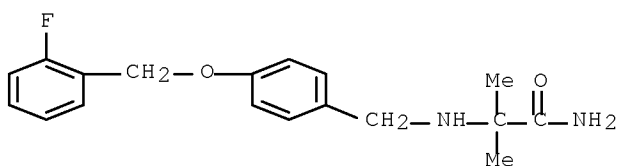
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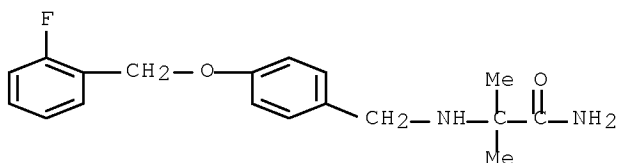
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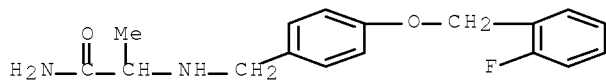
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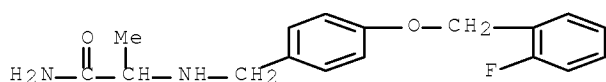
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INDEX NAME)



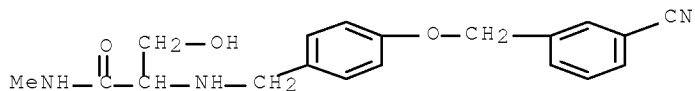
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INDEX NAME)



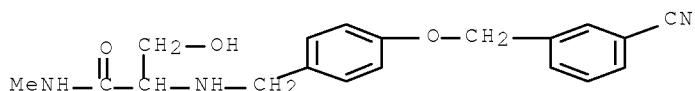
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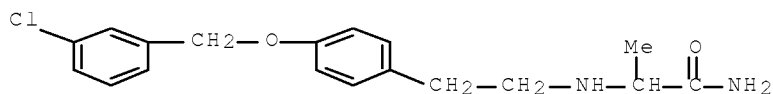
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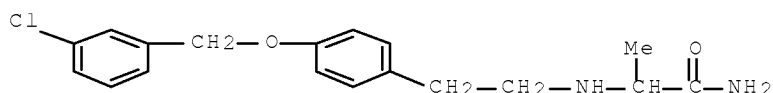
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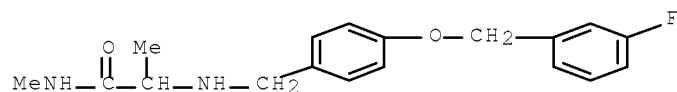
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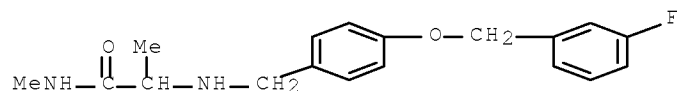
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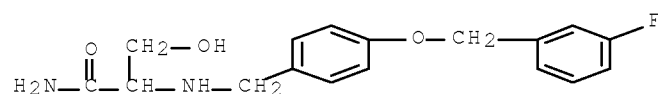
RN 229309-24-4 HCAPLUS

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(CA INDEX NAME)



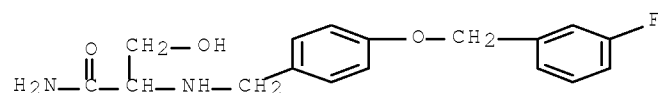
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CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-3-
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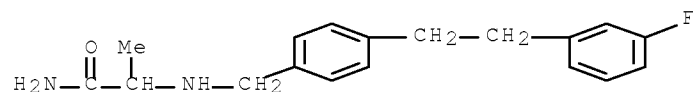
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CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-3-
hydroxy- (CA INDEX NAME)



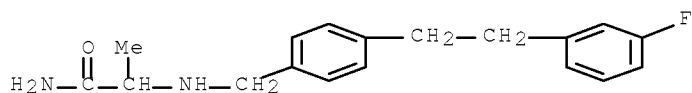
RN 229309-26-6 HCAPLUS

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INDEX NAME)

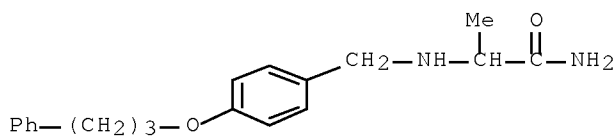


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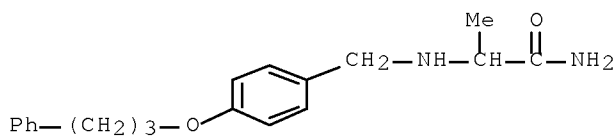
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INDEX NAME)



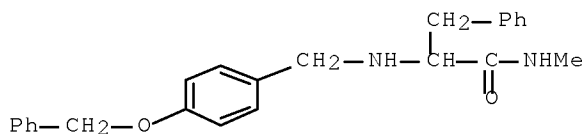
RN 229309-28-8 HCAPLUS
 CN Propanamide, 2-[[[4-(3-phenylpropoxy)phenyl]methyl]amino]- (CA INDEX NAME)



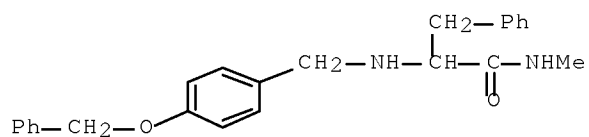
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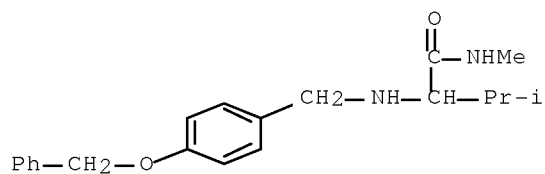
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 CN Benzenepropanamide, N-methyl- α -[[[4-(phenylmethoxy)phenyl]methyl]amino]- (CA INDEX NAME)



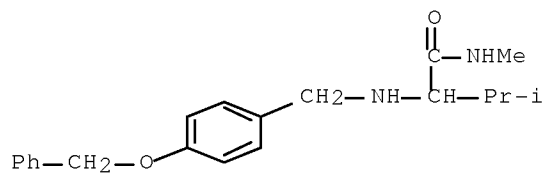
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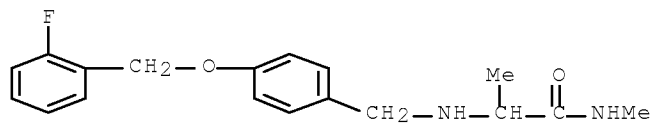
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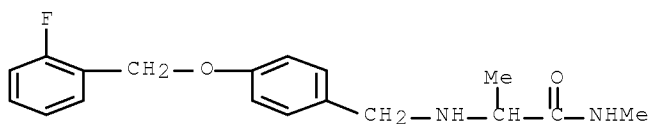
RN 229309-30-2 HCAPLUS
CN Butanamide, N,3-dimethyl-2-[[[4-(phenylmethoxy)phenyl]methyl]amino]- (CA INDEX NAME)



RN 721949-10-6 HCAPLUS
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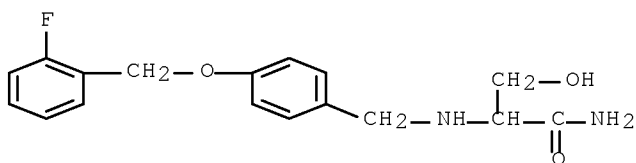


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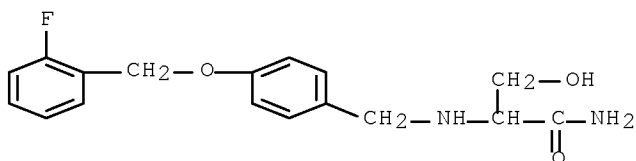
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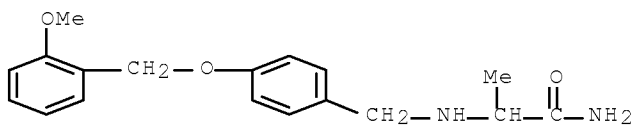
RN 721949-11-7 HCAPLUS

CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-3-hydroxy- (CA INDEX NAME)



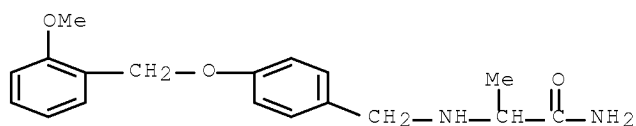
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CN Propanamide, 2-[[[4-[(2-methoxyphenyl)methoxy]phenyl]methyl]amino]- (CA INDEX NAME)

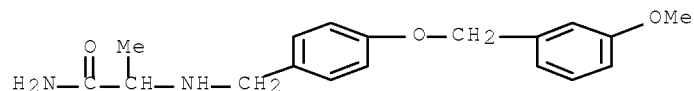


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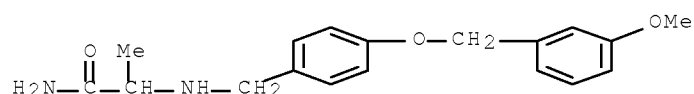
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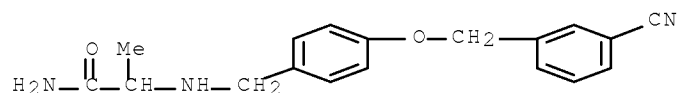
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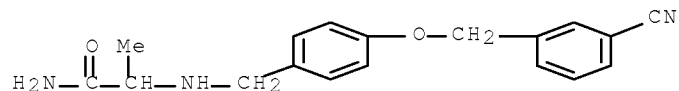
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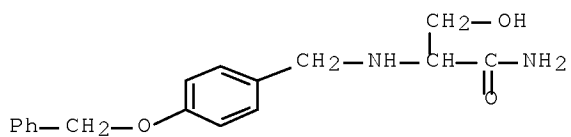
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RN 845959-39-9 HCAPLUS
 CN Propanamide, 2-[[[4-[(3-cyanophenyl)methoxy]phenyl]methyl]amino]- (CA INDEX NAME)

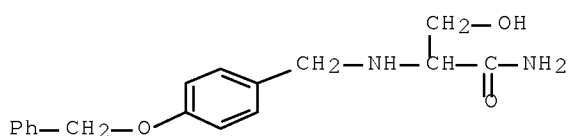


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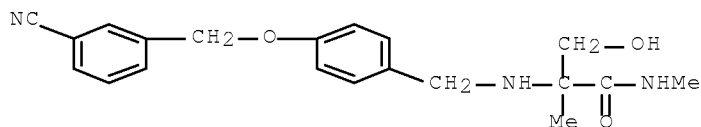
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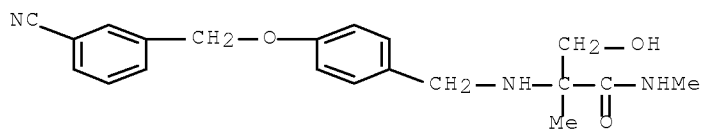
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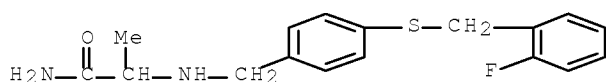
RN 845959-42-4 HCAPLUS

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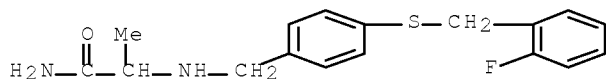
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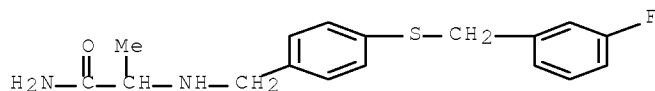
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CN Propanamide, 2-[[[4-[(2-fluorophenyl)methyl]thio]phenyl]methyl]amino]-
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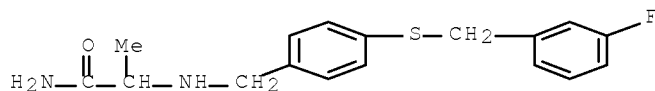
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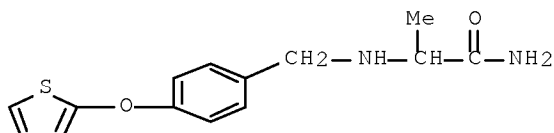
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(CA INDEX NAME)



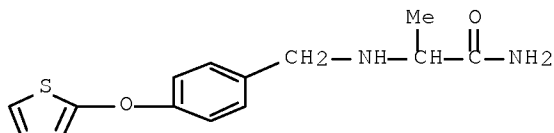
RN 845959-49-1 HCAPLUS

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RN 845959-49-1 HCAPLUS

CN Propanamide, 2-[[[4-(2-thienyloxy)phenyl]methyl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 10 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:872683 HCAPLUS Full-text
 DOCUMENT NUMBER: 141:370536
 TITLE: Combination chemotherapy for treatment of parkinson's disease by using safinamides and MAO-B inhibitors together with other antiparkinsonian agents
 INVENTOR(S): Ruggero, Fariello; Cattaneo, Carlo; Salvati, Patricia; Benatti, Luca
 PATENT ASSIGNEE(S): Newron Pharmaceuticals, Inc., Italy
 SOURCE: PCT Int. Appl., 43 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089353	A2	20041021	WO 2004-IB1408	20040408
WO 2004089353	A3	20041216		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004228782	A1	20041021	AU 2004-228782	20040408
CA 2523188	A1	20041021	CA 2004-2523188	20040408
EP 1613296	A2	20060111	EP 2004-726590	20040408
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004009364	A	20060425	BR 2004-9364	20040408
CN 1771030	A	20060510	CN 2004-80009655	20040408
JP 2006522800	T	20061005	JP 2006-506582	20040408
NZ 542910	A	20071026	NZ 2004-542910	20040408
NO 2005004640	A	20051209	NO 2005-4640	20051010
MX 2005PA10873	A	20060321	MX 2005-PA10873	20051010
IN 2005DN04581	A	20070817	IN 2005-DN4581	20051010
US 20070093495	A1	20070426	US 2005-559982	20051209
PRIORITY APPLN. INFO.:			US 2003-462205P	P 20030411
			WO 2004-IB1408	W 20040408

ED Entered STN: 21 Oct 2004

AB New uses of safinamide, safinamide derivs. and MAO-B inhibitors in novel types of treatment for Parkinson's Disease are described. More specifically, the invention relates to methods for treating Parkinson's Disease through the administration of safinamide, a safinamide derivative, or a MAO-B inhibitor, in combination with other Parkinson's Disease agents or treatments, such as levodopa/PDI or dopamine agonists. For example, safinamide as an anticonvulsant was proved through clin. trials to be potent and safe to treat idiopathic early Parkinson's disease.

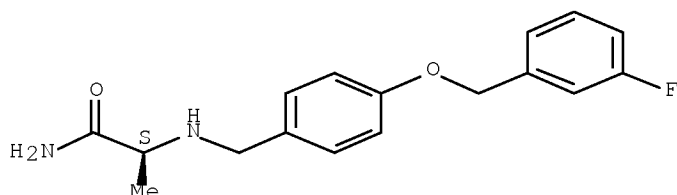
IT 133865-89-1, Safinamide

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination chemotherapy for treatment of parkinson's disease by using safinamides and MAO-B inhibitors together with dopamine agonists)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



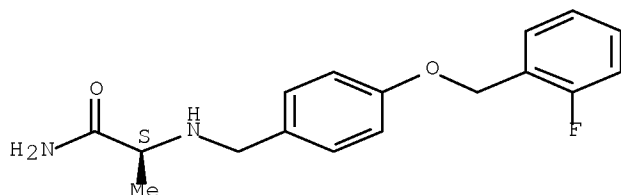
IT 133865-88-0 133865-89-1D, Safinamide, derivs.
187868-20-8

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination chemotherapy for treatment of parkinson's disease by using safinamides and MAO-B inhibitors together with dopamine agonists)

RN 133865-88-0 HCAPLUS

CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
(CA INDEX NAME)

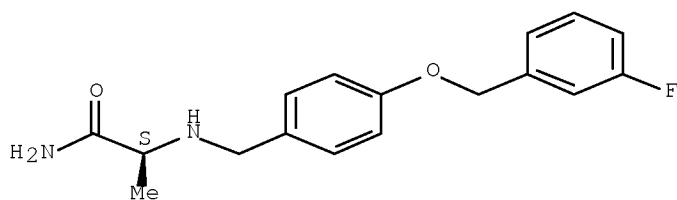
Absolute stereochemistry. Rotation (+).



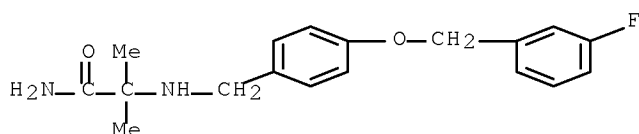
RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 187868-20-8 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-2-methyl-
(CA INDEX NAME)

L30 ANSWER 11 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:584466 HCAPLUS Full-text

DOCUMENT NUMBER: 141:128830

TITLE: Alpha-aminoamide derivatives useful as antimigraine agents

INVENTOR(S): Salvati, Patricia; Calabresi, Marcello; Dho, Luciano; Veneroni, Orietta; Melloni, Piero

PATENT ASSIGNEE(S): Newron Pharmaceuticals S.P.A., Italy

SOURCE: Eur. Pat. Appl., 12 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1438956	A1	20040721	EP 2003-921	20030116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CA 2510514	A1	20040729	CA 2003-2510514	20031118
WO 2004062655	A1	20040729	WO 2003-EP12889	20031118
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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003279399	A1	20040810	AU 2003-279399	20031118

Serial No.:10/586,494

EP 1585510	A1	20051019	EP 2003-772344	20031118
EP 1585510	B1	20071205		
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BR 2003017795	A	20051122	BR 2003-17795	20031118
CN 1738611	A	20060222	CN 2003-80108890	20031118
JP 2006514060	T	20060427	JP 2004-565939	20031118
AT 380026	T	20071215	AT 2003-772344	20031118
NZ 541117	A	20080229	NZ 2003-541117	20031118
ES 2295658	T3	20080416	ES 2003-772344	20031118
RU 2336077	C2	20081020	RU 2005-125919	20031118
US 20060079570	A1	20060413	US 2005-541195	20050630
MX 2005PA07339	A	20050930	MX 2005-PA7339	20050706
IN 2005KN01531	A	20061027	IN 2005-KN1531	20050803
NO 2005003780	A	20051013	NO 2005-3780	20050809

PRIORITY APPLN. INFO.:

EP 2003-921	A	20030116
WO 2003-EP12889	W	20031118

OTHER SOURCE(S): MARPAT 141:128830

ED Entered STN: 22 Jul 2004

AB α -Aminoamide derivs. useful as antimigraine agents, particularly for the treatment of head pain conditions such as migraine, cluster headache or other severe headache, are disclosed. The antimigraine agents of the invention are able to reduce or even stop the pain deriving from such conditions without, virtually, any side effects.

IT 133865-88-0 133865-89-1 133866-09-8
133866-10-1 133866-11-2 133866-12-3
133866-14-5 133866-15-6 133866-18-9
133866-19-0 133866-25-8 229309-19-7
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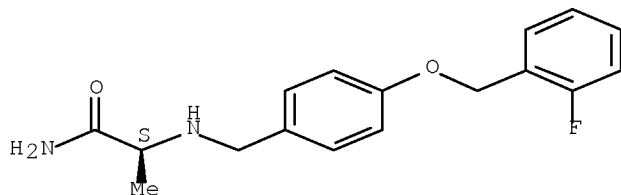
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(α -aminoamide derivs. useful as antimigraine agents)

RN 133865-88-0 HCAPLUS

CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)- (CA INDEX NAME)

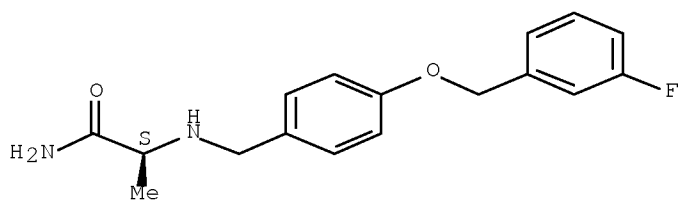
Absolute stereochemistry. Rotation (+).



RN 133865-89-1 HCAPLUS

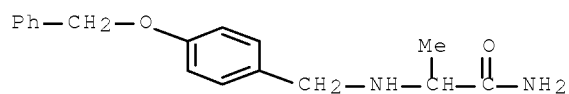
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Absolute stereochemistry. Rotation (+).



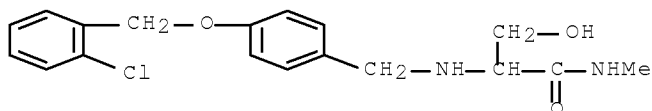
RN 133866-09-8 HCAPLUS

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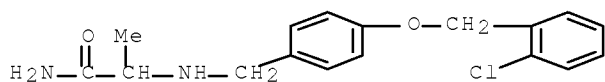
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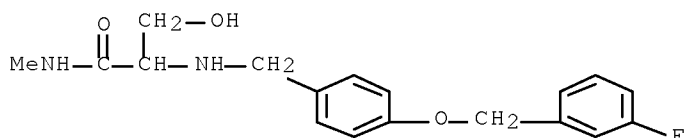
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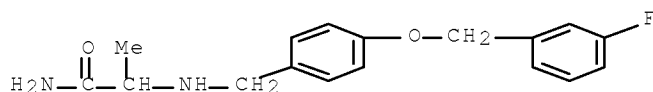
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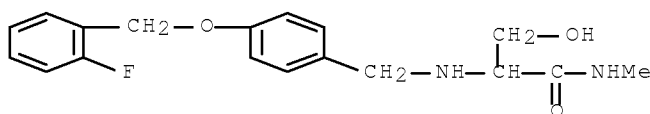
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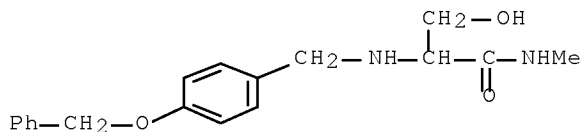
RN 133866-15-6 HCAPLUS

CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-3-hydroxy-N-methyl- (CA INDEX NAME)



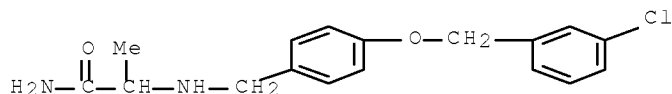
RN 133866-18-9 HCAPLUS

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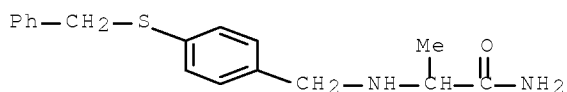
RN 133866-19-0 HCAPLUS

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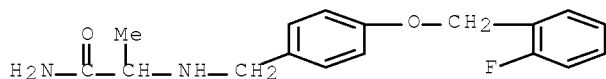


RN 133866-25-8 HCAPLUS

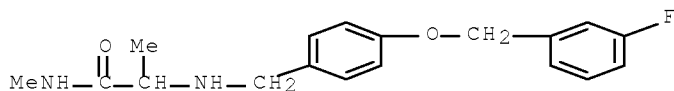
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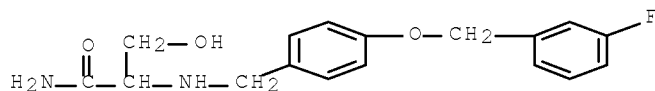
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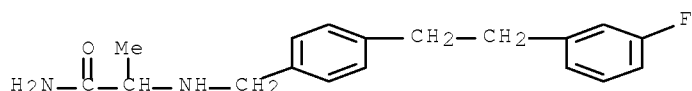
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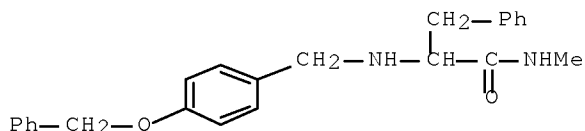
RN 229309-25-5 HCAPLUS
CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-3-hydroxy-N-methyl- (CA INDEX NAME)



RN 229309-26-6 HCAPLUS
CN Propanamide, 2-[[[4-[2-(3-fluorophenyl)ethyl]phenyl]methyl]amino]-N-methyl- (CA INDEX NAME)

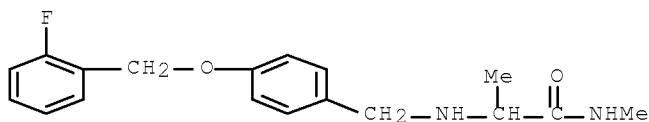


RN 229309-29-9 HCAPLUS
CN Benzenepropanamide, N-methyl-α-[[[4-[(phenylmethoxy)phenyl]methyl]amino]-N-methyl- (CA INDEX NAME)



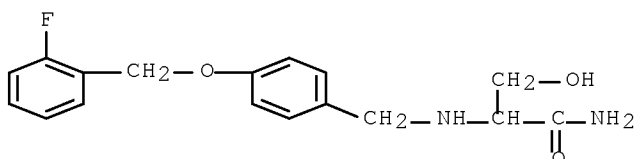
RN 721949-10-6 HCAPLUS

CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-N-methyl-
(CA INDEX NAME)



RN 721949-11-7 HCAPLUS

CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-3-
hydroxy- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 12 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:202474 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 138:215340

TITLE: Pharmaceutical composition comprising gabapentin or an
analogue thereof and an α -aminoamide, and its
analgesic use

INVENTOR(S): Salvati, Patricia; Veneroni, Orietta
; Maj, Roberto; Fariello, Ruggero; Benatti,
Luca

PATENT ASSIGNEE(S): Newron Pharmaceuticals S.p.A., Italy

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003020273	A2	20030313	WO 2002-EP8910	20020809
WO 2003020273	A3	20030904		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1287853	A1	20030305	EP 2001-121069	20010903
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CA 2459470	A1	20030313	CA 2002-2459470	20020809
AU 2002333374	A1	20030318	AU 2002-333374	20020809
AU 2002333374	A2	20030318		
AU 2002333374	B2	20070322		
EP 1423168	A2	20040602	EP 2002-797573	20020809
EP 1423168	B1	20060208		
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BR 2002012298	A	20040914	BR 2002-12298	20020809
JP 2005504782	T	20050217	JP 2003-524580	20020809
NZ 531586	A	20050930	NZ 2002-531586	20020809
AT 317280	T	20060215	AT 2002-797573	20020809
PT 1423168	T	20060531	PT 2002-797573	20020809
ES 2253579	T3	20060601	ES 2002-797573	20020809
RU 2295337	C2	20070320	RU 2004-110041	20020809
NO 2004000907	A	20040514	NO 2004-907	20040302
MX 2004PA02009	A	20040708	MX 2004-PA2009	20040302
IN 2004KN00432	A	20060414	IN 2004-KN432	20040331
US 20040248978	A1	20041209	US 2004-487931	20040726
HK 1070305	A1	20070420	HK 2005-102974	20050408
PRIORITY APPLN. INFO.:			EP 2001-121069	A 20010903
			WO 2002-EP8910	W 20020809

ED Entered STN: 14 Mar 2003

AB A pharmaceutical composition for analgesic use is disclosed which comprises gabapentin or an analog thereof (pregabalin or tiagabine) and an α -aminoamide. A synergistic effect of the resp. analgesic activities without concomitant increase of side effects was observed

IT 133865-35-7 133865-88-0 500996-15-6

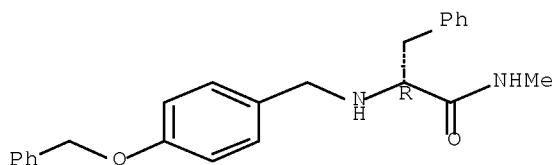
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(gabapentin or analog and α -aminoamide for analgesic use)

RN 133865-35-7 HCAPLUS

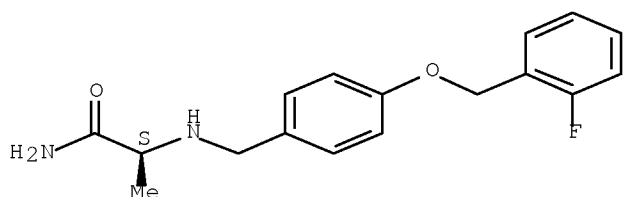
CN Benzenepropanamide, N-methyl- α -[[[4-(phenylmethoxy)phenyl]methyl]amino]-, (α R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



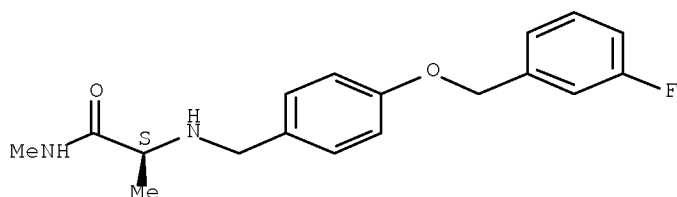
RN 133865-88-0 HCAPLUS
 CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
 (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 500996-15-6 HCAPLUS
 CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-N-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L30 ANSWER 13 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1999:614109 HCAPLUS Full-text
 DOCUMENT NUMBER: 131:317328
 TITLE: Sodium channel activity and sigma binding of
 2-aminopropanamide anticonvulsants
 AUTHOR(S): Pevarello, Paolo; Bonsignori, Alberto; Caccia, Carla;
 Amici, Raffaella; McArthur, Robert A.; Fariello,
 Ruggero G.; Salvati, Patricia; Varasi, Mario
 CORPORATE SOURCE: Pharmacia and Upjohn, Milan, 20014, Italy
 SOURCE: Bioorganic & Medicinal Chemistry Letters (1999),
 9(17), 2521-2524
 CODEN: BMCLE8; ISSN: 0960-894X
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 26 Sep 1999

AB Sodium channel blocking, anticonvulsant activity, and sigma (σ) binding of selected leads in a series of α -amino amide anticonvulsants were examined While anticonvulsant compds. were always endowed with low micromolar sodium (Na^+) channel site-2 binding, compds. with low site-2 Na^+ channel affinity failed to control seizures. No correlation could be drawn with σ_1 binding. Both anticonvulsant and Na^+ channel blocking activities were independent of stereochem., while σ_1 binding seems to be favored by an S-configuration on the aminoamide moiety.

IT 133865-89-1

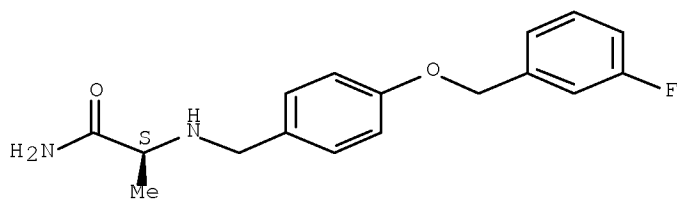
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(sodium channel activity and sigma binding of 2-aminopropanamide anticonvulsants)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 14 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:451276 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 131:87723

TITLE: Preparation of benzylamino acid amides as analgesics.

INVENTOR(S): Pevarello, Paolo; Varasi, Mario; Salvati, Patricia; Post, Claes

PATENT ASSIGNEE(S): Newron Pharmaceuticals S.P.A., Italy

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9935125	A1	19990715	WO 1998-EP8157	19981212
W:	AL, BA, BG, BR, CA, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KR, LC, LK, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

Serial No.:10/586,494

CA 2316902	A1	19990715	CA 1998-2316902	19981212
CA 2316902	C	20060606		
BR 9814548	A	20001010	BR 1998-14548	19981212
EP 1045830	A1	20001025	EP 1998-966617	19981212
EP 1045830	B1	20030423		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

HU 2001000870	A2	20010730	HU 2001-870	19981212
HU 2001000870	A3	20021128		
NZ 505440	A	20020201	NZ 1998-505440	19981212
JP 2002508302	T	20020319	JP 2000-527527	19981212
AT 238273	T	20030515	AT 1998-966617	19981212
PT 1045830	T	20030829	PT 1998-966617	19981212
ES 2194392	T3	20031116	ES 1998-966617	19981212
MX 2000PA06352	A	20020311	MX 2000-PA6352	20000626
NO 2000003399	A	20000802	NO 2000-3399	20000629
US 6306903	B1	20011023	US 2000-582198	20000829
US 40259	E1	20080422	US 2000-359982	20000829
HK 1028020	A1	20031107	HK 2000-107398	20001120

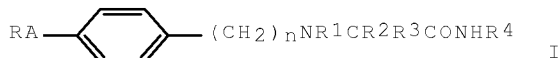
PRIORITY APPLN. INFO.:

GB 1997-27523	A	19971231
WO 1998-EP8157	W	19981212
US 2000-582198	E	20000829

OTHER SOURCE(S): MARPAT 131:87723

ED Entered STN: 23 Jul 1999

GI



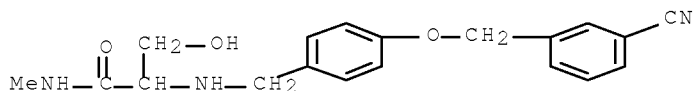
AB Title compds. [I; A = (CH₂)_m, (CH₂)_nX, (CH₂)_vO; m = 1-4; n = 0-4; X = S, NH; v = 0-5; s = 1, 2; R = furyl, thienyl, pyridyl, (substituted) Ph; R₁ = H, alkyl; 1 of R₂, R₃ = H, the other = H, alkyl, hydroxyalkyl, phenylalkyl; R₂R₃C = cycloalkyl; or R₂, R₃ both = Me; R₄ = H, alkyl], were prepared Thus, N-methylserinamide hydrochloride and 3Å mol. sieves in MeOH were treated with NaBH₃CN and 4-(3-cyanobenzyloxy)benzaldehyde followed by 2 h stirring to give (S)-2-[4-(3-cyanobenzyloxy)benzylamino]-3-hydroxy-N-methylpropanamide. A capsule formulation containing the latter is given. In the formalin test in mice, (S)-2-[4-(3-fluorobenzyloxy)benzylamino]-2-methylpropanamide at 60 mg/kg orally gave a leukemia time of 44.2 s in the acute phase, vs. 119.4 s for vehicle.

IT 229309-21-1F

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzylamino acid amides as analgesics)

RN 229309-21-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-cyanophenyl)methoxy]phenyl]methyl]amino]-3-hydroxy-N-methyl- (CA INDEX NAME)



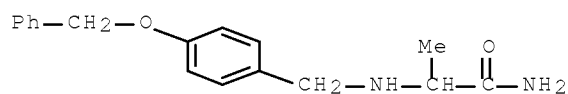
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 187868-20-8 229309-19-7 229309-22-2
 229309-24-4 229309-25-5 229309-26-6
 229309-28-8 229309-29-9 229309-30-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of benzylamino acid amides as analgesics)

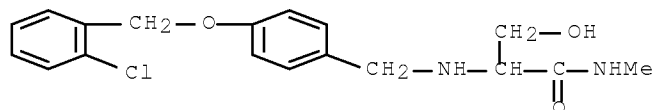
RN 133866-09-8 HCAPLUS

CN Propanamide, 2-[[[4-(phenylmethoxy)phenyl]methyl]amino]- (CA INDEX NAME)



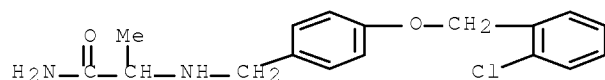
RN 133866-10-1 HCAPLUS

CN Propanamide, 2-[[[4-[(2-chlorophenyl)methoxy]phenyl]methyl]amino]-3-hydroxy-N-methyl- (CA INDEX NAME)



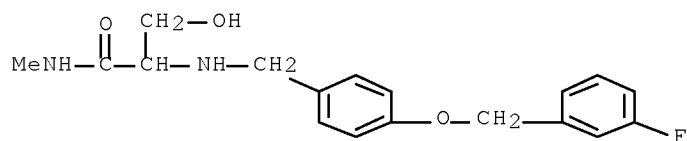
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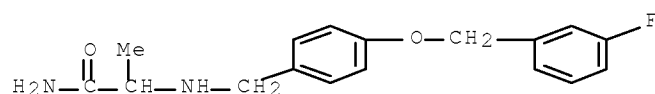
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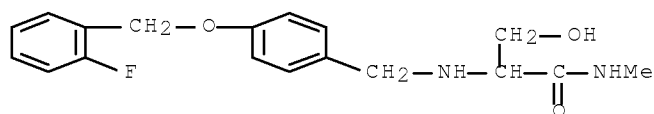
RN 133866-14-5 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-3-hydroxy-N-methyl- (CA INDEX NAME)



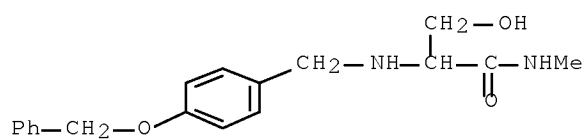
RN 133866-15-6 HCAPLUS

CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-3-hydroxy-N-methyl- (CA INDEX NAME)



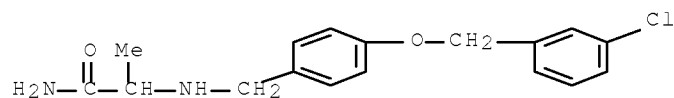
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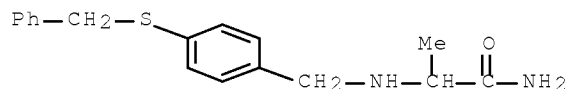
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CN Propanamide, 2-[[[4-[(3-chlorophenyl)methoxy]phenyl]methyl]amino]-3-hydroxy-N-methyl- (CA INDEX NAME)



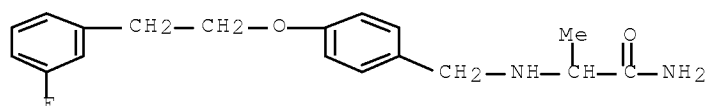
RN 133866-25-8 HCAPLUS

CN Propanamide, 2-[[[4-[(phenylmethyl)thio]phenyl]methyl]amino]- (CA INDEX NAME)



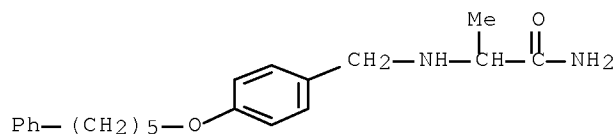
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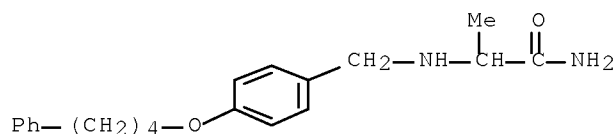
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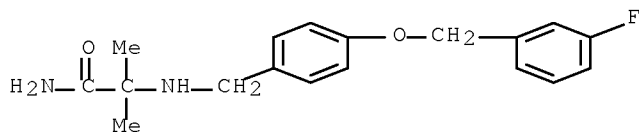
RN 166949-68-4 HCAPLUS

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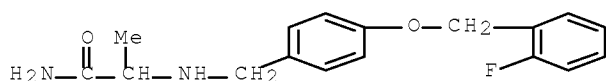


RN 187868-20-8 HCAPLUS

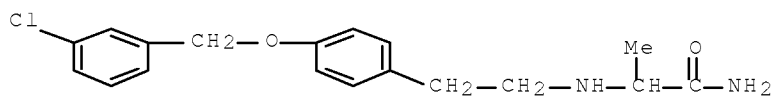
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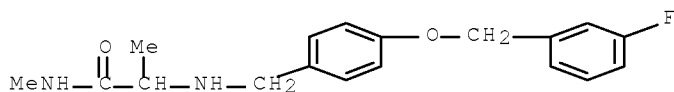
RN 229309-19-7 HCAPLUS
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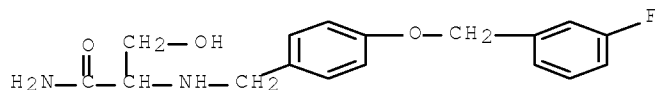
RN 229309-22-2 HCAPLUS
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RN 229309-24-4 HCAPLUS
 CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-N-methyl- (CA INDEX NAME)

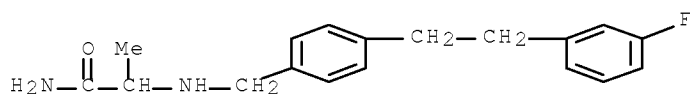


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 CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-3-hydroxy- (CA INDEX NAME)



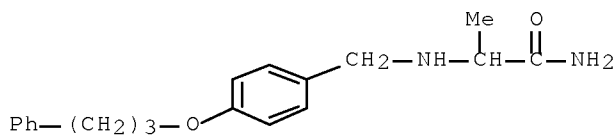
RN 229309-26-6 HCAPLUS
 CN Propanamide, 2-[[[4-[2-(3-fluorophenyl)ethyl]phenyl]methyl]amino]- (CA INDEX NAME)

INDEX NAME)



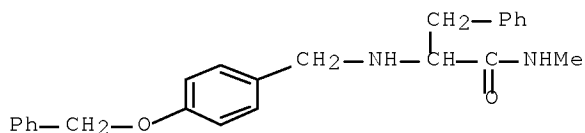
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CN Propanamide, 2-[[[4-(3-phenylpropoxy)phenyl]methyl]amino]- (CA INDEX NAME)



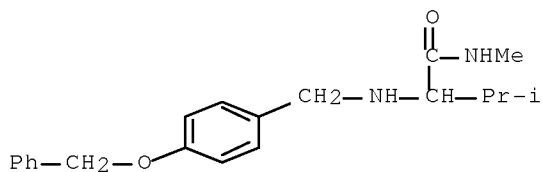
RN 229309-29-9 HCAPLUS

CN Benzenepropanamide, N-methyl-α-[[[4-(phenylmethoxy)phenyl]methyl]amino]- (CA INDEX NAME)



RN 229309-30-2 HCAPLUS

CN Butanamide, N,3-dimethyl-2-[[[4-(phenylmethoxy)phenyl]methyl]amino]- (CA INDEX NAME)



REFERENCE COUNT:

5

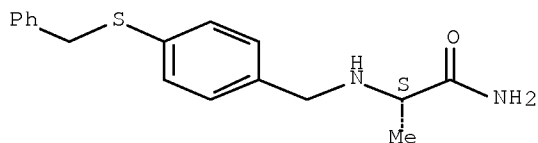
THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 15 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:66715 HCAPLUS Full-text

DOCUMENT NUMBER: 128:167216
 ORIGINAL REFERENCE NO.: 128:32953a,32956a
 TITLE: Synthesis and Anticonvulsant Activity of a New Class of 2-[(Arylalkyl)amino]alkanamide Derivatives
 AUTHOR(S): Pevarello, Paolo; Bonsignori, Alberto; Dostert, Philippe; Heidempergher, Franco; Pinciroli, Vittorio; Colombo, Maristella; McArthur, Robert A.; Salvati, Patricia; Post, Claes; Fariello, Ruggero G.; Varasi, Mario
 CORPORATE SOURCE: Department of Chemistry CNS Preclinical Research Structural and Predevelopment Analysis Department, Pharmacia & Upjohn, Nerviano, I-20014, Italy
 SOURCE: Journal of Medicinal Chemistry (1998), 41(4), 579-590
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 ED Entered STN: 05 Feb 1998
 AB Starting from milacemide, a weak anticonvulsant, trying to elucidate its mechanism of action a structurally novel class of potent and preclinically safe anticonvulsants was discovered. The structure-activity relationship study within this series of compds was reported. Different parts of the structural lead 2-[[4-(3-chlorobenzoxy)benzyl]amino]acetamide were varied, and many potent anticonvulsants were found. (S)-2-[[4-(3-fluorobenzoxy)benzyl]amino]propanamide methanesulfonate, (PNU-151774E), emerged as the promising candidate for further development for its potent anticonvulsant activity and outstanding therapeutic indexes in different animal tests.
 IT 133865-78-8
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (preparation and anticonvulsant activity of a new class of 2-[(arylalkyl)amino]alkanamide derivs.)
 RN 133865-78-8 HCAPLUS
 CN Propanamide, 2-[[[4-[(phenylmethyl)thio]phenyl]methyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 16 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1997:211273 HCAPLUS Full-text
 DOCUMENT NUMBER: 126:199335
 ORIGINAL REFERENCE NO.: 126:38535a,38538a
 TITLE: 2-(4-substituted)benzylamino-2-methylpropanamide derivatives with CNS activity
 INVENTOR(S): Pevarello, Paolo; Amici, Raffaella; Varasi, Mario; Bonsignori, Alberto; Salvati, Patricia
 PATENT ASSIGNEE(S): Pharmacia & Upjohn S.P.A., Italy; Pevarello, Paolo;

Serial No.:10/586,494

Amici, Raffaella; Varasi, Mario; Bonsignori, Alberto;
Salvati, Patricia
PCT Int. Appl., 22 pp.
CODEN: PIXXD2

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

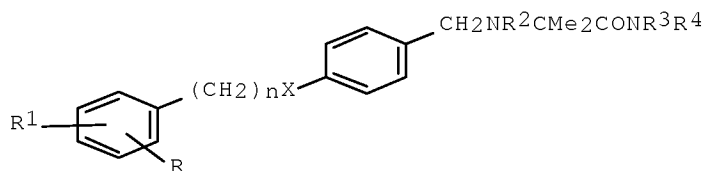
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9705102	A1	19970213	WO 1996-EP2961	19960705
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2226894	A1	19970213	CA 1996-2226894	19960705
AU 9664187	A	19970226	AU 1996-64187	19960705
AU 711309	B2	19991007		
EP 842143	A1	19980520	EP 1996-924888	19960705
EP 842143	B1	20010613		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, SI, FI				
CN 1192199	A	19980902	CN 1996-195901	19960705
CN 1085659	C	20020529		
BR 9609849	A	19990316	BR 1996-9849	19960705
HU 9900351	A2	19990628	HU 1999-351	19960705
HU 9900351	A3	19991129		
JP 2000500732	T	20000125	JP 1997-507147	19960705
JP 4040089	B2	20080130		
NZ 313185	A	20000526	NZ 1996-313185	19960705
IL 122705	A	20010128	IL 1996-122705	19960705
ES 2159749	T3	20011016	ES 1996-924888	19960705
PT 842143	T	20011030	PT 1996-924888	19960705
PL 184302	B1	20020930	PL 1996-324639	19960705
ZA 9605998	A	19970131	ZA 1996-5998	19960715
US 5945454	A	19990831	US 1998-981492	19980108
NO 9800290	A	19980122	NO 1998-290	19980122
NO 324273	B1	20070917		
GR 3036559	T3	20011231	GR 2001-401413	20010906
PRIORITY APPLN. INFO.:			GB 1995-15412	A 19950727
			WO 1996-EP2961	W 19960705

OTHER SOURCE(S): MARPAT 126:199335

ED Entered STN: 02 Apr 1997

GI



I

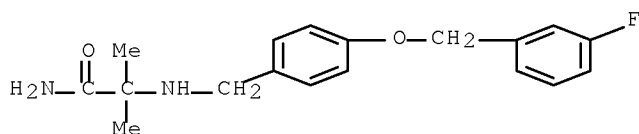
Serial No.:10/586,494

AB 2-(4-Substituted)benzylamino-2-methylpropanamides I [R, R1 = H, alkyl, halogen, OH, alkoxy, CF3; R2, R3, R4 = H, alkyl, cycloalkyl; X = O, S, NH, CH2; n = 0-3] have CNS activity. Thus, 4-(3-FC6H4CH2O)C6H4CH2NHCM2CONH2·MeSO3H (II) was prepared via reductive amination of 4-(3-FC6H4CH2O)C6H4CHO with Me2C(NH2)CONH2·HCl in MeOH containing NaBH3CN. II was a more effective antagonist (ED50 = 4.4 mg/Kg) than its 2-demethyl analog (ED50 = 8.2 mg/Kg) in the maximal electroshock seizure test.

IT 187868-20-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of (benzylamino)methylpropanamide derivs. with CNS activity)

RN 187868-20-8 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-2-methyl-
 (CA INDEX NAME)



=> D STAT QUE L21

L1 SCR 91 OR 55
L2 SCR 229
L3 SCR 1839
L4 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

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L16 101 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON (109209-65-6/BI OR
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L17 89 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L5 AND L16
L18 212201 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON ?BENZENEACETAMIDE?/CN
S
L19 78 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L17 NOT L18
L21 60 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L19

=> S L21 NOT L30

L31 44 L21 NOT L30

=> D IBIB ED ABS HITSTR L31 1-44

L31 ANSWER 1 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:1383655 HCAPLUS Full-text

DOCUMENT NUMBER: 149:575982

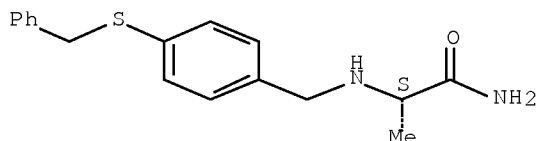
TITLE: Reductive aminations of carbonyl compounds with
borohydride and borane reducing agents

AUTHOR(S): Baxter, Ellen W.; Reitz, Allen B.

Serial No.:10/586,494

CORPORATE SOURCE: The R. W. Johnson Pharmaceutical Research Institute,
Spring House, PA, USA
SOURCE: Organic Reactions (Hoboken, NJ, United States) (2002),
59, No pp. given
CODEN: ORHNBA
URL: <http://www3.interscience.wiley.com/cgi-bin/mrwhome/107610747/HOME>
PUBLISHER: John Wiley & Sons, Inc.
DOCUMENT TYPE: Journal; General Review; (online computer file)
LANGUAGE: English
OTHER SOURCE(S): CASREACT 149:575982
ED Entered STN: 19 Nov 2008
AB A review of the article Reductive aminations of carbonyl compds. with
borohydride and borane reducing agents.
IT 133865-78-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(Reductive Aminations of Carbonyl Compds. with Borohydride and Borane
Reducing Agents)
RN 133865-78-8 HCAPLUS
CN Propanamide, 2-[[[4-[(phenylmethyl)thio]phenyl]methyl]amino]-, (2S)- (CA
INDEX NAME)

Absolute stereochemistry.



L31 ANSWER 2 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:1338451 HCAPLUS Full-text
DOCUMENT NUMBER: 149:541636
TITLE: Combination pharmaceutical compositions comprising
minicapsules or minispheres of, for example,
nimodipine and tacrolimus
INVENTOR(S): Coulter, Ivan
PATENT ASSIGNEE(S): Sigmoid Pharma Ltd., Ire.
SOURCE: PCT Int. Appl., 109pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008132712	A2	20081106	WO 2008-IE53	20080501
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,			

IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
 TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
 AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

US 2007-924132P

P 20070501

ED Entered STN: 07 Nov 2008

AB A modified release dosage product is provided, comprising a plurality of minicapsules or minispheres containing various active agents, for example, a calcium channel blocker, such as nimodipine, and/or a calcineurin inhibitor, such as tacrolimus. Uncoated minicapsules or minispheres encapsulating micronized nimodipine for immediate release and a controlled release polymer coated minicapsule or minisphere encapsulating micronized nimodipine for delayed, sustained, controlled or targeted release are described. Uncoated seamless minicapsules, the core of which comprise tacrolimus lipid-based formulation for immediate release and a controlled release polymer coated seamless minicapsule, the core of which comprises tacrolimus lipid-based formulation for delayed, sustained, controlled release or targeted release are also described. The final dosage form may be a hard gelatin capsule. Thus, nimodipine multiparticulate seamless minicapsules were produced containing nimodipine 37.5%, gelatin 56.3% and sorbitol 6.3%, and some of the minicapsules were coated with Surelease. Tacrolimus minicapsules were also produced comprising a core containing tacrolimus 3.25%, Labrafil 36.4%, olive oil 47.65%, and ethanol 12.7%, and a shell containing gelatin 90.0% and sorbitol 10.0%, and some of the minicapsules were first coated with Eudragit RS30D followed by Eudragit FS30D. The uncoated and coated nimodipine minicapsules and uncoated and coated tacrolimus minicapsules were blended into the final dosage form.

IT 133865-89-1, Safinamide

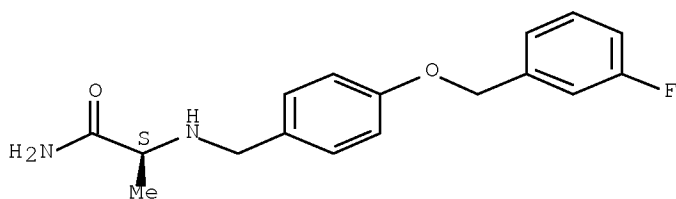
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(controlled-release compns. comprising combination of nimodipine and tacrolimus encapsulated in minicapsules or minispheres)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
 (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L31 ANSWER 3 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:1280494 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 149:491594

TITLE: Polymorphic markers and haplotypes associated with
 sleep-related movement disorders

INVENTOR(S): Stefansson, Hreinn; Petursson, Hjorvar

PATENT ASSIGNEE(S): Decode Genetics EHF, Iceland

SOURCE: PCT Int. Appl., 118pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008126107	A2	20081023	WO 2008-IS10	20080411
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			IS 2007-8631	A 20070412
			IS 2007-8655	A 20070622
			IS 2007-8663	A 20070713

ED Entered STN: 24 Oct 2008

AB The present inventions discloses genetic markers and haplotypes that have been found to be associated with risk of Restless Legs Syndrome (RLS), Periodic Limb Movement Disorder (PLMD), and Periodic Limb Movements of Sleep (PLMS). Methods and kits for determination of susceptibility of these disorders using such markers are disclosed. Genetic variants on chromosome 6p21.2 was found to be associated with RLS and RLMS in Icelandic subjects. Three BTB (POZ) domain containing 9 gene (BTBD9), testis expressed sequence 27 (TEX27) and glyoxalase I (GLO1) were in LD with the markers significantly associating with PLMS and RLS. Association to markers in Meis1 gene on chromosome 2p14 was identified.

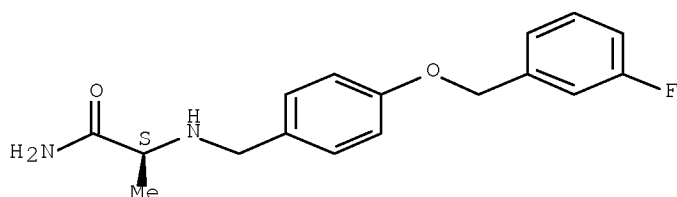
IT 133865-89-1, Safinamide

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (for treating sleep-related movement disorders; polymorphic markers and haplotypes associated with sleep-related movement disorders)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
 (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L31 ANSWER 4 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

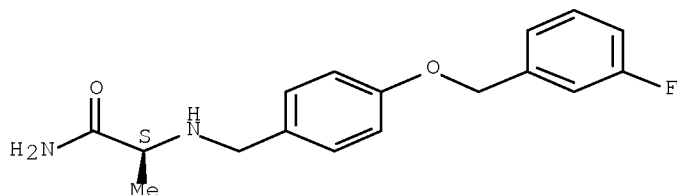
ACCESSION NUMBER: 2008:718592 HCAPLUS Full-text

DOCUMENT NUMBER: 149:69382

TITLE: An expert opinion on safinamide in Parkinson's disease

AUTHOR(S): Onofrj, Marco; Bonanni, Laura; Thomas, Astrid
 CORPORATE SOURCE: Department of Oncology and Neuroscience, Ageing Research Center, CeSI, University G D'Annunzio of Chieti-Pescara, University Foundation 'G D'Annunzio', Chieti-Scalo, 66013, Italy
 SOURCE: Expert Opinion on Investigational Drugs (2008), 17(7), 1115-1125
 CODEN: EOIDER; ISSN: 1354-3784
 PUBLISHER: Informa Healthcare
 DOCUMENT TYPE: Journal; General Review
 LANGUAGE: English
 ED Entered STN: 17 Jun 2008
 AB A review. Background: Dopamine replacement therapies (levodopa, dopamine receptor agonists, anticholinergics, monoamine oxidase B inhibitors, and catechol-O-methyltransferase inhibitors) remain the cornerstones of therapeutic interventions for Parkinson's disease (PD). Despite the treatment options for PD symptoms, a cure remains elusive. An optimal treatment would be one that combined relief in both motor and nonmotor symptoms with neuroprotective properties. Safinamide is an investigational drug for PD currently in development as add-on therapy to both dopamine agonists and levodopa. Safinamide is a unique mol. with a novel mode of action, targeting both dopaminergic and glutaminergic systems, and potentially provides motor symptom control. Preliminary results from exptl. models suggest potential neuroprotective effects. Studies on the potential effects on nonmotor symptoms are ongoing. Objective: To review the mechanism of action and pharmacokinetics, and to evaluate the available clin. safety and efficacy results of safinamide. Methods: A search of the electronic database MEDLINE (PubMed, no time limits) was performed on 14 Dec. 2007. The full text of all citations was obtained for review. Furthermore, two abstrs. on safinamide published as proceedings of a European conference were reviewed. Results/conclusion: Safinamide is a promising investigational drug for PD with a novel mode of action. Early reports confirm the potential efficacy of safinamide in PD. Further studies on potential effects on cognition and neuroprotection are needed.
 IT 133865-89-1, Safinamide
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (safinamide in treatment Parkinson's disease)
 RN 133865-89-1 HCAPLUS
 CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 5 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:241112 HCAPLUS Full-text

DOCUMENT NUMBER: 149:298423

TITLE: Na⁺ channel blockers for the treatment of pain:
Context is everything, almost

AUTHOR(S): Gold, Michael S.

CORPORATE SOURCE: Department of Medicine, Division of Gastroenterology,
Hepatology and Nutrition, University of Pittsburgh,
Pittsburgh, PA, 15213, USA

SOURCE: Experimental Neurology (2008), 210(1), 1-6
CODEN: EXNEAC; ISSN: 0014-4886

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

ED Entered STN: 27 Feb 2008

AB A review. The research of Yamane et al. (2007) entitled "Effects of ralfinamide, a Na⁺ channel blocker, on firing properties of nociceptive dorsal root ganglion neurons of adult rats" is reviewed with commentary and refs. The study by these authors focuses on Nav1.8, a voltage-gated Na⁺ channel that appears to play a critical role in pain associated with tissue injury. To explore the contribution of Nav1.8 to the antinociceptive effects of ralfinamide, Yamane et al. characterized the impact of this compound on the excitability of isolated DRG neurons in the presence of tetrodotoxin. Three intriguing observations arose from their study. First, ralfinamide preferentially reduced the number of evoked spikes in tonic capsaicin responsive neurons, having a significantly smaller effect on tonic capsaicin unresponsive neurons. Second, substance P selectively increased the number of evoked action potentials in capsaicin responsive neurons. And third, repetitive spiking induced by substance P in capsaicin responsive neurons was selectively attenuated by ralfinamide.

IT 133865-88-0, Ralfinamide

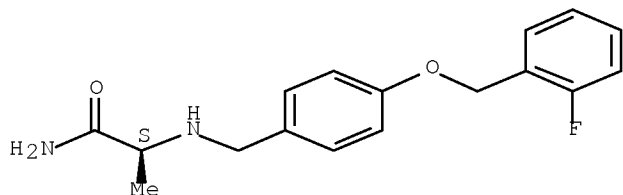
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)

(voltage-gated sodium channel blocker ralfinamide may help in treatment of peripheral tissue injury-associated neuropathic pain by blocking action potential, neural activity in animal model)

RN 133865-88-0 HCAPLUS

CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 80 THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 6 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:123862 HCAPLUS Full-text

DOCUMENT NUMBER: 148:175814

Serial No.:10/586,494

TITLE: Monoamine oxidase inhibitors useful for treating disorders of the outer retina
 INVENTOR(S): Collier, Robert, Jr.; Kapin, Michael; Yanni, John
 PATENT ASSIGNEE(S): Alcon Manufacturing, Ltd., USA
 SOURCE: PCT Int. Appl., 31pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008014457	A1	20080131	WO 2007-US74603	20070727
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2006-820735P P 20060728

OTHER SOURCE(S): MARPAT 148:175814

ED Entered STN: 31 Jan 2008

AB Compns. and methods for preventing or treating disorders of the outer retina with compds. R1CH2OC6H4-p-CH2NR2CHR3CONR4R5 (R1 = C5-7 cycloalkyl, Ph, Ph substituted with halogens or CF3; R2 = H, C1-3 alkyl; R3 = H, C1-3 alkyl, C1-3 alkyl substituted with OR6; R4, R5 = H, C1-3 alkyl; R6 = H, C1-2 alkyl) that inhibit monoamine oxidase are described. A method of treating or preventing retinal disorders, e.g., age-release macular degeneration, retinopathy, and diabetic retinopathy comprises administering to a patient a composition containing a monoamine oxidase inhibitor at a dose of 0.01% to 2% in a composition for ocular, oral, transdermal, i.v., i.p., s.c., intravitreal, subconjunctival, liposomal, mini-pump, slow-release biodegradable polymer, etc. Thus, capsules were formulated containing safinamide 5, lactose 55.7, sodium carboxymethyl starch 8, microcryst. cellulose 30, colloidal silica 0.5, and magnesium stearate 0.8%, resp. Safinamide at dose of 15-60 mg/kg provided significant and complete retinal function in rats after a severe photo-oxidative insult. Also, treatment with 60 mg/kg safinamide prevented retinal lesions.

IT 133865-89-1, Safinamide

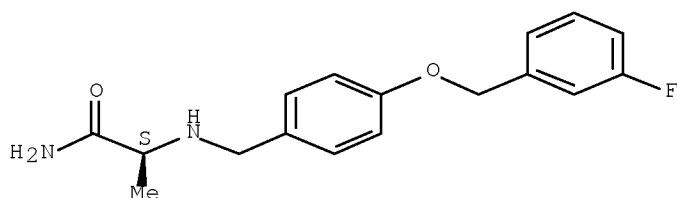
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(compns. of monoamine oxidase inhibitors for treating or preventing retinal disorders)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 7 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2008:94662 HCAPLUS Full-text
 DOCUMENT NUMBER: 148:160088
 TITLE: Method of treating and diagnosing restless legs syndrome and periodic limb movements during sleep and means for carrying out the method
 INVENTOR(S): Grote, Ludger; Hedner, Jan; Stenloef, Kaj
 PATENT ASSIGNEE(S): Cereuscience AB, Swed.
 SOURCE: PCT Int. Appl., 21pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008010768	A1	20080124	WO 2007-SE50479	20070629
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: SE 2006-1564 A 20060717

ED Entered STN: 24 Jan 2008

AB A method of treating restless legs syndrome and/or periodic limb movements during Sleep (RLS) comprises administration of a therapeutically ED of biol. active zonisamide and a dopaminergic agent selected from dopamine agonist and dopamine turnover promoting agent including dopamine uptake inhibitor over an appropriate period of time, such as a period substantially coinciding with the period of sleep of said patient. Also disclosed is a corresponding method of treatment, the use of biol. active zonisamide and a dopaminergic agent selected from dopamine agonist and dopamine turnover promoting agent including dopamine uptake inhibitor for the manufacture of a medicament for treating RLS, and a corresponding method of manufacture Administration of pramipexol with zonisamide to a patient with RLS and periodic limb movement (PLM) resulted in an additive decrease in RLS and PLM symptoms.

IT 133865-89-1, Safinamide

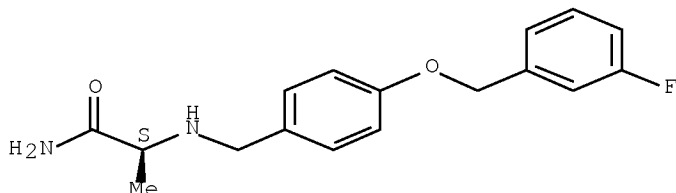
Serial No.:10/586,494

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(zonisamide plus dopaminergic agent combination for RLS and PLM during
sleep)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 8 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:29459 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 148:345134

TITLE: Monoamine oxidase-B inhibition in the treatment of
Parkinson's disease

AUTHOR(S): Fernandez, Hubert H.; Chen, Jack J.

CORPORATE SOURCE: Movement Disorders Center, McKnight Brain Institute,
University of Florida, Gainesville, FL, USA

SOURCE: Pharmacotherapy (2007), 27(12, Pt. 2), 174S-185S
CODEN: PHPYDQ; ISSN: 0277-0008

PUBLISHER: Pharmacotherapy Publications

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

ED Entered STN: 09 Jan 2008

AB A review. Inhibitors of monoamine oxidase (MAO) with selectivity and specificity for MAO type B prolong the activity of both endogenously and exogenously derived dopamine, making them an option either as monotherapy in early Parkinson's disease or as adjunctive therapy in patients treated with levodopa who are experiencing motor complications. In addition to symptomatic benefits, exptl. data suggest that MAO-B inhibitors may be neuroprotective through MAO-B inhibition and other mechanisms that have yet to be clearly defined. The two available MAO-B inhibitors approved for use in the United States, rasagiline and selegiline, each provide symptomatic relief as monotherapy and as adjunctive therapy, and have shown potential disease-modifying effects in exptl. models and clin. studies. Selegiline in a conventional tablet formulation is less bioavailable than rasagiline, resulting in limited potency. It also has amphetamine metabolites that may produce adverse effects and interfere with any putative disease-modifying effects. The oral disintegrating tablet formulation of selegiline allows pregastric absorption, minimizing first-pass metabolism, thereby increasing selegiline bioavailability and reducing the concentration of amphetamine metabolites. Rasagiline, more potent than selegiline, exhibits disease-modifying effects in exptl. models and lacks amphetamine metabolites. Both the symptomatic and potential disease-modifying effects of rasagiline are under investigation. A third agent with MAO-B inhibition properties,

safinamide, is in phase III development. Although not yet approved, safinamide may offer the added advantage of combined MAO-B and dopamine reuptake inhibition.

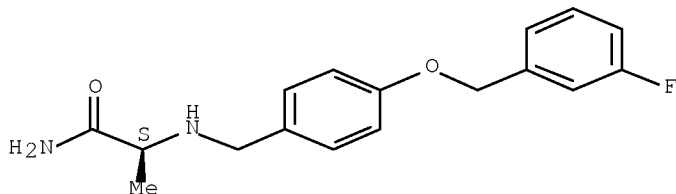
IT 133865-89-1, Safinamide

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(monoamine oxidase-B inhibition in treatment of Parkinson's disease)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 92 THERE ARE 92 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 9 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1300723 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 147:539679

TITLE: Alleles and polymorphisms associated with type 2 diabetes mellitus and obesity and their diagnostic use

INVENTOR(S): Salonen, Jukka T.; Hyppönen, Jelena; Kaikkonen, Jari; Pirskanen, Mia; Uimari, Pekka; Aalto, Juha-Matti

PATENT ASSIGNEE(S): Oy Jurilab Ltd., Finland

SOURCE: PCT Int. Appl., 456pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007128884	A1	20071115	WO 2007-FI50266	20070509
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 20070292412	A1	20071220	US 2007-798002	20070509
PRIORITY APPLN. INFO.:			US 2006-798706P	P 20060509

Serial No.:10/586,494

US 2006-798774P	P	20060509
US 2006-805522P	P	20060622
US 2006-819015P	P	20060707
US 2006-827306P	P	20060928
US 2006-863438P	P	20061030
US 2006-864681P	P	20061107

ED Entered STN: 15 Nov 2007

AB Genes, SNP markers and haplotypes that are markers of susceptibility or predisposition to type 2 diabetes and obesity and related medical conditions are disclosed. Methods for diagnosis, prediction of clin. course and efficacy of treatments for type 2 diabetes, obesity and related phenotypes using polymorphisms in the risk genes are also disclosed. The genes, gene products and agents of the invention are also useful for monitoring the effectiveness of prevention and treatment of type 2 diabetes and related traits. Kits are also provided for the diagnosis, selecting treatment and assessing prognosis of type 2 diabetes. Novel methods for prevention and 10 treatment of metabolic diseases such as type 2 diabetes based on the disclosed type 2 diabetes genes, polypeptides and related pathways are also disclosed.

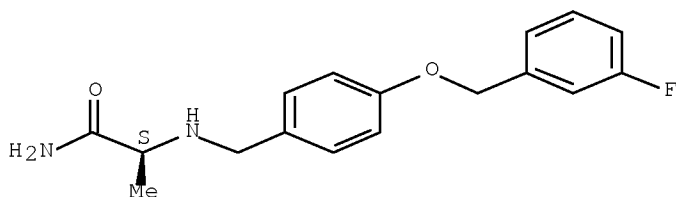
IT 133865-89-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(target for, in treatment of diabetes; alleles and polymorphisms
associated with type 2 diabetes and obesity and their diagnostic use)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 10 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1224864 HCAPLUS Full-text

DOCUMENT NUMBER: 148:276511

TITLE: Effects of ralfinamide, a Na⁺ channel blocker, on firing properties of nociceptive dorsal root ganglion neurons of adult rats

AUTHOR(S): Yamane, Hana; de Groat, William C.; Sculptoreanu, Adrian

CORPORATE SOURCE: Department of Pharmacology, E1304 Biomedical Science Tower, University of Pittsburgh School of Medicine, Pittsburgh, PA, 15261, USA

SOURCE: Experimental Neurology (2007), 208(1), 63-72
CODEN: EXNEAC; ISSN: 0014-4886

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

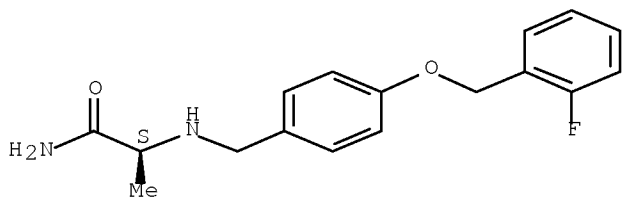
ED Entered STN: 30 Oct 2007

AB Recent studies revealed that ralfinamide, a Na⁺ channel blocker, suppressed tetrodotoxin-resistant Na⁺ currents in dorsal root ganglion (DRG) neurons and reduced pain reactions in animal models of inflammatory and neuropathic pain. Here, we investigated the effects of ralfinamide on Na⁺ currents; firing properties and action potential (AP) parameters in capsaicin-responsive and -unresponsive DRG neurons from adult rats in the presence of TTX (0.5 μ M). Ralfinamide inhibited TTX-resistant Na⁺ currents in a frequency- and voltage-dependent manner. Small to medium sized neurons exhibited different firing properties during prolonged depolarizing current pulses (600 ms). One group of neurons fired multiple spikes (tonic), while another group fired four or less APs (phasic). In capsaicin-responsive tonic firing neurons, ralfinamide (25 μ M) reduced the number of APs from 10.6 ± 1.8 to 2.6 ± 0.7 APs/600 ms, whereas in capsaicin-unresponsive tonic neurons, the drug did not significantly change firing (8.4 ± 0.9 in control to 6.6 ± 2.0 APs/600 ms). In capsaicin-responsive phasic neurons, substance P and 4-aminopyridine induced multiple spikes, an effect that was reversed by ralfinamide (25 μ M). In addition to effects on firing, ralfinamide increased the threshold, decreased the overshoot, and increased the rate of rise of the AP. To conclude, ralfinamide suppressed afferent hyperexcitability selectively in capsaicin-responsive, presumably nociceptive neurons, but had no measurable effects on firing in CAPS-unresponsive neurons. The action of ralfinamide to selectively inhibit tonic firing in nociceptive neurons very likely contributes to the effectiveness of the drug in reducing inflammatory and neuropathic pain as well as bladder overactivity.

IT 133865-88-0, Ralfinamide
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (ralfinamide blocked tetrodotoxin-resistant sodium current in frequency- and voltage-dependent manner, inhibited tonic firing and suppressed hyperexcitability in capsaicin-responsive nociceptive dorsal root ganglion neuron of adult rat)

RN 133865-88-0 HCAPLUS
 CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 11 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1088890 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 147:392440

TITLE: Transdermal delivery of systemically active central nervous system drugs

INVENTOR(S): Carrara, Dario Norberto R.; Grenier, Arnaud; Alberti, Igno; Henry, Laetitia; Decaudin, Celine

PATENT ASSIGNEE(S): Switz.

Serial No.:10/586,494

SOURCE: U.S. Pat. Appl. Publ., 24pp., Cont.-in-part of U.S. Ser. No. 634,005.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070225379	A1	20070927	US 2007-755923	20070531
WO 2002011768	A1	20020214	WO 2001-EP9007	20010803
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20030199426	A1	20031023	US 2003-343570	20030519
US 7214381	B2	20070508		
AU 2004283431	A1	20050506	AU 2004-283431	20041006
CA 2538856	A1	20050506	CA 2004-2538856	20041006
WO 2005039531	A1	20050506	WO 2004-EP11175	20041006
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1670433	A1	20060621	EP 2004-790156	20041006
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004014551	A	20061031	BR 2004-14551	20041006
JP 2007508261	T	20070405	JP 2006-530107	20041006
US 20060153905	A1	20060713	US 2006-371042	20060307
US 7335379	B2	20080226		
MX 2006PA03316	A	20060608	MX 2006-PA3316	20060324
US 20070098775	A1	20070503	US 2006-634005	20061204
US 7404965	B2	20080729		

PRIORITY APPLN. INFO.:
 WO 2001-EP9007 W 20010803
 US 2003-343570 A1 20030519
 US 2003-510613P P 20031010
 WO 2004-EP11175 A1 20041006
 US 2006-371042 A2 20060307
 US 2006-634005 A2 20061204
 WO 2000-EP7533 A 20000803

ED Entered STN: 28 Sep 2007

AB The invention relates to a transdermal or transmucosal non-occlusive, semi-solid pharmaceutical formulation that includes at least one systemically active agent that acts on the central nervous system (CNS) of a mammal; and a permeation enhancing solvent system present in an amount sufficient to solubilize the at least one active ingredient. The permeation enhancing

solvent system includes a pharmaceutically acceptable monoalkyl ether of diethylene glycol; a pharmaceutically acceptable glycol; preferably also a fatty alc. and or a fatty acid; and a mixture of a C2 to C4 alc. and water so that the permeation enhancing solvent system (a) inhibits crystallization of the at least one active ingredient on a skin or mucosal surface of a mammal, (b) reduces or prevents transfer of the formulation to clothing or to another being, (c) modulates biodistribution of the at least one active agent within different layers of skin, (d) facilitates absorption of the at least one active agent by a skin or a mucosal surface of a mammal, or (e) provides a combination of one or more of (a) through (d). A transdermal pharmaceutical contained pramipexole dihydrochloride 2.00, diethylene glycol monoethyl ether 5.00, propylene glycol 15.0, hydroxypropylcellulose 1.50, absolute ethanol 4.0, sodium hydroxide q.s. pH = 8.2, and water q.s. 100.00%.

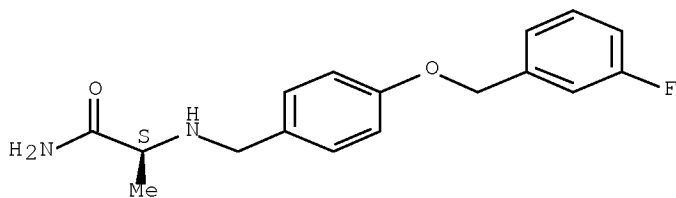
IT 133865-89-1, Safinamide

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(transdermal delivery of systemically active central nervous system drugs)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L31 ANSWER 12 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1029967 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 147:357113

TITLE: Methods for identifying analgesic agents by utilizing the SCN9A gene associated with Congenital Indifference to Pain (CIP) in humans

INVENTOR(S): MacDonald, Marcia L.; Samuels, Mark E.; Sherrington, Robin; Goldberg, Yigal P.

PATENT ASSIGNEE(S): Can.

SOURCE: U.S. Pat. Appl. Publ., 120pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070212685	A1	20070913	US 2003-369909	20030219
PRIORITY APPLN. INFO.:			US 2002-357964P	P 20020219
			US 2002-429836P	P 20021126

ED Entered STN: 14 Sep 2007

AB The present invention relates to the discovery that mutations in SCN9A (neuroendocrine sodium channel Nav 1.7) gene are causative of Congenital

Indifference to Pain (CIP) in humans. The invention also relates to methods of utilizing the SCN9A gene and expression products thereof for the screening and identification of therapeutic agents, including small organic compds., which are selective for SCN9A, and are useful in the treatment of pain and other disorders. The invention also relates to methods of using these compds. to treat or otherwise ameliorate such disorders. The invention also relates to SCN9A gene-related diagnostic methods.

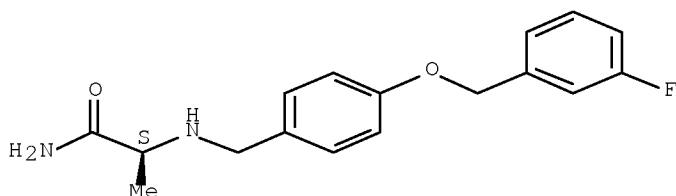
IT 133865-89-1D, Safinamide, analogs

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(screening for selective SCN9A blocker among; methods for identifying analgesic agents by utilizing SCN9A gene associated with Congenital Indifference to Pain (CIP) in humans)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L31 ANSWER 13 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:997166 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 147:502595

TITLE: Solid-Phase Synthesis and Insights into
Structure-Activity Relationships of Safinamide
Analogues as Potent and Selective Inhibitors of Type B
Monoamine Oxidase

AUTHOR(S): Leonetti, Francesco; Capaldi, Carmelida; Pisani,
Leonardo; Nicolotti, Orazio; Muncipinto, Giovanni;
Stefanachi, Angela; Cellamare, Saverio; Caccia, Carla;
Carotti, Angelo

CORPORATE SOURCE: Dipartimento Farmaco-Chimico, University of Bari,
Bari, I-70125, Italy

SOURCE: Journal of Medicinal Chemistry (2007), 50(20),
4909-4916

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 147:502595

ED Entered STN: 07 Sep 2007

AB Safinamide, an anti-Parkinson drug in phase III clin. trials, and its alkanamidic analogs were prepared via expeditious solid-phase synthesis and evaluated for their monoamine oxidase B (MAO-B) and monoamine oxidase A (MAO-A) inhibitory activity and selectivity. (S)-3-Chlorobenzoyloxyalaninamide (8) and (S)-3-chlorobenzoyloxyserinamide (13) derivs. proved to be more potent MAO-B inhibitors than safinamide (IC₅₀ = 33 and 43 nM, resp., vs. 98 nM) but with a lower MAO-B selectivity (SI = 3455 and 1967, resp., vs. 5918). The highest MAO-B inhibitory potency (IC₅₀ = 17 nM) and a good selectivity (SI = 2941)

were displayed by (R)-2-[6-(3-fluorobenzyloxy)-3,4-dihydro-1H-isoquinolin-2-yl]propionamide (R-21), a tetrahydroisoquinoline analog of safinamide. Structure-affinity relationships and docking simulations pointed out strong neg. steric effects of α -amino acid amide side chains and para substituents of the benzyloxy groups and favorable hydrophobic interactions of meta substituents. The significantly diverse MAO-B affinities of a number of (R)- and (S)- α -amino acid amide enantiomers, including the two rigid analogs (21) of safinamide, indicated likely enantioselective interactions at the enzymic binding sites.

IT 133865-89-1P

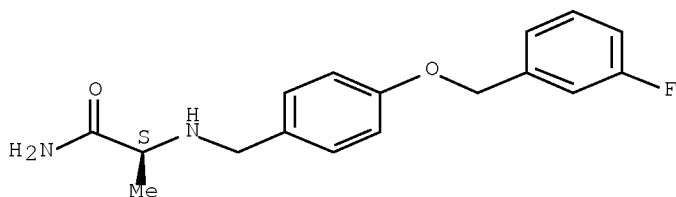
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(solid-phase preparation and structure-activity relationships of safinamide and its analogs as inhibitors of type B monoamine oxidase)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 14 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:926416 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 147:356131

TITLE: Drug evaluation: safinamide for the treatment of Parkinson's disease, epilepsy and restless legs syndrome

AUTHOR(S): Chazot, Paul L.

CORPORATE SOURCE: Centre for Integrative Neuroscience (CINS) School of Biological and Biomedical Sciences, Durham University, Durham, DH1 3LE, UK

SOURCE: Current Opinion in Investigational Drugs (Thomson Scientific) (2007), 8(7), 570-579
CODEN: COIDAZ; ISSN: 1472-4472

PUBLISHER: Thomson Scientific

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

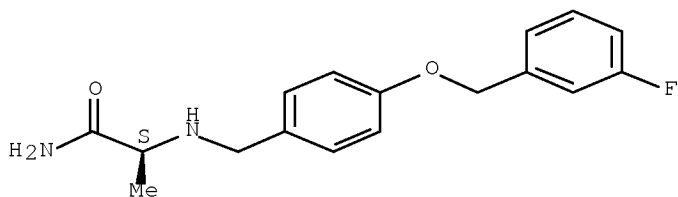
ED Entered STN: 21 Aug 2007

AB A review. Merck Serono SA (formerly Serono), under license from Newron Pharmaceuticals SpA (following its acquisition of the rights from Pharmacia and Upjohn AB [now Pfizer Inc]), is developing the oral α -aminoamide derivative of milacemide, safinamide, a monoamine oxidase-B and glutamate release inhibitor, for the potential treatment of Parkinson's disease, epilepsy and restless legs syndrome. In March 2007, plans to develop the agent for the potential treatment of other cognitive disorders, such as

Alzheimer's disease, were being finalized and testing was expected to begin before the end of that year.

IT 133865-89-1, Safinamide
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (Merck Serono SA under license from Newron Pharmaceuticals SpA is
 developing safinamide for potential treatment of Parkinson's disease,
 epilepsy and restless legs syndrome in human)
 RN 133865-89-1 HCAPLUS
 CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
 (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 15 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:908914 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 147:355935

TITLE: Epilepsy

AUTHOR(S): Knutsen, L. J. S.; Williams, M.

CORPORATE SOURCE: Worldwide Discovery Research, Cephalon Inc., West Chester, PA, USA

SOURCE: Comprehensive Medicinal Chemistry II (2006), Volume 6, 279-296. Editor(s): Taylor, John B.; Triggle, David J. Elsevier Ltd.: Oxford, UK.
 CODEN: 69JQHZ; ISBN: 978-0-08-044513-7

DOCUMENT TYPE: Conference; General Review

LANGUAGE: English

ED Entered STN: 16 Aug 2007

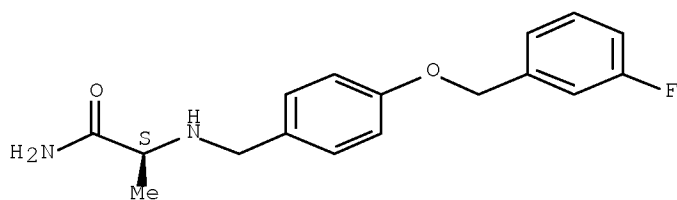
AB A review on recent developments in diagnosis and treatment of epilepsy. The disease state and disease basis are discussed, along with exptl. disease models, clin. trial issues, current treatments, and unmet medical needs. Emerging research areas are also addressed, including adenosine producing stem cell therapy, novel GABA transporter inhibitors, and ω fatty acids.

IT 133865-89-1, Safinamide
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (safinamide has been used for treatment of seizures in patient with
 epilepsy)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
 (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 16 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:706043 HCAPLUS Full-text

DOCUMENT NUMBER: 147:87690

TITLE: Method and composition using a dopamine turnover-increasing agent, a dopaminergic receptor-exciting agent, and iron for treating restless legs syndrome, and diagnostic method

INVENTOR(S): Grote, Ludger; Hedner, Jan; Stenloef, Kaj

PATENT ASSIGNEE(S): Cereuscience AB, Swed.

SOURCE: PCT Int. Appl., 21pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007073325	A1	20070628	WO 2006-SE50553	20061206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006327254	A1	20070628	AU 2006-327254	20061206
CA 2634140	A1	20070628	CA 2006-2634140	20061206
EP 1973551	A1	20081001	EP 2006-824619	20061206
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2008MN01280	A	20081017	IN 2008-MN1280	20080620
KR 2008078075	A	20080826	KR 2008-717787	20080721
PRIORITY APPLN. INFO.:			SE 2005-2830	A 20051220
			WO 2006-SE50553	W 20061206

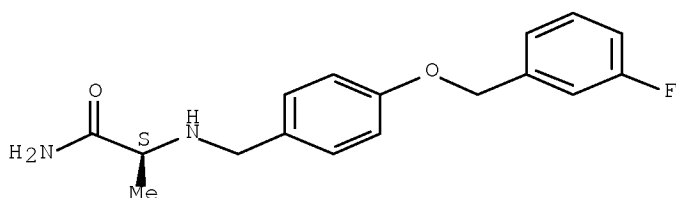
ED Entered STN: 29 Jun 2007

AB A method for treating restless legs syndrome comprises the joint administration of an agent selected from dopamine turnover-increasing agent and dopaminergic receptor-exciting agent, in particular pramipexole, and iron in a biol. usable form, in pharmacol. effective combined amts. Also disclosed

is a corresponding use; a pharmaceutical composition comprising an agent selected from dopamine turnover-increasing agent and dopaminergic receptor-exciting agent, in particular pramipexole, and iron in a biol. usable form, and a pharmaceutically acceptable carrier; a package comprising a pharmaceutical composition for peroral administration comprising an agent selected from dopamine turnover increasing agent and dopaminergic receptor exciting agent and a pharmaceutically acceptable carrier and a pharmaceutical composition for peroral administration comprising iron in a biol. usable form and a pharmaceutically acceptable carrier.

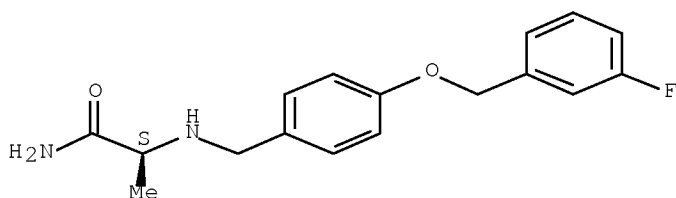
IT 133865-89-1, Safinamide 133865-89-1D, Safinamide, salts
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (dopamine turnover-increasing agent, dopaminergic receptor-exciting agent, and iron for treating restless legs syndrome)
 RN 133865-89-1 HCAPLUS
 CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 133865-89-1 HCAPLUS
 CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 17 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:252730 HCAPLUS [Full-text](#)
 DOCUMENT NUMBER: 146:371665
 TITLE: Safinamide
 AUTHOR(S): Fariello, Ruggero G.
 CORPORATE SOURCE: BioNeuroFar s.a.s, Luino, Italy
 SOURCE: Neurotherapeutics (2007), 4(1), 110-116
 CODEN: NEURNV; ISSN: 1933-7213

PUBLISHER: Elsevier
 DOCUMENT TYPE: Journal; General Review
 LANGUAGE: English

ED Entered STN: 08 Mar 2007

AB A review. Safinamide (SAF) ((S)-(+)-2-(4-(3-fluorobenzyloxy)benzylamino)propanamide) was initially synthesized by Farmitalia Carlo Erba (Italy). Following initial anticonvulsant screening, safinamide was selected for its potency, broad spectrum of action, and good safety margin. Pharmacodynamic properties probably relevant to its antiepileptic activity are use- and frequency-dependent block of voltage sensitive Na⁺ channels, block of Ca⁺⁺ channels, and glutamate release inhibition. Possibly contributing mechanism are also selective and reversible monoamide oxidase B inhibition and dopamine and noradrenaline uptake inhibition. The high selectivity for the sigma-1 receptor site does not entail psychotomimetic or behavioral changes. In several exptl. in vitro and in vivo conditions, SAF exerts neurorescuing and neuroprotectant effects. Safinamide is water soluble and suitable for 1 times a day oral administration in humans. In a pilot phase II study in 38 refractory epilepsy patients affected by multiple types of seizures, 41% of subjects obtained ≥50% seizure reduction during a 12-wk escalating dose up to 300 mg 1 times day compared with perspective baseline. Safinamide is being developed in phase III for treatment of Parkinson's disease, whereas the development in epilepsy relates to the industrial strategy of the company.

IT 133865-89-1, Safinamide

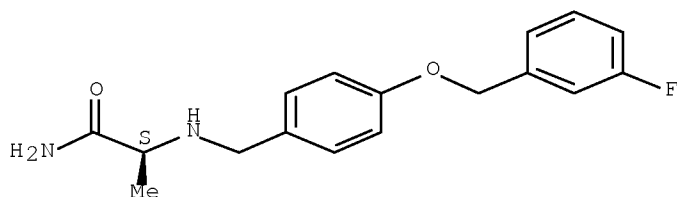
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmacokinetics and pharmacodynamic anal. showed safinamide exhibited anticonvulsant activity with neurorescuing and neuroprotectant effects in refractory epilepsy patient)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 18 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:114333 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 146:350502

TITLE: Bioassay of safinamide in biological fluids of humans and various animal species

AUTHOR(S): Dal Bo, Lorenzo; Mazzucchelli, Paolo; Fibbioli, Monia; Marzo, Antonio

CORPORATE SOURCE: I.P.A.S. S.A., Ligornetto, Switz.

SOURCE: Arzneimittel Forschung (2006), 56(12), 814-819

CODEN: ARZNAD; ISSN: 0004-4172

PUBLISHER: Editio Cantor Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 01 Feb 2007

AB This paper describes three methods to bioassay safinamide (CAS 133865-89-1) in biol. fluids of humans and laboratory animals for pharmacokinetic, toxicokinetic and bioavailability studies. Two methods profited from liquid chromatog. tandem mass spectrometry (LC-MS-MS) system, one (micro bioassay) working in the low dynamic range 0.5-20 ng/mL, the other in the range 20-6000 ng/mL. A third method used high-performance liquid chromatog. with fluorimetric detection (HPLC-FD), working in the dynamic range 20-1000 ng/mL. All the three methods were validated in compliance with the accredited views on anal. bioassays. The shorter run time (5.5 min vs 16 min) has led the authors to prefer the two LC-MS-MS methods to the HPLC-FD bioassay, even if all the performances of the three methods were excellent. The methods described in this paper were and are still now extensively used to assay safinamide in more than 10,000 specimens of biol. fluids from humans and laboratory animals in the development program of the drug. Main pharmacokinetic results obtained in various Phase I trials on healthy volunteers are briefly reported.

IT 133865-89-1, Safinamide

RL: ADV (Adverse effect, including toxicity); ANT (Analyte); BSU (Biological study, unclassified); PKT (Pharmacokinetics); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses) (safinamide in biol. fluids of humans and animals determined by LC-MS-MS

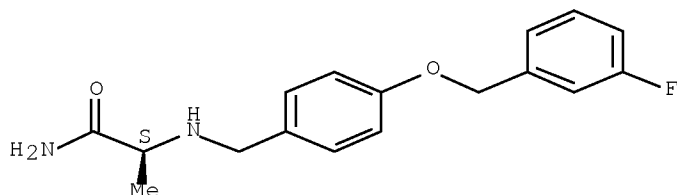
and

HPLC with fluorimetric detection for pharmacokinetic, toxicokinetic and bioavailability studies)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 19 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1078477 HCAPLUS Full-text

DOCUMENT NUMBER: 146:114929

TITLE: Functional characterization of sodium channel blockers by membrane potential measurements in cerebellar neurons: Prediction of compound preference for the open/inactivated state

AUTHOR(S): Kolok, Sandor; Nagy, Jozsef; Szombathelyi, Zsolt; Tarnawa, Istvan

CORPORATE SOURCE: Pharmacological and Drug Safety Research, Gedeon Richter Ltd., Budapest, Hung.

SOURCE: Neurochemistry International (2006), 49(6), 593-604

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 17 Oct 2006

AB Voltage-gated sodium channel (VGSC) blockers are widely used in the therapy, but most currently available blockers have suboptimal profile. However, discovery of new drug candidates has been hampered by the lack of appropriate in vitro assays. We established a fluorometric, plate reader-based membrane potential assay for testing the inhibitory potency of various VGSC blocking drugs, using primary cultures of cerebellar neurons, and veratridine, as activator of VGSCs. Since inhibition was strongly dependent on the depolarizing effect of veratridine, the EC80 value of veratridine was determined on each exptl. day, and this concentration was used for drug testing. This strict control on agonist effect seems to improve the reliability of the dose-inhibition measurements with antagonists. Veratridine responses could be completely inhibited by tetrodotoxin (TTX, IC50 = 17 nM), consistent with the exclusive expression of TTX-sensitive VGSCs. A variety of compds. known to block sodium channels inhibited veratridine-induced membrane depolarization concentration-dependently. Furthermore, inhibitory potencies of drugs strongly depended on whether their administration preceded or followed veratridine application. Potency of lamotrigine, carbamazepine, phenytoin and lidocaine was approx. 10-fold higher when applied after a steady-state depolarization had been achieved by a supramaximal veratridine dose, compared with those from a different protocol, where cells were preincubated with the antagonists prior to veratridine application. On the contrary, there was only relatively small difference between the IC50 values of GBR 12909 obtained from the two different protocols (0.51 μ M vs. 1.23 μ M). In contrast with most sodium channel blockers, this compound lacks binding preference to inactivated channels. We suggest that comparison of the results obtained with a particular blocker in the pretreatment and post-treatment schedules may be suitable for drawing conclusions regarding the state-dependency of its action. Thus, relevant information can be obtained about the potential therapeutic utility of different drugs by applying non-electrophysiol. methods.

IT 133865-89-1, Safinamide

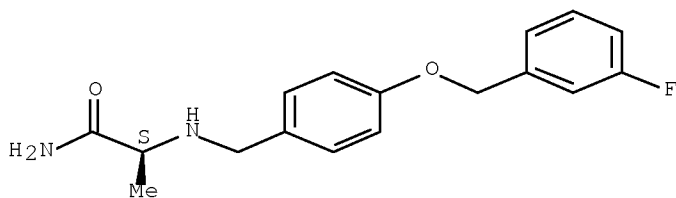
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(functional characterization of sodium channel blockers by membrane potential measurements in cerebellar neurons)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT:

66

THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 20 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1039299 HCAPLUS Full-text

DOCUMENT NUMBER: 147:22182

TITLE: New pharmacologic horizons in the treatment of
Parkinson disease

AUTHOR(S): Bonuccelli, Ubaldo; Del Dotto, Paolo

CORPORATE SOURCE: Department of Neurocience, University of Pisa and
Neurology Unit, Pisa, ItalySOURCE: Neurology (2006), 67(7, Suppl. 2), S30-S38
CODEN: NEURAI; ISSN: 0028-3878

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

ED Entered STN: 06 Oct 2006

AB A review. Many of the motoric features that define Parkinson's disease (PD) result primarily from the loss of dopaminergic neurons of the substantia nigra. l-dopa remains at present the most powerful symptomatic drug for the treatment of this condition. However, motor complications of chronic l-dopa treatment have emerged as a major limitation of this therapy. Slowing or delaying the progression of the disease with neuroprotective therapies may delay the need for l-dopa. In the past few years, novel insight into the pathogenetic mechanisms of neurodegeneration in PD has been provided. Mitochondrial function deficiency, increased oxidative stress, apoptosis, excitotoxicity, and inflammation are part of the processes that ultimately result in neurodegeneration. Drugs that are now under clin. scrutiny as neuroprotectant include mols. that combine one or more of the following properties: (1) monoamine oxidase inhibition (rasagiline, safinamide); (2) mitochondrial enhancement (coenzyme Q10, creatine); (3) antiapoptotic activity; (4) anti-inflammatory activity; (5) protein aggregation inhibition; (6) neurotrophic activity. In advanced Parkinson's disease, the combination of disease progression and l-dopa therapy leads to the development of motor response complications, particularly wearing off, on off, dyskinesias and dystonias. The nonphysiol. pulsatile stimulation of striatal dopamine receptors, produced by the currently available dopaminergic drugs, may trigger a dysregulation of many neurotransmitter systems within the basal ganglia, mainly localized on medium spiny striatal neurons. These include alterations of glutamatergic, serotonergic, adrenergic and adenosine A2A receptors. Novel strategies for pharmacol. intervention with nondopaminergic treatments hold the promise of providing effective control or reversal of motor response complications. Of particular interest are NMDA and AMPA antagonists or drugs acting on 5-HT subtype 2A, alpha2-adrenergic, and adenosine A2 receptors. Future strategies may also target pre- and postsynaptic components that regulate firing pattern of basal ganglia neurons, such as synaptic vesicle proteins, nonsynaptic gap junction communication mechanisms, or signal transduction systems that modulate the phosphorylation state of glutamatergic receptors.

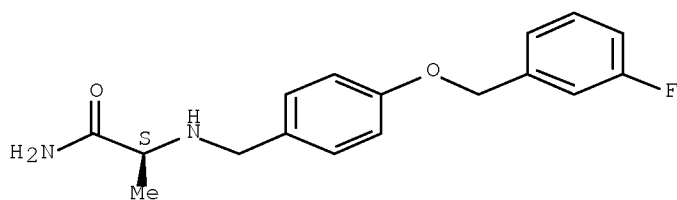
IT 133865-89-1, Safinamide

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)(safinamide inhibited monoamine oxidase in patient with Parkinson's
disease)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 21 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1039298 HCAPLUS Full-text

DOCUMENT NUMBER: 147:23401

TITLE: Symptom relief in Parkinson disease by safinamide: Biochemical and clinical evidence of efficacy beyond MAO-B inhibition

AUTHOR(S): Stocchi, F.; Vacca, L.; Grassini, P.; De Pandis, M. F.; Battaglia, G.; Cattaneo, C.; Fariello, R. G.

CORPORATE SOURCE: IRCCS San Raffaele Pisana, Rome, Italy

SOURCE: Neurology (2006), 67(7, Suppl. 2), S24-S29

CODEN: NEURAI; ISSN: 0028-3878

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 06 Oct 2006

AB In an open pilot study, doses of safinamide (100, 150, and 200 mg once a day, higher than previously tested) were administered to 13 parkinsonian patients along with a stable dose of dopamine (DA) agonist, causing a significant progressive improvement in motor performance as evaluated by the Unified Parkinson Disease Rating Scale (UPDRS) part III over an 8-wk period (4.2 points; $P < 0.001$). In association with levodopa, the same doses of safinamide in another group of patients ($N = 11$) induced a significant decrease in motor fluctuations (UPDRS part IV, 2.1 points; $P < 0.001$), accompanied by a dose-proportional increase of the levodopa AUC, up to 77% from baseline. Because MAO-B was fully inhibited (95%) at all doses tested, we suggest that these biochem. and symptomatic dose-dependent effects must be related to addnl. mechanisms of action, such as inhibition of glutamate release, increased dopamine release, or inhibition of dopamine re-uptake. These hypotheses are under investigation and will pursue confirmation in controlled clin. trials.

IT 133865-89-1, Safinamide

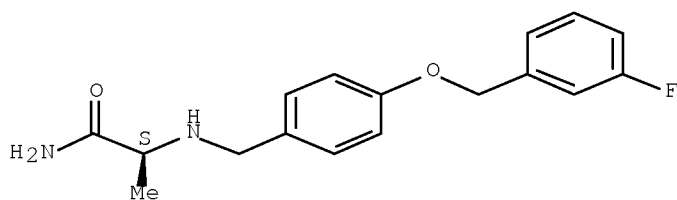
RL: ADV (Adverse effect, including toxicity); DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combination therapy of safinamide and levodopa lowered motor fluctuations showing symptom relief while inhibited glutamate release or dopamine reuptake and raised dopamine release due to MAO-B inhibition in patient with Parkinson's disease)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 22 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:1006721 HCAPLUS Full-text
 DOCUMENT NUMBER: 145:383488
 TITLE: Methods and compositions containing bicifadine for the treatment of urinary incontinence
 INVENTOR(S): Skolnick, Phil
 PATENT ASSIGNEE(S): Dov Pharmaceutical, Inc., USA
 SOURCE: PCT Int. Appl., 50pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006102029	A2	20060928	WO 2006-US9638	20060317
WO 2006102029	A3	20061109		
WO 2006102029	A9	20061207		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080009538	A1	20080110	US 2006-384219	20060317
EP 1879578	A2	20080123	EP 2006-738672	20060317
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
PRIORITY APPLN. INFO.:			US 2005-664002P	P 20050321
			WO 2006-US9638	W 20060317

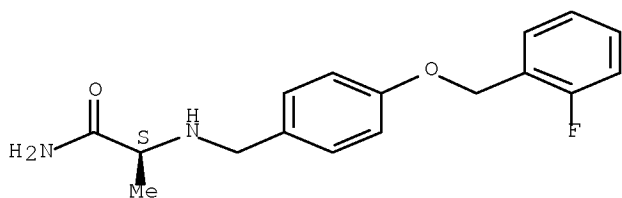
ED Entered STN: 28 Sep 2006

AB Methods and compns. containing bicifadine are provided for the prevention and treatment of lower urinary tract disorders in mammalian subjects. The methods and compns. may be used to prevent or treat urinary incontinence, urinary urgency, nocturia, and enuresis associated with neurogenic and non-neurogenic overactive bladder, interstitial cystitis, prostatitis, and benign prostatic hyperplasia, among other conditions. Addnl. compns. and methods are provided which employ bicifadine in combination with a second anti-incontinence agent,

or a different therapeutic agent to yield more effective anti-incontinence treatment tools, and/or dual activity therapeutic methods and formulations useful to prevent or reduce urinary incontinence and one or more addnl. symptoms such as urinary urgency, overflow, frequency, or pain in mammalian subjects. Bicifadine-HC 1 was prepared in a series of steps starting from p-tolylacetic acid. This was converted to polymorph form B by using isopropanol.

IT 133865-88-0, Ralfinamide
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (methods and compns. containing bicifadine for treatment of urinary incontinence)
 RN 133865-88-0 HCAPLUS
 CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L31 ANSWER 23 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:617690 HCAPLUS Full-text
 Correction of: 2006:194186
 DOCUMENT NUMBER: 145:60933
 Correction of: 144:231108
 TITLE: Detection of alleles of the monoamine oxidase B gene and their use in the diagnosis and selection of therapy for attention deficit hyperactivity disorder (ADHD)
 INVENTOR(S): Bruinvels, Anne T.
 PATENT ASSIGNEE(S): Curidium Limited, UK
 SOURCE: PCT Int. Appl., 216 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006021807	A2	20060302	WO 2005-GB3358	20050830
WO 2006021807	A3	20060601		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

Serial No.:10/586,494

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM
EP 1787115 A2 20070523 EP 2005-778129 20050830
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
JP 2008510480 T 20080410 JP 2007-528989 20050830
US 20070259967 A1 20071108 US 2007-661211 20070629
PRIORITY APPLN. INFO.: GB 2004-19199 A 20040827
WO 2005-GB3358 W 20050830

ED Entered STN: 27 Jun 2006

AB Alleles and single nucleotide polymorphisms in the gene for monoamine oxidase B are identified for use in the diagnosis and selection of therapy for attention deficit hyperactivity disorder (ADHD) associated with low levels of the enzymes. The allele information is used with assays of enzyme activity in biol. fluids or tissue samples to select suitable drug therapies. Primers and probes for the detection of these alleles are reported.

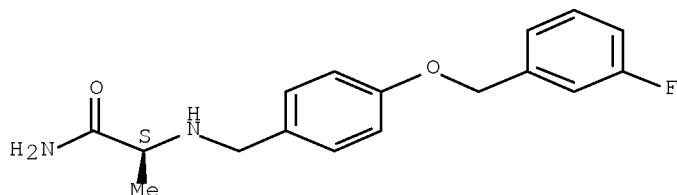
IT 133865-89-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in treatment of ADHD, criteria for selection of; detection of alleles of monoamine oxidase B gene and their use in diagnosis and selection of therapy for ADHD)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L31 ANSWER 24 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:194186 HCAPLUS Full-text

DOCUMENT NUMBER: 144:231108

TITLE: Detection of alleles of the monoamine oxidase B gene and their use in the diagnosis and selection of therapy for attention deficit hyperactivity disorder (ADHD)

INVENTOR(S): Bruinvels, Anne T.

PATENT ASSIGNEE(S): Curidium Limited, UK

SOURCE: PCT Int. Appl., 216 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2006021807	A2	20060302	WO 2005-GB3358	20050830
WO 2006021807	A3	20060601		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1787115	A2	20070523	EP 2005-778129	20050830
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008510480	T	20080410	JP 2007-528989	20050830
US 20070259967	A1	20071108	US 2007-661211	20070629
PRIORITY APPLN. INFO.:			GB 2004-19199	A 20040827
			WO 2005-GB3358	W 20050830

ED Entered STN: 03 Mar 2006

AB Alleles and single nucleotide polymorphisms in the gene for monoamine oxidase B are identified for use in the diagnosis and selection of therapy for attention deficit hyperactivity disorder (ADHD) associated with low levels of the enzymes. The allele information is used with assays of enzyme activity in biol. fluids or tissue samples to select suitable drug therapies. Primers and probes for the detection of these alleles are reported.

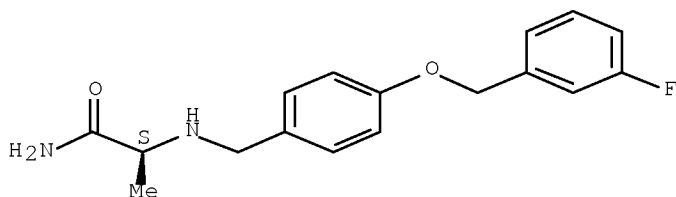
IT 133865-89-1, Safinamide

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in treatment of ADHD, criteria for selection of; detection of alleles of monoamine oxidase B gene and their use in diagnosis and selection of therapy for ADHD)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L31 ANSWER 25 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:1017268 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 142:347747

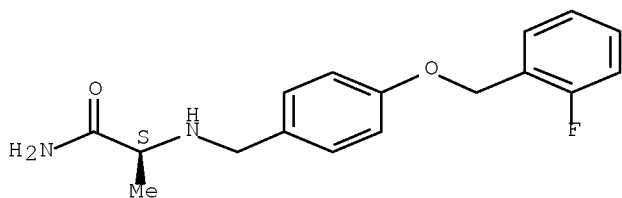
TITLE: Ralfinamide Newron Pharmaceuticals

AUTHOR(S): Cattabeni, Flaminio

CORPORATE SOURCE: Department of Pharmacological Sciences, University of Milano, Milan, 20133, Italy

SOURCE: IDrugs (2004), 7(10), 935-939
 CODEN: IDRUFN; ISSN: 1369-7056
 PUBLISHER: Thomson Scientific
 DOCUMENT TYPE: Journal; General Review
 LANGUAGE: English
 ED Entered STN: 26 Nov 2004
 AB A review. Ralfinamide, a sodium channel blocker, is under development by Newron Pharmaceuticals SpA for the potential treatment of neuropathic pain.
 IT 133865-88-0, Ralfinamide
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (sodium channel blocker ralfinamide for potential treatment of neuropathic pain)
 RN 133865-88-0 HCAPLUS
 CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 26 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:648373 HCAPLUS [Full-text](#)
 DOCUMENT NUMBER: 141:167798
 TITLE: Methods of treating gastrointestinal tract disorders using sodium channel modulators
 INVENTOR(S): Burgard, Edward C.; Landau, Steven B.; Fraser, Matthew Oliver
 PATENT ASSIGNEE(S): Dynogen Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 113 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004066987	A2	20040812	WO 2004-US2826	20040130
WO 2004066987	A3	20041104		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
AU 2004207009	A1	20040812	AU 2004-207009	20040130
CA 2514574	A1	20040812	CA 2004-2514574	20040130

Serial No.:10/586,494

US 20040213842	A1	20041028	US 2004-769071	20040130
EP 1596844	A2	20051123	EP 2004-707120	20040130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006515326	T	20060525	JP 2005-518861	20040130
US 20050203190	A1	20050915	US 2005-57024	20050211
US 7041704	B2	20060509		

PRIORITY APPLN. INFO.:

US 2003-443730P	P	20030130
US 2003-443731P	P	20030130
US 2003-480565P	P	20030620
US 2003-480598P	P	20030620
US 2003-495958P	P	20030818
US 2004-769071	A3	20040130
WO 2004-US2826	W	20040130

ED Entered STN: 12 Aug 2004

AB The invention relates to methods of using sodium channel modulators, particularly TTX-R sodium channel modulators and/or activity dependent sodium channel modulators to treat gastrointestinal tract disorders, particularly inflammatory bowel disorder and irritable bowel syndrome. E.g., lamotrigine showed use-dependent effects on peak activity dependent Na currents recorded in colon DRG neurons.

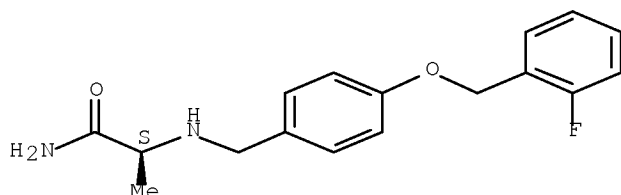
IT 133865-88-0, Ralfinamide

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treating gastrointestinal tract disorders using sodium channel modulators)

RN 133865-88-0 HCAPLUS

CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L31 ANSWER 27 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:630035 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 142:169731

TITLE: Improvement of motor function in early Parkinson disease by safinamide

AUTHOR(S): Stocchi, F.; Arnold, G.; Onofrj, M.; Kwiecinski, H.; Szczudlik, A.; Thomas, A.; Bonuccelli, U.; Van Dijk, A.; Cattaneo, C.; Sala, P.; Fariello, R. G.

CORPORATE SOURCE: Safinamide Parkinson's Study Group, Department of Neuroscience and IRCCS Neuromed Pozzilli, University of Pisa, Milan, Italy

SOURCE: Neurology (2004), 63(4), 746-748

CODEN: NEURAI; ISSN: 0028-3878

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 06 Aug 2004

AB A median safinamide (SAF) dose of 70 mg/day (range 40 to 90 mg/day) increased the percentage of parkinsonian patients improving their motor scores by $\geq 30\%$ from baseline (responders) after 3 mo from 21.4% (placebo) to 37.5% ($p < 0.05$, calculated by logistic regression anal.). In a subgroup of 101 patients under stable treatment with a single dopamine agonist, addition of SAF magnified the response (47.1% responders, mean 4.7-point motor score decrease; $p \geq 0.05$). These results suggest that doses of SAF exerting ion channel block and glutamate release inhibition add to its symptomatic effect and warrant exploration of higher doses.

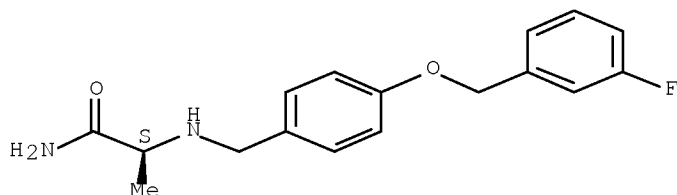
IT 133865-89-1, Safinamide

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (low dose safinamide was well tolerated and increased improvement of motor activity, combination with dopamine agonist magnified response of Parkinson disease patient)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 28 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:304312 HCAPLUS Full-text

DOCUMENT NUMBER: 141:388094

TITLE: Pharmacokinetics and pharmacodynamics of safinamide, a neuroprotectant with antiparkinsonian and anticonvulsant activity

AUTHOR(S): Marzo, Antonio; Dal Bo, Lorenzo; Monti, Nunzia Ceppi; Crivelli, Fabrizio; Ismaili, Shevqet; Caccia, Carla; Cattaneo, Carlo; Fariello, Ruggero G.

CORPORATE SOURCE: IPAS SA, Ligornetto, 6853, Switz.

SOURCE: Pharmacological Research (2004), 50(1), 77-85

CODEN: PHMREP; ISSN: 1043-6618

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 15 Apr 2004

AB Objective: This paper describes the pharmacokinetics and the pharmacodynamics, in terms of monoamine oxidase type B (MAO-B) inhibition, in male healthy volunteers of orally administered safinamide, a new neuroprotectant that in exptl. models has demonstrated strong anticonvulsant and antiparkinson activities. Methods: Four clin. trials covering the dose range of 25-10,000 $\mu\text{g/kg}$ were carried out to describe pharmacokinetics, pharmacodynamics and tolerability of safinamide, administered in single or repeated dose regimen to

steady state, including a food interaction trial. All the above trials were carried out after the Ethics Committee's approval and signature of the consent form by the volunteers. In single dose trials blood sampling covered a 24 h-period in pharmacodynamic trials, 48 h-period in pharmacokinetic trials. In the case of repeated dose regimen to steady state a pre-dose sample was drawn on the first six study days, whereas the curve was explored on the 7th study day, prolonging blood sampling over a 48 h-period after the last dosing. Sildenafil level was determined in plasma by a very sensitive and specific LC-MS-MS method, with a low limit of quantification of 0.5 ng/mL of plasma. Pharmacokinetic anal. was carried out with non-compartmental method and, in one case, also with the two-compartmental method. Monoamine oxidase activity of both types A and B (MAO-A and MAO-B) was determined in plasma at different times (MAO-B) and correlated to sildenafil levels, or in urine (MAO-A). Results: Pharmacokinetics of sildenafil proved to be linearly and proportionally related to the administered doses. The absorption of sildenafil was rapid with peak plasma concns. ranging from 2 to 4 h. Food prolonged the rate and did not affect the extent of absorption of sildenafil. In repeat dose regimen once daily, the steady state was reached on the 5th study day with a marginal accumulation factor of 1.5-1.7. The drug was cleared with a $t_{1/2}$ of about 22 h. Sildenafil reversibly inhibited MAO-B enzyme. Full inhibition was observed with single doses ≥ 600 $\mu\text{g/kg}$, and a relevant, dose dependent, progressive inhibition was encountered with doses starting from 25 $\mu\text{g/kg}$. Even at the highest single dose of 10 mg/kg no evidence of MAO-A inhibition was observed Conclusion: Enteral absorption of the drug is linear and proportional to the doses administered. The drug is cleared from the body with a $t_{1/2}$ of .simeq.22 h, without producing any clin. relevant accumulation at steady state. The MAO-B inhibitory activity, without affecting MAO-A, is useful to prevent a dopamine bioinactivation in patients suffering from Parkinson's disease. Sildenafil tolerability in the four clin. trials proved to be good.

IT 133865-89-1, Sildenafil

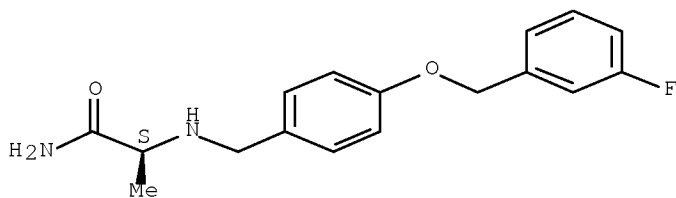
RL: PKT (Pharmacokinetics); BIOL (Biological study)

(pharmacokinetics of sildenafil is linear, proportional to doses administered, absorption was rapid and food prolonged rate, did not affect absorption while reversibly inhibited MAO-B enzyme and was well tolerated in human)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

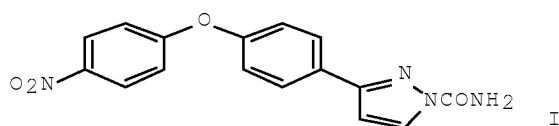


REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 29 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:105112 HCAPLUS Full-text
 DOCUMENT NUMBER: 140:303586

Serial No.:10/586,494

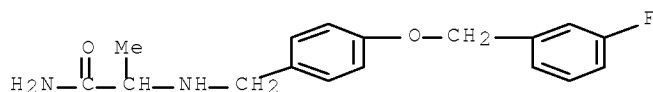
TITLE: 3-(4-Phenoxyphenyl)pyrazoles: A Novel Class of Sodium Channel Blockers
AUTHOR(S): Yang, Ji; Gharagozloo, Parviz; Yao, Jiangchao; Ilyin, Victor I.; Carter, Richard B.; Nguyen, Phong; Robledo, Silvia; Woodward, Richard M.; Hogenkamp, Derk J.
CORPORATE SOURCE: Discovery Research, Purdue Pharma L.P., Cranbury, NJ, 08512, USA
SOURCE: Journal of Medicinal Chemistry (2004), 47(6), 1547-1552
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:303586
ED Entered STN: 10 Feb 2004
GI



AB A series of 3-(4-phenoxyphenyl)-1H-pyrazoles were synthesized and characterized as potent state-dependent sodium channel blockers. A limited SAR study was carried out to delineate the chemical requirements for potency. The results indicate that the distal Ph group is critical for activity but will tolerate lipophilic (+ π) electroneg. (+ σ) substituents at the ortho and/or para position. Substitution at the pyrazole nitrogen with a H-bond donor improves potency. 3-[4-(4-Nitrophenoxy)phenyl]-1H-pyrazole-1-carboxamide (I) showed robust activity in the rat Chung neuropathy paradigm.

IT 133866-14-5
RL: PAC (Pharmacological activity); BIOL (Biological study)
(NW 1029; preparation of (phenoxyphenyl)pyrazole derivs. and their activity as sodium channel blockers and comparison to NW 1029)

RN 133866-14-5 HCAPLUS
CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 30 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:59549 HCAPLUS Full-text
DOCUMENT NUMBER: 140:117387
TITLE: Transdermal delivery of antiparkinson agents with skin penetration enhancer and volatile liquid

Serial No.:10/586,494

INVENTOR(S): Klose, Kathryn Traci-Jane; Tran, Ngan Thi Kim; Morgon, Timothy Matthias; Finnin, Barrie Charles; Reed, Barry Leonard
 PATENT ASSIGNEE(S): Monash University, Australia; Acrux Dds Pty Ltd.
 SOURCE: U.S. Pat. Appl. Publ., 8 pp., Cont.-in-part of U.S. Ser. No. 910,780.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 7
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040013620	A1	20040122	US 2003-428016	20030502
US 6929801	B2	20050816		
WO 9729735	A1	19970821	WO 1997-AU91	19970219
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 1674068	A1	20060628	EP 2005-22951	19970219
EP 1674068	B1	20081008		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1769785	A1	20070404	EP 2006-25287	19970219
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 6299900	B1	20011009	US 1998-125436	19981218
AU 9952589	A	19991202	AU 1999-52589	19991001
US 20020028235	A1	20020307	US 2001-910780	20010724
US 6818226	B2	20041116		
JP 2007326867	A	20071220	JP 2007-185782	20070717
PRIORITY APPLN. INFO.:				
			AU 1996-8144	A 19960219
			WO 1997-AU91	W 19970219
			US 1998-125436	A3 19981218
			US 2001-910780	A2 20010724
			AU 1997-17134	A3 19970219
			EP 1997-904304	A3 19970219
			EP 2005-22951	A3 19970219
			JP 1997-528834	A3 19970219

OTHER SOURCE(S): MARPAT 140:117387

ED Entered STN: 23 Jan 2004

AB The present invention provides a transdermal drug delivery system which comprises: a therapeutically effective amount of an antiParkinson agent; at least one dermal penetration enhancer, which is a safe skin-tolerant ester sunscreen ester; and at least one volatile liquid. The invention also provides a method for administering at least one systemic acting antiParkinson agent to an animal which comprises applying an effective amount of the antiParkinson agent in the form of the drug delivery system of the present invention. The addition of the sunscreen ester dermal penetration enhancer, octyl salicylate, surprisingly caused a marked increase (>15-fold) in the transdermal delivery of ropinirole across the skin (p<0.05). A topical spray contains 5 % volume/volume ropinirole, 5 % volume/volume octyl salicylate, and aqueous ethanol.

IT 133865-89-1, Safinamide

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);

Serial No.:10/586,494

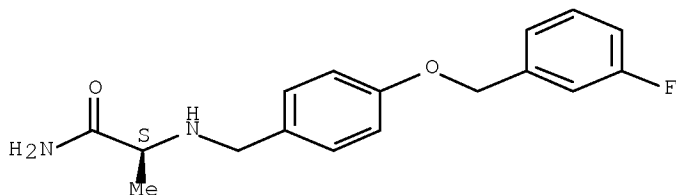
THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antiParkinson agent; transdermal delivery of antiparkinson agents with skin penetration enhancer and volatile liquid)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L31 ANSWER 31 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:41272 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 140:99642

TITLE: Novel medicament combinations based on sodium channel blockers and magnesium salts

INVENTOR(S): Duettmann, Hermann; Weiser, Thomas

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.,
Germany

SOURCE: PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004004723	A1	20040115	WO 2003-EP6665	20030625
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 10230027	A1	20040122	DE 2002-10230027	20020704
CA 2491217	A1	20040115	CA 2003-2491217	20030625
AU 2003246582	A1	20040123	AU 2003-246582	20030625
EP 1521579	A1	20050413	EP 2003-762507	20030625
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2005532376	T	20051027	JP 2004-518563	20030625
US 20040087513	A1	20040506	US 2003-612107	20030702
PRIORITY APPLN. INFO.:			DE 2002-10230027	A 20020704
			US 2002-408213P	P 20020904

OTHER SOURCE(S): MARPAT 140:99642

ED Entered STN: 18 Jan 2004

AB The invention relates to novel medicament combinations based on sodium channel blockers and magnesium salts. The invention also relates to a method for the production thereof and the use thereof in the production of medicaments for the treatment of ischemic states. The sodium channel blockers and magnesium salts are administered parenteral; magnesium salts can be administered orally. The two components can be included in sep. formulations or in one formulation. Thus a sodium channel blocker injection contained (mg): crobenetine hydrochloride 767; hydroxypropyl γ -cyclodextrin 10000; mannitol 11000; acetic acid (99%) 125.25; sodium acetate trihydrate 56.5; and water to 250 mL. A magnesium salt injection contained 1000 mg magnesium sulfate and 10 mL water.

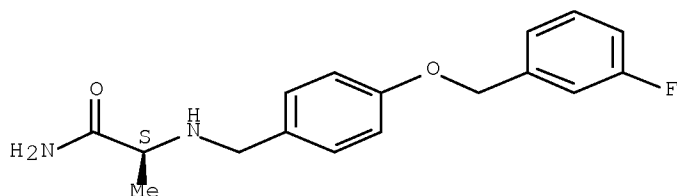
IT 133865-89-1, Safinamide

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicament combinations based on sodium channel blockers and magnesium salts)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 32 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:1006769 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 140:47530

TITLE: Medicament combinations of sodium channel blockers and fibrinolytics for treating ischemic conditions

INVENTOR(S): Banzet, Sophie; Duettmann, Hermann; Mauz, Annerose

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.,
Germany

SOURCE: PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003105844	A1	20031224	WO 2003-EP5813	20030604
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,				

Serial No.:10/586,494

PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
 TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 DE 10226814 A1 20040108 DE 2002-10226814 20020615
 CA 2485751 A1 20031224 CA 2003-2485751 20030604
 AU 2003250338 A1 20031231 AU 2003-250338 20030604
 EP 1515720 A1 20050323 EP 2003-759907 20030604
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 JP 2005536478 T 20051202 JP 2004-512748 20030604
 US 20030235576 A1 20031225 US 2003-460709 20030612
 PRIORITY APPLN. INFO.: DE 2002-10226814 A 20020615
 US 2002-408144P P 20020904
 WO 2003-EP5813 W 20030604

OTHER SOURCE(S): MARPAT 140:47530

ED Entered STN: 26 Dec 2003

AB The invention relates to novel medicament combinations based on sodium channel blockers and fibrinolytics, to a method for producing the same and to the use thereof for producing medicaments for treating ischemic conditions. The selected sodium channel blockers and fibrinolytics can be prepared as one formulation or as two formulations. The synthesis of benzazocine compds. that are sodium channel blockers is described. An injection formulation containing the sodium channel blocker included: crobenetine hydrochloride 767 mg; hydroxypropyl γ -cyclodextrin 10000 mg; mannitol 11000 mg; acetic acid (99%) 125.25; sodium acetate trihydrate 56.6; water to 250 mL.

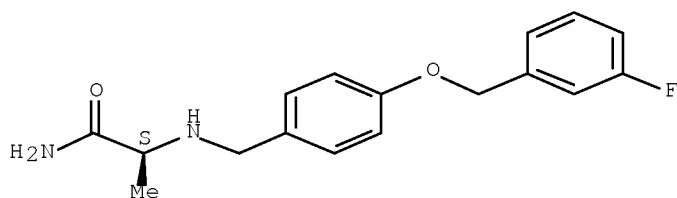
IT 133865-89-1, Safinamide

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (medicament combinations of sodium channel blockers and fibrinolytics
 for treating ischemic conditions)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-
 (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 33 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:592817 HCAPLUS Full-text

DOCUMENT NUMBER: 140:105024

TITLE: Pressor response to intravenous tyramine in healthy
 subjects after safinamide, a novel neuroprotectant
 with selective, reversible monoamine oxidase B
 inhibition

AUTHOR(S): Cattaneo, Carlo; Caccia, Carla; Marzo, Antonio; Maj, Roberto; Fariello, Ruggero G.

CORPORATE SOURCE: Newron Pharmaceuticals S.p.A, Gerenzano, Italy

SOURCE: Clinical Neuropharmacology (2003), 26(4), 213-217
CODEN: CLNEDB; ISSN: 0362-5664

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 04 Aug 2003

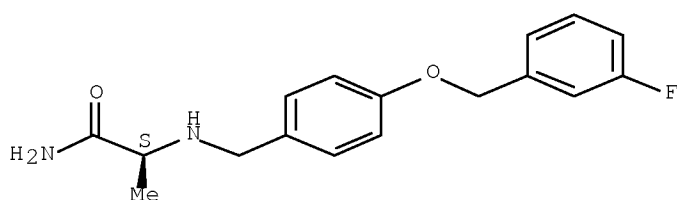
AB Saffinamide is a novel neuroprotectant combining Na and Ca channel blocking properties with selective, reversible monoamine oxidase type B (MAO B) inhibition. Phase I studies have demonstrated that in healthy volunteers, the ED50 (a dose that inhibits enzyme activity by 50% in 50% of treated subjects) for MAO B inhibition is 87.5 µg/kg/day orally, and that no MAO A inhibition occurs after 10-mg/kg oral dosing. To assess the risk of inducing the "cheese effect," the effect of saffinamide and placebo on the pressor response to tyramine was investigated in a group of healthy male volunteers. The study was an open, single-dose placebo-controlled trial with the 2 treatments in sequence. An increase of 30 mm Hg systolic blood pressure was obtained by i.v. tyramine administered by 0.5-mg incremental boluses injected at 15-min intervals. The amount of tyramine necessary to achieve such a blood pressure increase was the same after the saffinamide 2-mg/kg oral load compared with placebo. These results suggest that dietary restrictions for food with high tyramine content should not be required under saffinamide treatment.

IT 133865-89-1, Saffinamide
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pressor response to i.v. tyramine in healthy subjects after saffinamid)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 34 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:765415 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 138:314393

TITLE: Restorative effects of glutamate antagonists in experimental parkinsonism

AUTHOR(S): Archer, T.; Palomo, T.; Fredriksson, A.

CORPORATE SOURCE: Department of Psychology, University of Goeteborg, Goeteborg, Swed.

SOURCE: Amino Acids (2002), 23(1-3), 71-85
CODEN: AACIE6; ISSN: 0939-4451

PUBLISHER: Springer-Verlag Wien

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 09 Oct 2002

AB Several compds. with antagonistic actions on N-methyl-D-aspartate (NMDA) receptors were tested for an antiakinesic action in hypoactive MPTP-treated C57 BL/6 mice rendered tolerant to the motor activity enhancing effects of the 20 mg/kg, s.c., dose of L-Dopa; each compound was administered 60 min before the administration of the dopamine precursor. The classes of compds. studied included the noncompetitive NMDA antagonists, memantine, amantadine and MK-801, the competitive NMDA antagonist, CGP40116, the anticonvulsive and putative anticonvulsive agents, lamotrigine and FCE26743, with a partial glutamatergic antagonistic action. All six compds. elevated locomotor, rearing and total activity counts of L-Dopa-tolerant mice in co-administration with L-Dopa in dose-specific or dose-dependent manners but only memantine and MK-801 affected motor activity in the control mice, that also received chronic L-Dopa treatment. Thus, the restorative actions of those compds. in suprathreshold L-Dopa-tolerant MPTP-treated mice subjected to "wearing-off" of L-Dopa efficacy were assessed in a series of expts. Within each class of potentially therapeutic agents a differential restorative efficacy of the motor activity-stimulating effects of hypoactive MPTP mice was obtained, confirming the putative antiparkinsonian applications of compds. with glutamate antagonistic actions.

IT 133865-89-1, FCE 26743

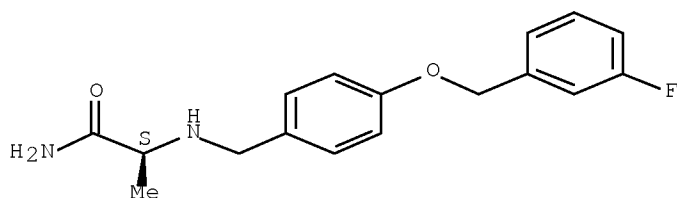
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(restorative effects of glutamate antagonists in exptl. parkinsonism)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 35 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:536149 HCAPLUS Full-text

DOCUMENT NUMBER: 135:312970

TITLE: Safinamide (Newron Pharmaceuticals)

AUTHOR(S): Chazot, Paul L.

CORPORATE SOURCE: School of Sciences, University of Sunderland, Tyne and Wear, SR2 3SD, UK

SOURCE: Current Opinion in Investigational Drugs (PharmaPress Ltd.) (2001), 2(6), 809-813
CODEN: COIDAZ

PUBLISHER: PharmaPress Ltd.

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

ED Entered STN: 25 Jul 2001

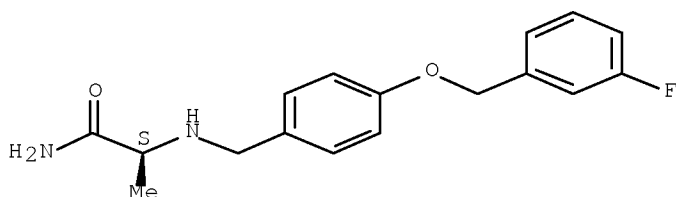
AB A review with refs. Safinamide (formerly PNU-151774E), a sodium and calcium channel modulator that also inhibits monoamine oxidase B (MAOB), is under development by Newron Pharmaceuticals for the potential treatment of epilepsy, Parkinson's disease (PD), pain and stroke. Phase I trials for epilepsy and PD have been completed, and dose-finding studies for both indications had commenced in Mar. 2001. The compound was previously developed by Pharmacia & Upjohn (P&U) for the potential treatment of epilepsy, an indication for which it initially reached phase I trials. Newron acquired the rights to safinamide from P&U at the end of 1998. Results from two phase I trials of the compound (single ascending dose and steady state at three doses), completed in Mar. 2000, demonstrated that the drug is well tolerated with good bioavailability and linear pharmacokinetics.

IT 133865-89-1, Safinamide
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
 (safinamide for potential treatment of epilepsy, Parkinson's disease (PD), pain and stroke in humans)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 36 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:829570 HCAPLUS Full-text

DOCUMENT NUMBER: 134:187818

TITLE: In silico studies for the rational discovery of anticonvulsant compounds

AUTHOR(S): Estrada, E.; Pena, A.

CORPORATE SOURCE: Faculty of Pharmacy, Department of Organic Chemistry, University of Santiago de Compostela, Santiago de Compostela, 15706, Spain

SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(12), 2755-2770
 CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 28 Nov 2000

AB Theor. models to virtual screening and rational design of anticonvulsant compds. based on a topol. sub-structural mol. design (TOSS-MODE) approach are developed. These models, developed on the basis of data sets of great

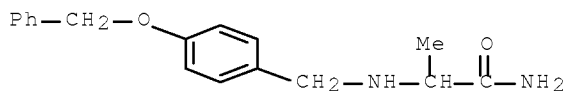
structural variability, permit the classification of compds. as active/inactive anticonvulsants and predict the quant. anticonvulsant potency of such compds. The classification model is applied to a virtual screening of anticonvulsant compds. by analyzing a data set of mols. reported in the literature. More than 88% of them were well classified by the current model. Active and inactive fragments are identified by using the present approach. Some of the active fragments are identified in anticonvulsant mols. as potential pharmacophores and one of them is analyzed in detail. The three-dimensional (3-D) features of this fragment are investigated in a series of five anticonvulsant compds. Some structure-anticonvulsant activity relationships are derived on the basis of the 3-D structure of this fragment and some findings reported in the literature that indicate that it is an important pharmacophore are outlined.

IT 133866-09-8 133866-12-3 133866-18-9
133866-23-6 133866-25-8 133866-27-0
229309-28-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(models to virtual screening and design of anticonvulsants based on topol. sub-structural mol. design)

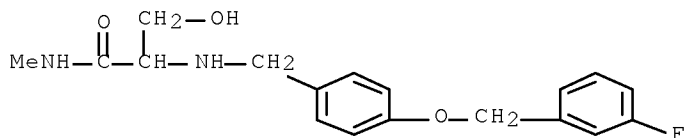
RN 133866-09-8 HCAPLUS

CN Propanamide, 2-[[[4-(phenylmethoxy)phenyl]methyl]amino]- (CA INDEX NAME)



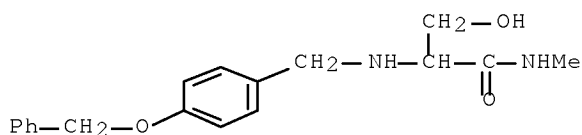
RN 133866-12-3 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-3-hydroxy-N-methyl- (CA INDEX NAME)

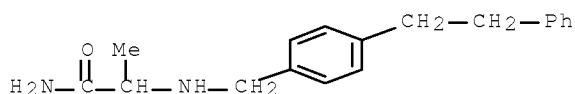


RN 133866-18-9 HCAPLUS

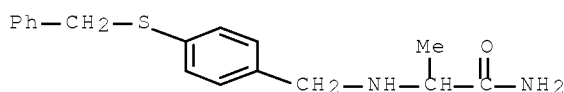
CN Propanamide, 3-hydroxy-N-methyl-2-[[[4-(phenylmethoxy)phenyl]methyl]amino]- (CA INDEX NAME)



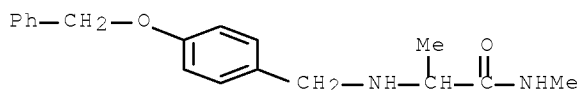
RN 133866-23-6 HCAPLUS
CN Propanamide, 2-[[[4-(2-phenylethyl)phenyl]methyl]amino]- (CA INDEX NAME)



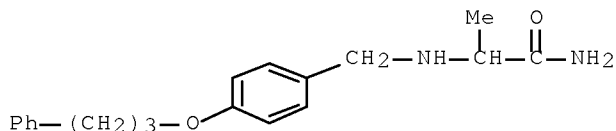
RN 133866-25-8 HCAPLUS
CN Propanamide, 2-[[[4-[(phenylmethyl)thio]phenyl]methyl]amino]- (CA INDEX NAME)



RN 133866-27-0 HCAPLUS
CN Propanamide, N-methyl-2-[[[4-(phenylmethoxy)phenyl]methyl]amino]- (CA INDEX NAME)



RN 229309-28-8 HCAPLUS
CN Propanamide, 2-[[[4-(3-phenylpropoxy)phenyl]methyl]amino]- (CA INDEX NAME)



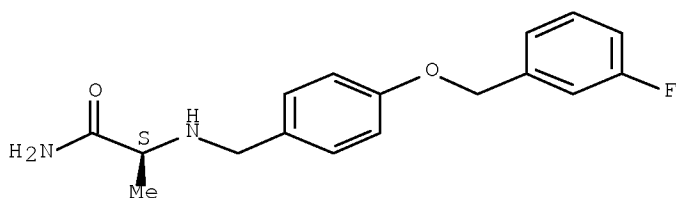
REFERENCE COUNT: 74 THERE ARE 74 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 37 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:824917 HCAPLUS [Full-text](#)
DOCUMENT NUMBER: 134:348174
TITLE: Restoration and putative protection in Parkinsonism
AUTHOR(S): Archer, Trevor; Fredriksson, Anders
CORPORATE SOURCE: Department of Psychology, University of Goteborg,

Serial No.:10/586,494

SOURCE: Goteborg, S-405 30, Swed.
Neurotoxicity Research (2000), 2(2-3), 251-292
CODEN: NURRFI; ISSN: 1029-8428
PUBLISHER: Harwood Academic Publishers
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
ED Entered STN: 26 Nov 2000
AB Synergistic antiparkinsonian actions of different classes of putative therapeutic agents coadministered with a subthreshold dose of L-dopa (5 mg/kg) in drug-naive, 1-methyl-4-phenyl-1,2,3,6-tetrahydropyridine (MPTP)-treated mice, as well as the restorative actions of those compds. in suprathreshold-L-dopa-tolerant MPTP-treated mice subjected to "wearing-off" of L-dopa efficacy, were assessed. The classes of compds. studied included the noncompetitive NMDA antagonists memantine, amantadine and MK-801, the anticonvulsive and putative anticonvulsive agents lamotrigine, FCE 26743, and phenytoin, the monoamine oxidase inhibitors L-deprenyl, amiflamine, α -ethyltryptamine, clorgyline and phenelzine, and the α 2-adrenoceptor agonists clonidine and guanfacine. The restorative effects of clonidine and guanfacine were antagonized by the α 2-adrenoceptor antagonist yohimbine, but not the α 1-adrenoceptor antagonist prazosin. Within each class of potentially therapeutic agents a differential restorative efficacy was obtained, but the combination of different doses of apomorphine with clonidine failed to restore motor activity. Finally, the neuroprotective actions of acute and subchronic administration of the nitron spin-trapping compound α -phenyl-tert-Bu nitron on the spontaneous motor behavior and striatal dopamine concns. of MPTP-treated mice were examined. A considerable amount of review material is also presented in this paper.
IT 133865-89-1, FCE 26743
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(classes of compds. with protective or restorative effect in MPTP model of Parkinsonism in mice)
RN 133865-89-1 HCAPLUS
CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 170 THERE ARE 170 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 38 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:135317 HCAPLUS Full-text
DOCUMENT NUMBER: 133:38095
TITLE: Some peculiar aspects of monoamine oxidase inhibition
AUTHOR(S): Ramadan, Z. B.; Dostert, P.; Tipton, K. F.

CORPORATE SOURCE: Department of Biochemistry, Trinity College, Dublin, 2, Ire.

SOURCE: Neurobiology (Budapest) (1999), 7(2), 159-174
CODEN: NROBEZ; ISSN: 1216-8068

PUBLISHER: Akademiai Kiado

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 28 Feb 2000

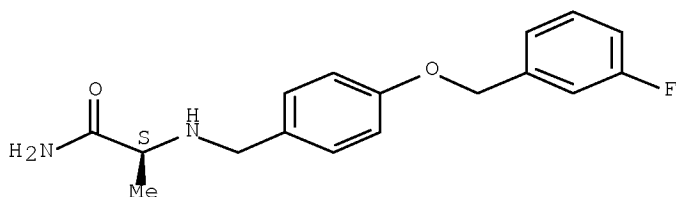
AB CN- ions enhance the inhibition of monoamine oxidase by the hydrazine derivs., phenelzine [2-phenylethylhydrazine] and pheniprazine [(1-methyl-2-phenylethyl)hydrazine]. This involves partial competitive activation of the initial non-covalent enzyme-inhibitor complex with no significant effect on the subsequent reaction to give the irreversibly inhibited species. Whereas the maximum effects on pheniprazine inhibition of rat liver MAO-B occurred at about 5 μ M cyanide, concns. of 5 mM were necessary for maximum stimulation of MAO-A inhibition. A comparison of the behavior of rat and ox MAO revealed considerable differences in their sensitivities to pheniprazine and the potentiating effects of cyanide. Species differences were also evident in the interactions derivs. of milacemide [2-n-pentylaminoacetamide] as substrates and mechanism-based inhibitors of MAO-B. In one case there was evidence for apparently large difference in inhibitor sensitivities between human brain MAO-B from different individuals.

IT 133865-89-1, FCE 26743
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(phenelzine, pheniprazine, and cyanide effects on monoamine oxidase inhibition)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 39 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:56846 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 132:303346

TITLE: Effects of co-administration of anticonvulsant and putative anticonvulsive agents and sub-/suprathreshold doses of L-Dopa upon motor behaviour of MPTP-treated mice

AUTHOR(S): Fredriksson, A.; Palomo, T.; Archer, T.

CORPORATE SOURCE: Department of Psychiatry, Ullerakers Hospital, University of Uppsala, Uppsala, Swed.

SOURCE: Journal of Neural Transmission (1999), 106(9-10),

889-909

CODEN: JNTRF3; ISSN: 1435-1463

PUBLISHER: Springer-Verlag Wien

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 24 Jan 2000

AB The effects of co-administration of the dopamine precursor, L-Dopa, with anticonvulsant and putative anticonvulsive agents upon the motor activity of hypoactive MPTP-treated C57 BL/6 mice were measured in six expts. In each case, MPTP (2+40 mg/kg, s.c., separated by a 24-h interval) was administered four to six weeks prior to behavioral testing. Thus, the effects of these agents combined with either a single acute, subthreshold dose (5 mg/kg, s.c.) of L-Dopa, or, with chronically-administered, suprathreshold doses (20 mg/kg, s.c.) of L-Dopa were studied. In the former, lamotrigine, FCE 26743 and L-Deprenyl, injected 60 min before subthreshold L-Dopa (5 mg/kg), each induced an antiparkinsonian action in MPTP-treated mice that consisted of dose-specific, as opposed to dose-related, elevations of locomotion and rearing behavior. In the latter, lamotrigine (all three measures of activity at 3 mg/kg), FCE 26743 (locomotion and total activity at 3; rearing at 1 and 3 mg/kg) and L-Deprenyl (locomotion and total activity at 1 and 3 mg/kg), but not phenytoin (neither at 1 nor 3 mg/kg), reinstated the motor activity-stimulating effects of the threshold dose of L-Dopa (20 mg/kg) in L-Dopa-tolerant, MPTP-treated mice. Neurochem. analyses confirmed severe DA depletions in MPTP-treated mice. Since neither lamotrigine, FCE 26743 nor L-Deprenyl, nor subthreshold L-Dopa, by themselves increased the motor behavior of MPTP-treated mice, a synergistic effect of the co-administration is concluded. Further, since the suprathreshold dose of L-Dopa by itself failed to stimulate motor activity in the MPTP mice following chronic (25 daily injections) administrations of the compound, it is suggested that a restorative effect, in combination with lamotrigine, FCE 26743 or L-Deprenyl was evidenced. The potential therapeutic benefits of anticonvulsant or putative anticonvulsive compds. for parkinsonian symptoms are discussed.

IT 133865-89-1, FCE 26743

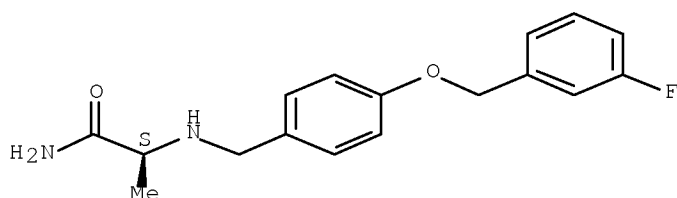
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(effects of co-administration of anticonvulsant and putative anticonvulsive agents and sub-/suprathreshold doses of L-Dopa upon motor behavior of MPTP-treated mice in relation to antiparkinsonian effects)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Serial No.:10/586,494

L31 ANSWER 40 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:709050 HCAPLUS Full-text

DOCUMENT NUMBER: 129:343416

ORIGINAL REFERENCE NO.: 129:69949a,69952a

TITLE: Carbocyclic and heterocyclic substituted
semicarbazones and thiosemicarbazones and their use as
sodium channel blockersINVENTOR(S): Wang, Yan; Cai, Sui Xiong; Lan, Nancy C.; Keana, John
F. W.; Ilyin, Victor I.; Weber, Eckard

PATENT ASSIGNEE(S): Cocensys, Inc., USA

SOURCE: PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

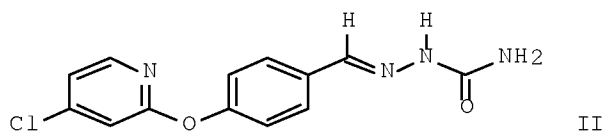
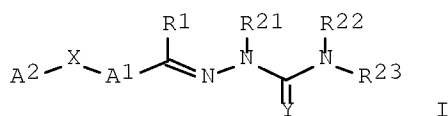
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9847869	A1	19981029	WO 1998-US8004	19980422
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2287255	A1	19981029	CA 1998-2287255	19980422
AU 9874676	A	19981113	AU 1998-74676	19980422
AU 738197	B2	20010913		
EP 986540	A1	20000322	EP 1998-922043	19980422
EP 986540	B1	20050216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 2000001297	A2	20000928	HU 2000-1297	19980422
HU 2000001297	A3	20011128		
BR 9809288	A	20010807	BR 1998-9288	19980422
NZ 500590	A	20011130	NZ 1998-500590	19980422
JP 2001526648	T	20011218	JP 1998-546269	19980422
AT 289295	T	20050315	AT 1998-922043	19980422
EP 1568690	A1	20050831	EP 2004-30775	19980422
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
NO 9905094	A	19991220	NO 1999-5094	19991019
MX 9909660	A	20000630	MX 1999-9660	19991021
US 6458843	B1	20021001	US 1999-421403	19991021
US 20020061886	A1	20020523	US 2001-3249	20011206
US 6638947	B2	20031028		
US 20020183321	A1	20021205	US 2002-178477	20020625
US 6696442	B2	20040224		
US 20030225080	A1	20031204	US 2003-463814	20030618
PRIORITY APPLN. INFO.:			US 1997-44530P	P 19970422
			US 1997-62649P	P 19971022
			WO 1998-US8004	W 19980422
			EP 1998-922043	A3 19981029
			US 1999-421403	A3 19991021

OTHER SOURCE(S): MARPAT 129:343416

ED Entered STN: 09 Nov 1998

GI



AB The invention relates to carbocyclic and heterocyclic substituted semicarbazones and thiosemicarbazones I and their pharmaceutically acceptable salts or prodrugs [wherein Y = O or S; R1, R21, R22 and R23 = H, alkyl, cycloalkyl, alkenyl, alkynyl, haloalkyl, aryl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, or carboxyalkyl; or NR22R23 forms a heterocycle; A1, A2 = (un)substituted aryl, heteroaryl, saturated or partially unsatd. carbocycle, or saturated or partially unsatd. heterocycle; X = O, S, NR24, CR25R26, CO, NR24CO, CONR24, SO, SO2, or a covalent bond; R24, R25, and R26 = H, alkyl, cycloalkyl, alkenyl, alkynyl, haloalkyl, aryl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, or carboxyalkyl]. The invention is also directed to the use of such compds. for treatment of neuronal damage following global and focal ischemia, for treatment or prevention of neurodegenerative conditions such as amyotrophic lateral sclerosis (ALS), for treatment and prevention of otoneurotoxicity and eye diseases involving glutamate toxicity, for treatment, prevention, or amelioration of pain, as anticonvulsants, as anti-manic-depressants, as local anesthetics, as antiarrhythmics, and for the treatment or prevention of diabetic neuropathy and urinary incontinence. Approx. 180 such compds. were prepared, claimed in use, and/or claimed per se. For instance, 4-FC6H4CHO was etherified with 5-chloro-2-pyridinol using K2CO3 in AcNMe2, and the resultant 4-(4-chloro-2-pyridinyloxy)benzaldehyde in EtOH reacted with semicarbazide-HCl and NaOAc in H2O to give title compound II. Exemplary biol. data for several compds. is given, and includes Na⁺ channel blocking, analgesic, and anticonvulsant activities. For instance, 4-(4-fluorophenoxy)benzaldehyde semicarbazone inhibited Na⁺ currents in rat hippocampal neurons (site 2) with IC50 of 22 μM, vs. 29.9 μM for lidocaine and >100 μM for tetrodotoxin, although the reverse order was observed at site 1.

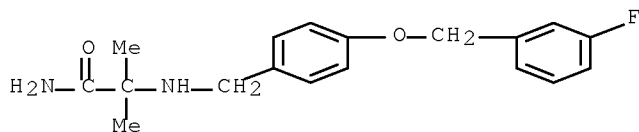
IT 187868-20-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical use; preparation of carbocyclic and heterocyclic substituted semicarbazones and thiosemicarbazones as sodium channel blockers)

RN 187868-20-8 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-2-methyl- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 41 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:95948 HCAPLUS Full-text

DOCUMENT NUMBER: 124:220205

ORIGINAL REFERENCE NO.: 124:40441a,40444a

TITLE: Enantioselective recognition of two anticonvulsants, FCE 26743 and FCE 28073, by MAO, and relationship between MAO-B inhibition and FCE 26743 concentrations in rat brain

AUTHOR(S): Strolin Benedetti, M.; Tocchetti, P.; Rocchetti, M.; Martignoni, M.; Marrari, P.; Poggesi, I.; Dostert, P.

CORPORATE SOURCE: Pharmacia, Via per Pogliano, Milan, 20014, Italy

SOURCE: Progress in Brain Research (1995), 106 (Current Neurochemical and Pharmacological Aspects of Biogenic Amines), 123-34

CODEN: PBRA4; ISSN: 0079-6123

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 15 Feb 1996

AB We report on the in vitro and ex vivo inhibitory properties of FCE 26743 and FCE 28073 in the rat, and on the in vitro MAO inhibitory properties of 4-(3-fluorobenzoyloxy)benzaldehyde, which would be produced by MAO should FCE 26743 and/or FCE 28073 be substrates of that enzyme. In addition, to examine whether products formed by MAO-independent oxidative metabolism of FCE 26743 could contribute to its MAO-B inhibitory properties, expts. were carried out in rats pretreated with SKF-525A, an inhibitor of oxidative drug metabolism. Finally, the relationship between ex vivo MAO-B inhibition and FCE 26743 concns. in the rat brain was investigated by developing a pharmacokinetic-pharmacodynamic model.

IT 133865-89-1, FCE 26743

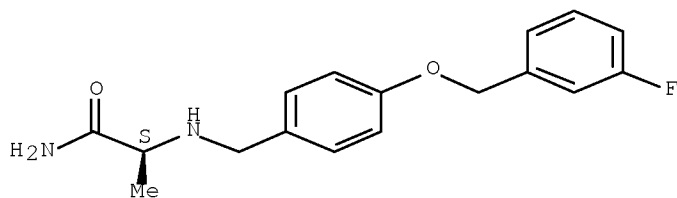
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(enantioselective recognition of anticonvulsants FCE 26743 and FCE 28073 by MAO, and relationship between MAO-B inhibition and FCE 26743 concns. in rat brain)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L31 ANSWER 42 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:758622 HCAPLUS Full-text

DOCUMENT NUMBER: 123:169357

ORIGINAL REFERENCE NO.: 123:30223a,30226a

TITLE: Preparation of substituted
(arylalkoxybenzyl)aminopropanamide-derivative
antiepileptic, neuroprotective and antidepressant
agents

INVENTOR(S): Varasi, Mario; Dostert, Philippe; Pevarello, Paolo;
Bonsignori, Alberto

PATENT ASSIGNEE(S): Pharmacia/Farmitalia Carlo Erba S.r.l., Italy

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

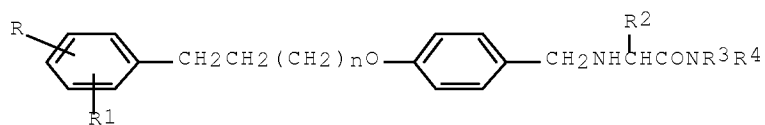
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9422808	A1	19941013	WO 1994-EP802	19940315
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
IL 108969	A	19981030	IL 1994-108969	19940314
CA 2135783	A1	19941013	CA 1994-2135783	19940315
CA 2135783	C	20050607		
AU 9462842	A	19941024	AU 1994-62842	19940315
AU 667164	B2	19960307		
EP 643688	A1	19950322	EP 1994-910419	19940315
EP 643688	B1	19980729		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE				
CN 1104017	A	19950621	CN 1994-190168	19940315
CN 1035939	C	19970924		
HU 68256	A2	19950628	HU 1994-3810	19940315
JP 07507814	T	19950831	JP 1994-521429	19940315
JP 3542599	B2	20040714		
AT 168991	T	19980815	AT 1994-910419	19940315
ES 2122253	T3	19981216	ES 1994-910419	19940315
US 5446066	A	19950829	US 1994-215628	19940322
ZA 9401963	A	19941019	ZA 1994-1963	19940421
FI 9405581	A	19941128	FI 1994-5581	19941128
PRIORITY APPLN. INFO.:			GB 1993-6886	A 19930401
			WO 1994-EP802	W 19940315

OTHER SOURCE(S): MARPAT 123:169357

ED Entered STN: 26 Aug 1995

GI



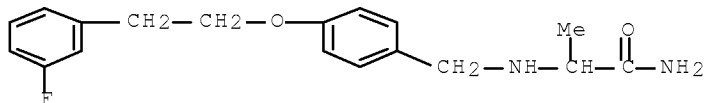
AB The title compds. [I; R, R1 = H, halogen, CF3, C1-4 alkoxy; R2 = H, (un)substituted C1-4 alkyl; R3, R4 = H, alkyl; n = 0-2; when R2 = H, (un)substituted C1-4 alkyl, and R, R1, and n have their assigned values, then R3 = R4 = H; etc.], useful as antiepileptics, anticonvulsants, neuroprotective and antidepressant agents, antispasmodics, and hypnotics, are prepared and a I-containing formulation is presented. Thus, 2-[4-(3-phenylpropyl)oxybenzyl]amino-3-hydroxypropanamide methanesulfonate demonstrated a ED50 of 8.6 mg/kg in an animal maximal electroshock seizure antagonism model.

IT 166949-64-0 166949-66-2 166949-68-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of substituted (arylalkoxybenzyl)aminopropanamide-derivative antiepileptic, neuroprotective and antidepressant agents)

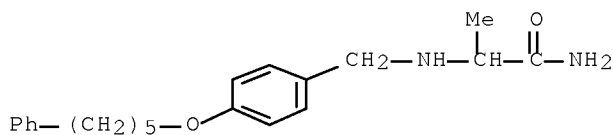
RN 166949-64-0 HCAPLUS

CN Propanamide, 2-[[[4-[2-(3-fluorophenyl)ethoxy]phenyl]methyl]amino]- (CA INDEX NAME)



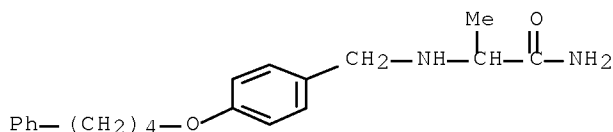
RN 166949-66-2 HCAPLUS

CN Propanamide, 2-[[[4-[(5-phenylpentyl)oxy]phenyl]methyl]amino]- (CA INDEX NAME)



RN 166949-68-4 HCAPLUS

CN Propanamide, 2-[[[4-(4-phenylbutoxy)phenyl]methyl]amino]- (CA INDEX NAME)



L31 ANSWER 43 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:209463 HCAPLUS Full-text

DOCUMENT NUMBER: 122:46270

ORIGINAL REFERENCE NO.: 122:8681a,8684a

TITLE: The anticonvulsant FCE 26743 is a selective and short-acting MAO-B inhibitor devoid of inducing properties towards cytochrome P450-dependent testosterone hydroxylation in mice and rats

AUTHOR(S): Strolin Benedetti, M.; Marrari, P.; Colombo, M.;

Castelli, M. G.; Arand, M.; Oesch, F.; Dostert, P.

CORPORATE SOURCE: Pharmacia-Farmitalia Carlo Erba, Milan, I-20159, Italy

SOURCE: Journal of Pharmacy and Pharmacology (1994), 46(10), 814-19

CODEN: JPPMAB; ISSN: 0022-3573

PUBLISHER: Royal Pharmaceutical Society of Great Britain

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 23 Nov 1994

AB The effects of the potent anticonvulsant FCE 26743 ((S)-2-(4-(3-fluorobenzoyloxy)benzyl-amino)propionamide) on monoamine oxidase (MAO) activity were measured in-vitro and ex-vivo using rat tissues homogenates. In-vitro, FCE 26743 showed potent and selective inhibitory properties towards liver MAO-B, with IC50 values about 10⁻⁷ M for MAO-B and higher than 10⁻⁵ M for MAO-A. When determined ex-vivo in brain, the ED50 value for the inhibition of MAO-B was 1.1 mg kg⁻¹ (p.o.) 1 h post-dosing, whereas MAO-A remained virtually unaffected after administration of 60 mg kg⁻¹ (p.o.) 1 h post-dosing, whereas MAO-A remained virtually unaffected after administration of 60 mg kg⁻¹. Similar effects were seen in liver. Following oral administration of 5 mg kg⁻¹ FCE 26743 to rats, brain MAO-B inhibition was 79% after 1 h and 13% after 24 h, indicating that FCE 26743 behaves as a short-acting MAO-B inhibitor. The ability of FCE 26743 to act as a MAO substrate was assessed in mice by measuring the urinary excretion of alaninamide, a potential metabolite of FCE 26743 which would result from the action of MAO. No alaninamide was detectable in the 0-8 h urines after administration of a 119 mg kg⁻¹ dose, suggesting that FCE 26743 is not, or only to a small degree, a substrate of MAO. The effects of FCE 26743 on cytochrome P 450 enzymes involved in testosterone hydroxylation were determined in rats after repeated administration. No induction of the cytochrome P 450 system was noted.

IT 133865-89-1, FCE 26743

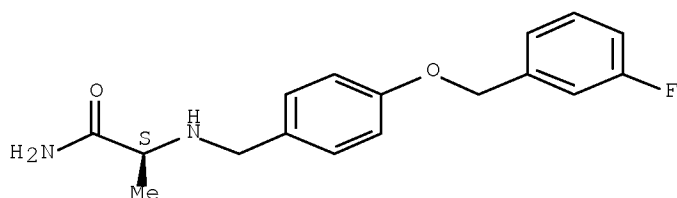
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(anticonvulsant FCE 26743 is a selective and short-acting MAO-B inhibitor devoid of inducing properties towards cytochrome P 450-dependent testosterone hydroxylation)

RN 133865-89-1 HCAPLUS

CN Propanamide, 2-[[[4-[(3-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)-(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L31 ANSWER 44 OF 44 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1991:228554 HCAPLUS Full-text

DOCUMENT NUMBER: 114:228554

ORIGINAL REFERENCE NO.: 114:38536h,38537a

TITLE: Preparation of α -(phenylalkylamino)carboxamides
as drugsINVENTOR(S): Dostert, Philippe; Pevarello, Paolo; Heidempergher,
Franco; Varasi, Mario; Bonsignori, Alberto; Roncucci,
Romeo

PATENT ASSIGNEE(S): Farmitalia Carlo Erba S.r.l., Italy

SOURCE: Eur. Pat. Appl., 24 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

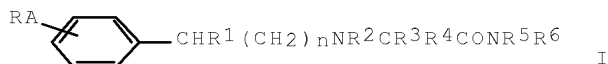
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 400495	A1	19901205	EP 1990-109950	19900525
EP 400495	B1	19931103		
R: GR				
IL 94466	A	19950124	IL 1990-94466	19900522
ZA 9003990	A	19910327	ZA 1990-3990	19900523
CZ 281420	B6	19960911	CZ 1990-2520	19900523
CA 2033190	A1	19901126	CA 1990-2033190	19900525
CA 2033190	C	20030408		
WO 9014334	A1	19901129	WO 1990-EP841	19900525
W: AU, CA, FI, HU, JP, KR, NO, SU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
CN 1047496	A	19901205	CN 1990-103800	19900525
CN 1027588	C	19950208		
AU 9057299	A	19901218	AU 1990-57299	19900525
AU 645752	B2	19940127		
EP 426816	A1	19910515	EP 1990-908218	19900525
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
HU 55348	A2	19910528	HU 1990-5133	19900525
JP 04500215	T	19920116	JP 1990-507938	19900525
JP 2771328	B2	19980702		
AT 96775	T	19931115	AT 1990-109950	19900525
ES 2062174	T3	19941216	ES 1990-109950	19900525
DD 298507	A5	19920227	DD 1990-344386	19901002
NO 9100270	A	19910123	NO 1991-270	19910123
NO 179944	B	19961007		
NO 179944	C	19970115		
US 5236957	A	19930817	US 1991-646596	19910125
RU 2097371	C1	19971127	RU 1992-5011522	19920319

Serial No.:10/586,494

US 5391577	A	19950221	US 1993-65888	19930525
US 5502079	A	19960326	US 1994-343853	19941117
PRIORITY APPLN. INFO.:			GB 1989-12071	A 19890525
			GB 1990-7567	A 19900404
			EP 1990-109950	A 19900525
			WO 1990-EP841	A 19900525
			US 1991-646596	A3 19910125
			US 1993-65888	A3 19930525

OTHER SOURCE(S): MARPAT 114:228554
 ED Entered STN: 15 Jun 1991
 GI



AB Title compds. I [R = C1-8 alkyl, C3-8 cycloalkyl, furyl, thienyl, pyridyl, (substituted) Ph; R1, R2 = H, C1-4 alkyl; R3 = H, (substituted) C1-4 alkyl; R4 = H; R3R4C = C3-6-cycloalkyl; R5, R5 = H, C1-6 alkyl; A = alkyl, (CH2)pX(CH2)q; 1 of p and q is 0 and the other is 0-4; X = O, S, HN, C1-4 alkylimino; n = 0, 1] and salts thereof, are prepared as antiepileptic, anti-Parkinson, neuroprotective, antidepressant, antispastic, and(or) hypnotic agents. H2NCH2CONH2.HCl in MeOH and NaBH3CN were added under N to 4-(3-ClC6H4O)C6H4CHO to give I [RA = 4-(3-ClC6H4); R1-R6 = H; n = 0] as the HCl. (S)-I (RA = 4-PhCH2NH; R1 = R2 = R4 = R5 = R6 = H; R3 = Me; n = 0) similarly prepared showed antagonism of convulsions induced by bicuculline, in mice at ED50 = 9 mg/kg, orally. Tablet formulations comprising I are given.

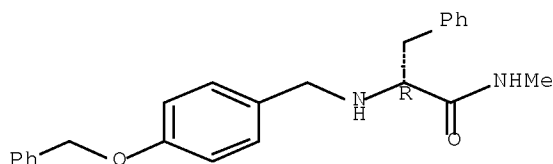
IT 133865-35-7P 133865-88-0P 133865-89-1P
 133866-09-8P 133866-10-1P 133866-11-2P
 133866-12-3P 133866-14-5P 133866-15-6P
 133866-18-9P 133866-19-0P 133866-23-6P
 133866-25-8P 133866-27-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of, as drug)

RN 133865-35-7 HCAPLUS

CN Benzenepropanamide, N-methyl- α -[[[4-(phenylmethoxy)phenyl]methyl]amino]-, (α R)- (CA INDEX NAME)

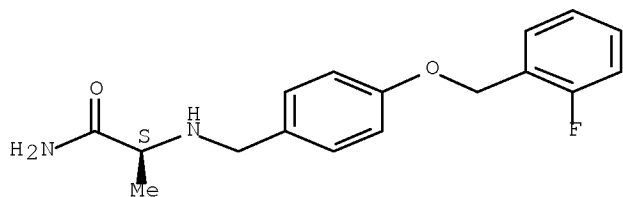
Absolute stereochemistry. Rotation (-).



RN 133865-88-0 HCAPLUS

CN Propanamide, 2-[[[4-[(2-fluorophenyl)methoxy]phenyl]methyl]amino]-, (2S)- (CA INDEX NAME)

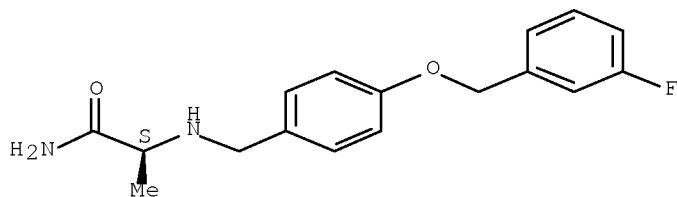
Absolute stereochemistry. Rotation (+).



RN 133865-89-1 HCAPLUS

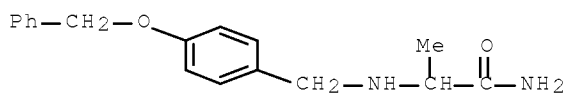
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(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



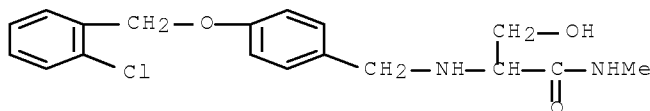
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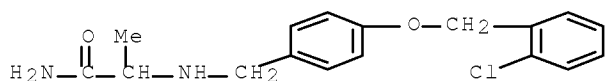
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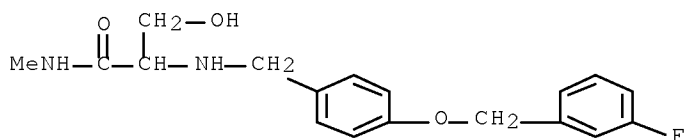
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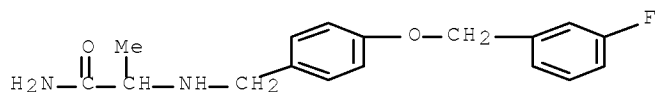
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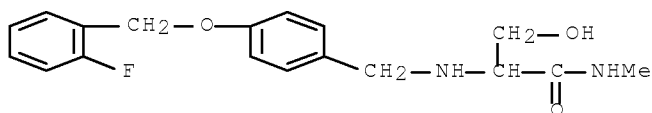
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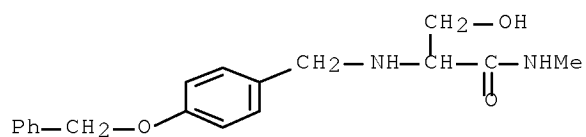
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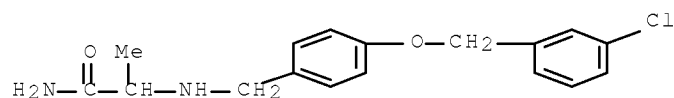


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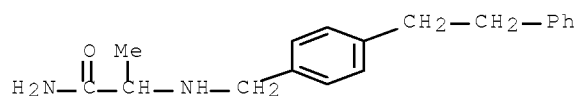
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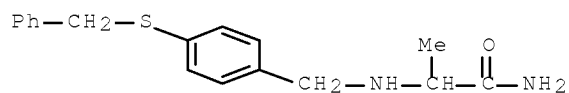
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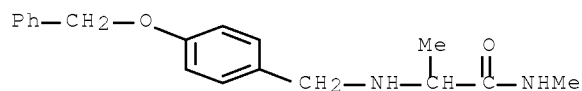
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RN 133866-25-8 HCAPLUS
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RN 133866-27-0 HCAPLUS
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=> D STAT QUE L22

L1 SCR 91 OR 55
L2 SCR 229
L3 SCR 1839
L4 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

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L32 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:451274 HCAPLUS Full-text

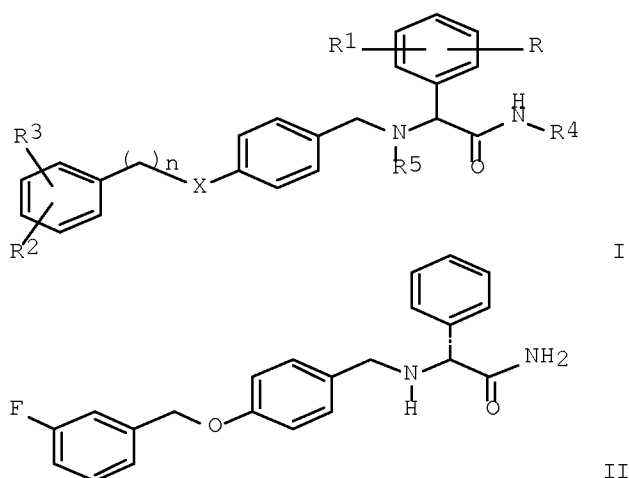
DOCUMENT NUMBER: 131:87722

TITLE: Substituted 2-benzylamino-2-phenylacetamide compounds
useful as sodium channel blockers

Serial No.:10/586,494

INVENTOR(S): Pevarello, Paolo; Varasi, Mario; Salvati, Patricia;
Post, Claes
PATENT ASSIGNEE(S): Newron Pharmaceuticals S.P.A., Italy
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9935123	A1	19990715	WO 1998-EP8158	19981212
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EP 1044186	A1	20001018	EP 1998-964511	19981212
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PT 1044186	T	20060731	PT 1998-964511	19981212
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US 6303819	B1	20011016	US 2000-581254	20000911
HK 1028021	A1	20060818	HK 2000-107399	20001120
PRIORITY APPLN. INFO.:			GB 1997-27521	A 19971231
			WO 1998-EP8158	W 19981212
OTHER SOURCE(S): MARPAT 131:87722				
ED Entered STN: 23 Jul 1999				
GI				



AB Title compds. I [wherein n = 0-3; X = O, S, CH₂, or NH; each of R, R₁, R₂, and R₃ = H, C₁-6 alkyl, halo, OH, C₁-6 alkoxy or CF₃; each of R₄ and R₅ = H, C₁-6 alkyl, or C₃-7 cycloalkyl] and their pharmaceutically acceptable salts are sodium channel blockers, useful particularly in treating conditions such as chronic or neuropathic pain. About 12 examples were prepared and/or claimed. For instance, D-phenylglycine Me ester HCl was amidated with aqueous NH₃ (69% yield), followed by N-alkylation at amino using 4-[(3-fluorobenzyl)oxy]benzaldehyde and NaBH₃CN, and salt formation in EtOAc (53% combined yield), to give title compound II.MeSO₃H. The latter compound bound to site 2 of rat brain sodium channel, as determined by displacement of [3H]-batrachotoxin in vitro.

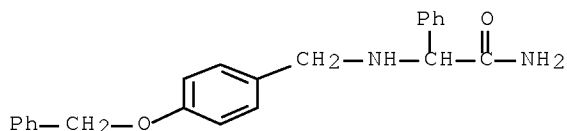
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 230288-06-9P, 2-[[4-[(3-Fluorobenzyl)oxy]benzyl]amino]-2-(3-fluorophenyl)acetamide 230288-07-0P,
 2-[[4-[(3-Chlorobenzyl)oxy]benzyl]amino]-2-(3-fluorophenyl)acetamide

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of substituted (benzylamino)phenylacetamide compds. as sodium channel blockers)

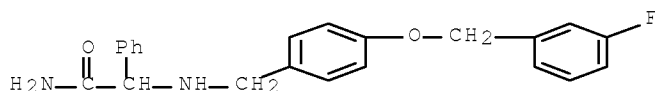
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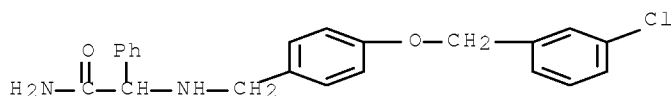
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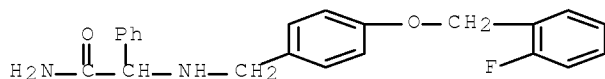
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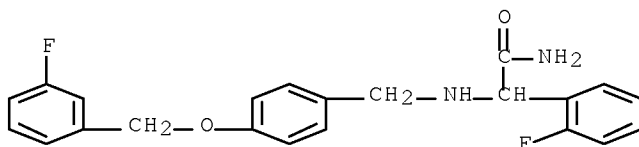
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RN 230288-05-8 HCAPLUS

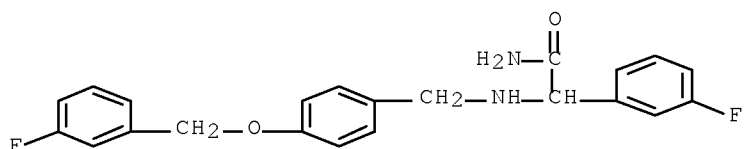
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RN 230288-06-9 HCAPLUS

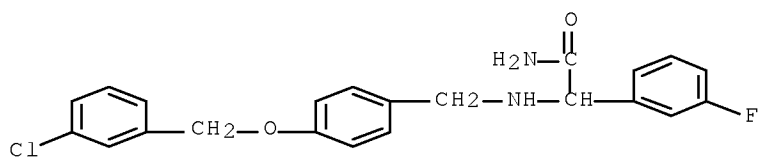
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Serial No.:10/586,494



RN 230288-07-0 HCAPLUS

CN Benzeneacetamide, α -[[[4-[(3-chlorophenyl)methoxy]phenyl]methyl]amino]-3-fluoro- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Search History

ACT CHA494STRF/A

L1 SCR 91 OR 55
 L2 SCR 229
 L3 SCR 1839
 L4 STR
 L5 44460 SEA SSS FUL L3 AND L1 AND L2 AND L4

ACT CHA494REG1/A

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Serial No.:10/586,494

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 L13 (78)SEA SPE=ON ABB=ON PLU=ON L11 NOT L12
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 E US2007-586494/APPS

L15 1 SEA SPE=ON ABB=ON PLU=ON US2007-586494/APPS
 SEL RN

FILE 'REGISTRY' ENTERED AT 09:37:24 ON 23 DEC 2008

L16 101 SEA SPE=ON ABB=ON PLU=ON (109209-65-6/BI OR 133865-35-7/BI OR 133865-72-2/BI OR 133865-78-8/BI OR 133865-88-0/BI OR 133865-89-1/BI OR 133866-09-8/BI OR 133866-10-1/BI OR 133866-11-2/BI OR 133866-12-3/BI OR 133866-14-5/BI OR 133866-15-6/BI OR 133866-18-9/BI OR 133866-19-0/BI OR 133866-23-6/BI OR 133866-25-8/BI OR 133866-27-0/BI OR 15126-07-5/BI OR 155295-66-2/BI OR 166949-64-0/BI OR 166949-66-2/BI OR 166949-68-4/BI OR 187868-20-8/BI OR 187868-37-7/BI OR 229309-19-7/BI OR 229309-21-1/BI OR 229309-22-2/BI OR 229309-24-4/BI OR 229309-25-5/BI OR 229309-26-6/BI OR 229309-28-8/BI OR 229309-29-9/BI OR 229309-30-2/BI OR 230288-00-3/BI OR 230288-01-4/BI OR 230288-02-5/BI OR 230288-04-7/BI OR 230288-05-8/BI OR 230288-06-9/BI OR 230288-07-0/BI OR 38215-73-5/BI OR 500996-15-6/BI OR 61275-22-7/BI OR 721949-10-6/BI OR 721949-11-7/BI OR 782417-52-1/BI OR 845959-36-6/BI OR 845959-38-8/BI OR 845959-39-9/BI OR 845959-41-3/BI OR 845959-42-4/BI OR 845959-43-5/BI OR 845959-44-6/BI OR 845959-47-9/BI OR 845959-48-0/BI OR 845959-49-1/BI OR 861398-19-8/BI OR 861398-20-1/BI OR 861398-21-2/BI OR 861398-22-3/BI OR 861398-23-4/BI OR 861398-24-5/BI OR 861398-25-6/BI OR 861398-26-7/BI OR 861398-27-8/BI OR 861398-28-9/BI OR 861398-29-0/BI OR 861398-30-3/BI OR 861398-31-4/BI OR 861398-32-5/BI OR 861398-33-6/BI OR 861398-34-7/BI OR 861398-35-8/BI OR 861398-36-9/BI OR 861398-37-0/BI OR 861398-38-1/BI OR 861398-39-2/BI OR 861398-40-5/BI OR 861398-41-6/BI OR 861398-42-7/BI OR 861398-43-8/BI OR 861398-44-9/BI OR 861398-45-0/BI OR 861398-46-1/BI OR 861398-47-2/BI OR 861398-48-3/BI OR 861398-49-4/BI OR 861398-50-7/BI OR 861398-51-8/BI OR 861398-52-9/BI OR 861398-53-0/BI OR 861398-54-1/BI OR 861398-55

Serial No.:10/586,494

-2/BI OR 861398-56-3/BI OR 861398-57-4/BI OR 861398-58-5/BI OR
861398-59-6/BI OR 861398-60-9/BI OR 861398-61-0/BI OR 861398-62
-1/BI OR 861398-63-2/BI)

L17 89 SEA SPE=ON ABB=ON PLU=ON L5 AND L16
L18 212201 SEA SPE=ON ABB=ON PLU=ON ?BENZENEACETAMIDE?/CNS
L19 78 SEA SPE=ON ABB=ON PLU=ON L17 NOT L18

FILE 'REGISTRY' ENTERED AT 09:41:04 ON 23 DEC 2008
L20 11 SEA SPE=ON ABB=ON PLU=ON L17 NOT L19

FILE 'HCAPLUS' ENTERED AT 09:41:18 ON 23 DEC 2008
L21 60 SEA SPE=ON ABB=ON PLU=ON L19
L22 6 SEA SPE=ON ABB=ON PLU=ON L20
L23 17 SEA SPE=ON ABB=ON PLU=ON BARBANTI E?/AU
L24 11 SEA SPE=ON ABB=ON PLU=ON VENERONI O?/AU
L25 31 SEA SPE=ON ABB=ON PLU=ON THALER F?/AU
L26 287 SEA SPE=ON ABB=ON PLU=ON PELLICCIARI R?/AU
L27 51 SEA SPE=ON ABB=ON PLU=ON BENATTI L?/AU
L28 111 SEA SPE=ON ABB=ON PLU=ON SALVATI P?/AU
L29 475 SEA SPE=ON ABB=ON PLU=ON (L23 OR L24 OR L25 OR L26 OR L27
OR L28)
L30 16 SEA SPE=ON ABB=ON PLU=ON L29 AND L21

FILE 'HCAPLUS' ENTERED AT 09:45:20 ON 23 DEC 2008
L31 44 SEA SPE=ON ABB=ON PLU=ON L21 NOT L30
L32 1 SEA SPE=ON ABB=ON PLU=ON L22 NOT (L30 OR L21)